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                 Zentralblatt
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NEWS 16 JAN 02
                 STN pricing information for 2008 now available
NEWS 17 JAN 16 CAS patent coverage enhanced to include exemplified
                 prophetic substances
NEWS 18 JAN 28 USPATFULL, USPAT2, and USPATOLD enhanced with new
                 custom IPC display formats
NEWS 19 JAN 28 MARPAT searching enhanced
NEWS 20 JAN 28 USGENE now provides USPTO sequence data within 3 days
                 of publication
NEWS 21 JAN 28 TOXCENTER enhanced with reloaded MEDLINE segment
NEWS 22 JAN 28 MEDLINE and LMEDLINE reloaded with enhancements
NEWS 23 FEB 08 STN Express, Version 8.3, now available
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NEWS 25 FEB 25 IFIREF reloaded with enhancements
NEWS 26 FEB 25 IMSPRODUCT reloaded with enhancements
NEWS 27 FEB 29 WPINDEX/WPIDS/WPIX enhanced with ECLA and current
                 U.S. National Patent Classification
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L1 STRUCTURE UPLOADED

=> d 11

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L1

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STR

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SAMPLE SCREEN SEARCH COMPLETED - 9319 TO ITERATE

21.5% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 180594 TO 192166 PROJECTED ANSWERS: 0 TO Ω

0 SEA SSS SAM L1 L2

=> s 11 full

FULL SEARCH INITIATED 18:24:38 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 184242 TO ITERATE

100.0% PROCESSED 184242 ITERATIONS 41 ANSWERS

SEARCH TIME: 00.00.03

L3 41 SEA SSS FUL L1

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=> s 13

20 L3 L4

=> s 14 not py > 2004 4348315 PY > 2004

17 L4 NOT PY > 2004 L5

=> d 15 ibib abs hitstr 1-

YOU HAVE REQUESTED DATA FROM 17 ANSWERS - CONTINUE? Y/(N):y

ANSWER 1 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:616738 CAPLUS

DOCUMENT NUMBER: 141:277835

TITLE: Syntheses of ethyl 3-deoxy-3,3-difluoro-D-arabino-

heptulosonate and analogues

Li, Yuan; Drew, Michael G. B.; Welchman, Elizabeth V.; AUTHOR(S):

Shirvastava, Rajeev K.; Jiang, Shende; Valentine, Roy;

ΙI

Singh, Gurdial

CORPORATE SOURCE: Department of Chemistry, University of Sunderland,

Sunderland, SR1 3SD, UK

SOURCE: Tetrahedron (2004), 60(31), 6523-6531

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:277835

GΙ

AB The difluorinated analogs of 3-deoxy-D-arabino-heptulosonic acid (DAH) I, II and its enantiomer have been synthesized from D- and L-erythrose via a Reformatsky reaction which gave a mixture of diastereoisomers in favor of the anti isomer.

IT 841262-65-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of difluorinated analogs of 3-deoxy-D-arabino-heptulosonic acid from D- and L-erythrose via a Reformatsky reaction)

RN 841262-65-5 CAPLUS

CN D-lyxo-2-Heptulosonic acid, 3-deoxy-3,3-difluoro-4,5,6,7-tetrakis-0-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 760973-09-9P 760973-16-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of difluorinated analogs of 3-deoxy-D-arabino-heptulosonic acid from D- and L-erythrose via a Reformatsky reaction)

RN 760973-09-9 CAPLUS

CN L-ribo-2-Heptulosonic acid, 3-deoxy-3,3-difluoro-4,5,6,7-tetrakis-0-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 760973-16-8 CAPLUS

CN D-arabino-2-Heptulosonic acid, 3-deoxy-3,3-difluoro-4,5,6,7-tetrakis-O-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:511439 CAPLUS

DOCUMENT NUMBER: 141:190987

TITLE: A stereodivergent asymmetric approach to difluorinated

aldonic acids

AUTHOR(S): Audouard, Christophe; Barsukov, Igor; Fawcett, John;

Griffith, Gerry A.; Percy, Jonathan M.; Pintat,

Stephane; Smith, Clive A.

CORPORATE SOURCE: Department of Chemistry, University of Leicester,

Leicester, LE1 7RH, UK

SOURCE: Chemical Communications (Cambridge, United Kingdom)

(2004), (13), 1526-1527

CODEN: CHCOFS; ISSN: 1359-7345

PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:190987

AB A (bromodifluoromethyl)alkyne has been deployed in a stereoselective route to difluorinated aldonic acid analogs, in which a Sharpless asym.

dihydroxylation reaction and diastereoisomer separation set the stage for Ph group oxidation

IT 740839-82-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(asym. synthesis of difluorinated aldonic acid analogs via

stereoselective reduction, Sharpless dihydroxylation, diastereoisomer separation, and oxidative cleavage)

RN 740839-82-1 CAPLUS

CN D-xylo-Hexonic acid, 4-deoxy-4,4-difluoro-, methyl ester, tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:827052 CAPLUS

DOCUMENT NUMBER: 140:16390

TITLE: Mg-Promoted Double Silylation of Trifluoroacetimidoyl

Chlorides. A New Entry to the Fluorinated Dianion

Equivalents

AUTHOR(S): Kobayashi, Takeshi; Nakagawa, Takashi; Amii, Hideki;

Uneyama, Kenji

CORPORATE SOURCE: Department of Applied Chemistry, Faculty of

Engineering, Okayama University, Okayama, 700-8530,

Japan

SOURCE: Organic Letters (2003), 5(23), 4297-4300

CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:16390

AB A Mg(0)/Me3SiCl system was found to be effective for the preparation of a novel fluorinated dianion equivalent A one-pot reaction sequence involving reductive C-F and C-Cl bond cleavage reactions of trifluoroacetimidoyl chlorides afforded bis-silylated difluoroenamines. Subsequent carbon-carbon bond-forming reactions of the bis(silyl)enamines with two

kinds of electrophiles gave a variety of difluorinated imines.

IT 629625-57-6P 629625-58-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of difluorinated imines via magnesium-promoted double silylation of trifluoroacetimidoyl chlorides followed by reaction of bis(silyl)enamines with electrophiles)

RN 629625-57-6 CAPLUS

CN Benzenebutanoic acid, γ -(benzoyloxy)- β , β -difluoro- α [(4-methoxyphenyl)imino]-, ethyl ester (CA INDEX NAME)

RN 629625-58-7 CAPLUS

CN Benzenebutanoic acid, γ -(benzoyloxy)- β , β -difluoro- α [(4-methoxyphenyl)imino]-, phenylmethyl ester (CA INDEX NAME)

REFERENCE COUNT: 81 THERE ARE 81 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:675024 CAPLUS

DOCUMENT NUMBER: 138:122444

TITLE: Methyl 3,3-difluoro-2-trimethylsilyloxyacrylate:

preparation and Mukaiyama-type aldol condensation as a

novel route to β , β -difluoro- α -keto

ester derivatives

AUTHOR(S): Jiang, Biao; Zhang, Xiaobing; Shi, Guoqiang

CORPORATE SOURCE: Shanghai Institute of Organic Chemistry, State Key

Laboratory of Organometallic Chemistry, Chinese Academy of Sciences, Shanghai, 200032, Peop. Rep.

China

SOURCE: Tetrahedron Letters (2002), 43(38), 6819-6821

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:122444

GΙ

Mukaiyama-type aldol condensation of arylaldehyde acetals occurs smoothly with Me 3,3-difluoro-2-trimethylsilyloxyacrylate (I, derived from Et 3,3-difluoro-2-benzyloxyacrylate) when catalyzed by a Lewis acid, allowing preparation of 4-alkyloxy-3,3-difluoro-2-keto esters II (R = H, Cl, OMe, NO2, R1 = Me; R = F, R1 = Et).

IT 491612-53-4P 491612-54-5P 491612-55-6P

491612-56-7P 491612-57-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of α -keto- β , β -difluoro esters via debenzylation/silylation of α -benzyloxy- β , β -

difluoroacrylate followed by Lewis acid-catalyzed Mukaiyama-type aldol condensation with di-Me acetals of aromatic aldehydes)

RN 491612-53-4 CAPLUS

CN Benzenebutanoic acid, $\beta,\beta\text{-difluoro-}\gamma\text{-methoxy-}\alpha\text{-oxo-},$ methyl ester (CA INDEX NAME)

RN 491612-54-5 CAPLUS

CN Benzenebutanoic acid, γ -ethoxy- β , β , 4-trifluoro- α -oxo-, methyl ester (CA INDEX NAME)

RN 491612-55-6 CAPLUS

CN Benzenebutanoic acid, 4-chloro- β , β -difluoro- γ -methoxy- α -oxo-, methyl ester (CA INDEX NAME)

RN 491612-56-7 CAPLUS

CN Benzenebutanoic acid, β , β -difluoro- γ , 4-dimethoxy- α -oxo-, methyl ester (CA INDEX NAME)

RN 491612-57-8 CAPLUS

CN Benzenebutanoic acid, β , β -difluoro- γ -methoxy-4-nitro- α -oxo-, methyl ester (CA INDEX NAME)

REFERENCE COUNT:

13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:1324 CAPLUS

DOCUMENT NUMBER: 136:325124

TITLE: Novel rearrangement of secondary alkoxyalkyl radicals

during addition to a double bond. Steric shielding in

the formation of tertiary alkoxyethyl radicals

AUTHOR(S): Paleta, Oldrich; Hajduch, Jan; Bohm, Stanislav

CORPORATE SOURCE: Department of Organic Chemistry, Prague Institute of

Chemical Technology, Prague, 16628, Czech Rep. Tetrahedron Letters (2002), 43(3), 481-485

letranedron Letters (2002), 43(3), 481-485

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:325124

AB The participation of a 1,3-hydrogen shift in initially formed secondary alkoxyethyl radicals R1R2CH-O-CH $\sqrt{-}$ CH3 during their free-radical chain addns. to Me 2,3,3-trifluoroacrylate has been confirmed using a deuterium marked additive. Indirect evidence has been obtained for a partial 1,3-hydrogen shift in secondary radicals CH3(CH2)n-CH $\sqrt{-}$ O-CH3 to primary radicals CH3(CH2)n-CH2-O-CH2 $\sqrt{-}$. Initial formation of tertiary alkoxyethyl radicals R1R2C $\sqrt{-}$ O-CHR3R4 in the propagation step was not observed due to steric factors.

IT 412310-49-7P

SOURCE:

RL: BYP (Byproduct); PREP (Preparation)

(rearrangement of secondary alkoxyalkyl radicals during addition to a double bond)

RN 412310-49-7 CAPLUS

CN Butanoic acid, 4-butoxy-2,3,3-trifluoro-, methyl ester (CA INDEX NAME)

IT 412310-43-1P 412310-45-3P 412310-48-6P

412310-50-0P 412310-52-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

(rearrangement of secondary alkoxyalkyl radicals during addition to a double bond)

RN 412310-43-1 CAPLUS

CN Heptanoic acid, 4-butoxy-2,3,3-trifluoro-, methyl ester (CA INDEX NAME)

RN 412310-45-3 CAPLUS

CN Pentanoic acid, 2,3,3-trifluoro-4-(1-methylethoxy)-, methyl ester (CA INDEX NAME)

RN 412310-48-6 CAPLUS

CN Heptanoic acid, 2,3,3-trifluoro-4-methoxy-, methyl ester (CA INDEX NAME)

$$\begin{array}{c|c} \text{O} & \text{F} & \text{OMe} \\ || & | & | \\ \text{MeO-C-CH-CF}_2\text{-CH-Pr-n} \end{array}$$

RN 412310-50-0 CAPLUS

CN Pentanoic acid, 4-(1,1-dimethylethoxy)-2,3,3-trifluoro-, methyl ester (CA INDEX NAME)

RN 412310-52-2 CAPLUS

CN Pentanoic acid, 2,3,3-trifluoro-4-(1-methylethoxy-1-d)-, methyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:481438 CAPLUS

DOCUMENT NUMBER: 135:210736

TITLE: A Novel Strategy for the Synthesis of ω -Functionalized Perfluoroalkyl Iodides

AUTHOR(S): Szlavik, Zoltan; Tarkanyi, Gabor; Skribanek, Zsolt;

Vass, Elemer; Rabai, Jozsef

CORPORATE SOURCE: Department of Organic Chemistry, Eoetvoes University,

Budapest, H-1518, Hung.

SOURCE: Organic Letters (2001), 3(15), 2365-2366

CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:210736

AB The applicability of telomeric alcs., H(CF2CF2)nCH2OH [n = 5], for the synthesis of ω-functionalized F-alkylating reagents, I(CF2CF2)n-1CH2OAc, is demonstrated. The key steps of this optimized method are the activation of the HCF2- terminus in a lithiation process yielding (Z+E)-BuCF:CF(CF2CF2)4CH2OH [I, 86%] and a successive ozonation reaction in trifluoroethanol media affording CF3CH2O2C(CF2CF2)4CH2OH [93%]. This compound underwent addition reaction with 1-undecene to give Me(CH2)8CHICH2(CF2)8CH2OAc. Highly stereospecific ozone cleavage of (E)-I was observed in methanol due to the competitive oxidation of the solvent.

IT 358352-39-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of functionalized polyfluoroalkyl acetates)

RN 358352-39-3 CAPLUS

CN Decanoic acid, 10-(acetyloxy)-2,2,3,3,4,4,5,5,6,6,7,7,8,8,9,9-hexadecafluoro-, monosilver(1+) salt (9CI) (CA INDEX NAME)

● Ag(I)

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:330478 CAPLUS

DOCUMENT NUMBER: 129:54564

TITLE: Synthesis of β -difluorine-containing amino acids AUTHOR(S): Li, Keqiang; Leriche, Caroline; Liu, Hung-Wen CORPORATE SOURCE: Department of Chemistry, University of Minnesota,

Minneapolis, MN, 55455, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (1998), 8(9),

1097-1100

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 129:54564

AB A convenient strategy was developed to prepare several β , β -difluoroamino acids. 5,6-O-isopropylidene-L-isoascorbic acid was the starting material for the syntheses of 3,3-difluoro-L-homocysteine, 3,3-difluoro-L-homoserine and 3,3-difluoro-L-methionine. This approach has the potential to synthesize other β , β -difluoroamino acids.

IT 208755-96-8P 208755-97-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of β , β -difluoroamino acids)

RN 208755-96-8 CAPLUS

CN Butanoic acid, 2-azido-3,3-difluoro-4-(phenylmethoxy)-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 208755-97-9 CAPLUS

CN Butanoic acid, 2-azido-3,3-difluoro-4-(phenylmethoxy)-, 1,1-dimethylethyl ester, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 8 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN L_5

1997:250727 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 126:240583

Magnetic recording media and the apparatus using them TITLE: INVENTOR(S):

Koike, Asako; Shoji, Saburo; Nakakawaji, Takayuki;

Murakami, Juko

PATENT ASSIGNEE(S): Hitachi Ltd, Japan

Jpn. Kokai Tokkyo Koho, 10 pp. SOURCE:

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09035252	A	19970207	JP 1995-181415	19950718
PRIORITY APPLN. INFO.:			JP 1995-181415	19950718
3 D 34 11 11				1.1

AΒ Magnetic recording media having a surface layer formed on a recording layer in which information can be recorded or regenerated by a magnetic head comprise forming a lubricating layer on the surface of recording layer, where the lubricating layer contains the mols. having an adsorption-increasing portion at the terminal end for increasing the adsorption between the terminal and substrate and an aggregation (cohesion)-increasing portion in the middle part of mol. chain for increasing the cohesive energy between adjacent mols., two mol. portions comprising ≥1 of organic compds. selected from aromatic ring, condensed ring or N-containing aromatic ring compds.

ΙT 188432-12-4 188432-21-5

> RL: NUU (Other use, unclassified); TEM (Technical or engineered material use); USES (Uses)

(film; magnetic recording media with recording layer coated by lubricant)

RN 188432-12-4 CAPLUS

CN Benzenamine, 2-methoxy-, compd. with α -[3-[4-[[5-[(9-carboxy-2,2,3,3,4,4,5,5,6,6,7,7,8,8,9,9-hexadecafluorononyl)oxy]pentyl]oxy]phenoxy]-1,1,2,2-tetrafluoropropyl $]-\omega-$ (heptafluoropropoxy)poly[oxy(1,1,2,2,3,3-hexafluoro-1,3-propanediyl)] (1:1) (9CI) (CA INDEX NAME)

СМ 1

CRN 188432-11-3

(C3 F6 O)n C27 H19 F27 O6 CMF

CCI PMS

PAGE 1-A
$$O-CH_2-CF_2-CF_2 - O-CH_2-CF_2 -$$

CM 2

CRN 90-04-0 CMF C7 H9 N O

RN 188432-21-5 CAPLUS

CN Benzenamine, 2-methoxy-, compd. with α -[2-[4-[5-[(9-carboxy-2,2,3,3,4,4,5,5,6,6,7,7,8,8,9,9-hexadecafluorononyl)oxy]pentyl]phenoxy]-1,1-difluoroethyl]- ω -[2-[4-[5-[(9-carboxy-2,2,3,3,4,4,5,5,6,6,7,7,8,8,9,9-hexadecafluorononyl)oxy]pentyl]phenyl]-1,1,2,2-tetrafluoroethoxy]poly[oxy(1,1,2,2,3,3-hexafluoro-1,3-propanediyl)] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 188432-20-4

CMF (C3 F6 O)n C46 H36 F38 O8

CCI PMS

PAGE 1-B

$$-(CF_2)_3$$
 n $0-CF_2-CF_2$ $(CH_2)_5-0-CH_2-(CF_2)_8-CO_2H$

CM 2

CRN 90-04-0 CMF C7 H9 N O

L5 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:740928 CAPLUS

DOCUMENT NUMBER: 123:127788

TITLE: Mesomorphic compound, liquid crystal composition

containing the compound, liquid crystal device using the composition, liquid crystal apparatus and display

method.

Shinichi, Nakamura; Takao, Takiguchi; Takashi, Iwaki; INVENTOR(S):

Takeshi, Togano; Yoko, Kosaka

PATENT ASSIGNEE(S): Canon K. K., Japan SOURCE: Eur. Pat. Appl., 84 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	ENT 1	40.			KINI)	DATE		Al	PP	LICATION NO	•		DATE
	6406	-			A1	_	1995		E	 Р	1994-113508		_	19940830
EP	6406		DII	ПО	B1	ΩD.	1999		3.77	α - -				
	R:	CH,	DE,	ES,	FR,	GB,	<i>,</i> 11,	LI,	NL,	SE				
JP	0709	7354			А		1995	0411	J]	Ρ	1993-237215			19930831
JP	32300	024			В2		2001	1119						
JP	07133	3244			A		1995	0523	J]	Ρ	1993-243580			19930906
JP	3216	752			В2		2001	1009						
US	56539	913			A		1997	0805	U	S	1996-628446			19960405
PRIORITY	APPI	LN.	INFO	.:					J]	Ρ	1993-237215		Α	19930831
									J]	Ρ	1993-243580		Α	19930906
									U	S	1994-297840		В1	19940830

OTHER SOURCE(S): MARPAT 123:127788

A mesomorphic compound CmH2m+10(CH2)n(CH2)p(CH2)q-Y1-A1-R1 [R1 = H, halogen, CN, or a linear, branched or cyclized alkyl group having 1-30 C atoms capable of including at least one -CH2- group which can be replaced with -0-, -S-, -CO-, -CH(C1)-, -CH(CN)-, -CCH3(CN)-, -CH:CH- or -C.tplbond.Cprovided that heteroatoms are not adjacent to each other and capable of including at least one H which can be replaced with F; m, n, p and q = 1-16 provided that m + n + p + $q \le 18$; Y1 denotes a single bond, -0-, -C0-, -C00-, -CC0-, -CH:CH or -C.tplbond.C-; A1 = -A2-, -A2-X1-A3- or -A2-X1-A3-X2-A4 in which A2, A3 and A4 independently denote a divalent cyclic group; X1, X2 = a single bond, -COO-, -OCO-, -CH2O-, -OCH2-, -CH2CH2-, -CH:CH- or -C.tplbond.C-] having ≥2 ether groups between alkylene groups in a specific alkoxy perfluoroalkyl terminal group is suitable as a component for a liquid crystal composition providing improved response characteristics and a high contrast. A liquid crystal device is constituted by disposing the liquid crystal composition between a pair of substrates. The liquid crystal device is used as a display panel constituting a liquid crystal apparatus providing good display characteristics.

ΙT 166439-53-8

RL: MOA (Modifier or additive use); USES (Uses)

(perfluoroalkyl mesomorphic compound for liquid crystal composition)

166439-53-8 CAPLUS RN

Octanoic acid, 3,3,4,4,5,5,6,6,7,7-decafluoro-8-(nonyloxy)-, CN 4-(2-hexyl-6-quinolinyl)phenyl ester (CA INDEX NAME)

— ме

L5 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:427103 CAPLUS

DOCUMENT NUMBER: 117:27103

TITLE: Synthesis and N- and C-terminal extension of peptidyl

 α, α -difluoroalkyl ketones

AUTHOR(S): Hong, Wonpyo; Dong, Liwen; Cai, Zhenhong; Titmas,

Richard

CORPORATE SOURCE: IGEN, Inc., Rockville, MD, 20852, USA SOURCE: Tetrahedron Letters (1992), 33(6), 741-4

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 117:27103

GΙ

AB The synthesis of peptidyl α,α -difluoroalkyl ketones I and II is described. The key intermediate III can be extended at not only the C-terminal but also the N-terminal.

IT 140195-69-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

II

(preparation and peptide coupling of, with D-tyrosinamide derivative)

RN 140195-69-3 CAPLUS

CN Benzenehexanoic acid, γ -(acetyloxy)- δ -[[2-[[([1,1'-biphenyl]-4-ylmethoxy)carbonyl]amino]-1-oxo-3-(phenylmethoxy)propyl]amino]- β , β -difluoro- α -methyl- (CA INDEX NAME)

L5 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1990:547802 CAPLUS

DOCUMENT NUMBER: 113:147802

TITLE: Structure-activity studies of fluoroketone inhibitors

of α -lytic protease and human leukocyte elastase

AUTHOR(S): Govardhan, Chandrika P.; Abeles, Robert H.

CORPORATE SOURCE: Grad. Dep. Biochem., Brandeis Univ., Waltham, MA,

02254, USA

SOURCE: Archives of Biochemistry and Biophysics (1990),

280(1), 137-46

CODEN: ABBIA4; ISSN: 0003-9861

DOCUMENT TYPE: Journal LANGUAGE: English

A series of peptidyl fluoroketones that reversibly inhibit the serine proteases human leukocyte elastase (HLE) and lpha-lytic protease ($\alpha\text{-LP}$) were synthesized. Ac-ambo-AlaCF3 inhibits HLE and $\alpha\text{-LP}$ with Kis of 2.4 and 15 mM, resp. The effects of structural variations on this parent compound on Ki and the kinetics of inhibition were studied. acetyl group was replaced by the tripeptide Z-L-Ala-L-Pro to yield the tetrapeptide trifluoroketone (TFK) Z-L-Ala-L-Ala-L-Pro-ambo-AlaCF3 (I). This extension reduced Ki 3500-fold for HLE and 3000-fold for $\alpha\text{-LP}$. Removal of a F atom from a TFK decreases Ki .apprx.15-30-fold with both enzymes. Replacement of one atom of I by a residue (-CH2-CH2-COLeuOMe) (II) which can interact with the S'1 and S'2 subsites decreased Ki 30-fold for HLE and 150-fold for α -LP compared to Z-L-Ala-L-Ala-L-Pro-ambo-AlaCF2H. The Ki of II for HLE is approx. equal to that of trifluoroketone I. For $\alpha\text{-LP}$ Ki of II is 10-fold lower than that for the trifluoroketone I. Inhibitors with Ki values <10-7Mexhibit slow binding kinetics. By analogy to cholinesterases and chymotrypsin, it is likely that these enzymes combine with the keto form of the inhibitor to form the enzyme-inhibitor complex. Therefore, Kon and Ki were corrected for the ketone concentration The corrected kon values for the slow

binding inhibitors are in most cases less than diffusion controlled, ranging between 8.2 + 104 and 4.68 + 106 M-1 s0-1. An exception is Z-L-Ala-L-Ala-L-Pro-ambo-ValCF3 where kon = 9 + 107 M-1 s-1, which is nearly diffusion controlled.

IT 129660-36-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and conversion to nitro alc.)

RN 129660-36-2 CAPLUS

CN Pentanoic acid, 4,4-difluoro-5-hydroxy-5-methoxy-, methyl ester (CA INDEX NAME)

$$\begin{array}{c|c} \text{O} & \text{OH} \\ \parallel & \parallel \\ \text{MeO-} \text{C-} \text{CH}_2\text{--} \text{CH}_2\text{--} \text{CF}_2\text{--} \text{CH--} \text{OMe} \end{array}$$

L5 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1987:85059 CAPLUS

DOCUMENT NUMBER: 106:85059

TITLE: Amino acid and peptide derivatives as peptidase

inhibitors

PATENT ASSIGNEE(S): Merrell Dow Pharmaceuticals, Inc., USA

SOURCE: Jpn. Kokai Tokkyo Koho, 52 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	JP 61183253	 А	19860815	JP 1986-21371	19860204
	JP 2529825	В2	19960904		
	AU 8652881	A	19860807	AU 1986-52881	19860131
	AU 600226	В2	19900809		
	ZA 8600746	A	19860924	ZA 1986-746	19860131
	IL 77748	A	19911121	IL 1986-77748	19860131
	CA 1341029	С	20000620	CA 1986-500832	19860131
	DK 8600515	A	19860805	DK 1986-515	19860203
	FI 8600484	A	19860805	FI 1986-484	19860203
	FI 94254	В	19950428		
	FI 94254	С	19950810		
	NO 8600371	A	19860805	NO 1986-371	19860203
	NO 169543	В	19920330		
	NO 169543	С	19920708		
	HU 40142	A2	19861128	HU 1986-467	19860203
	HU 207102	В	19930301		
	CN 86101268	A	19870204	CN 1986-101268	19860203
	ES 551597	A1	19871116	ES 1986-551597	19860203
	EP 195212	A2	19860924	EP 1986-101437	19860204
	EP 195212	А3	19881005		
	EP 195212	В1	19931124		
	R: AT, BE, CH,	DE, FF	R, GB, IT, L		
	AT 97652	T	19931215	AT 1986-101437	19860204
	ES 553504	A1	19871016	ES 1986-553504	19860326
	ES 553505	A1	19871016	ES 1986-553505	19860326
	US 5496927	A	19960305	US 1994-248847	19940525
	US 5849866	A	19981215	US 1995-481666	19950607
	US 6130315	Α	20001010	US 1998-139009	19980824
PRIO:	RITY APPLN. INFO.:			US 1985-697987	A 19850204
				EP 1986-101437	A 19860204
				US 1986-874721	B1 19860616
				US 1988-267758	B1 19881101
				US 1989-372162	B2 19890627
				US 1990-540033	B1 19900619
				US 1992-980141	B1 19921123
				US 1993-102522	B1 19930804
				US 1994-248847	A3 19940525
			<u>.</u>	US 1995-481666	A3 19950607
ΔR	R1NHCHR2COX[R1 = H	amino	nrotecting	aroun amino acid	racidua nantida

AB R1NHCHR2COX [R1 = H, amino protecting group, amino acid residue, peptide residue; R2 = side chain of an amino acid; X = H, (un)substituted fluoroalkyl, etc.], useful as peptidase inhibitors (no data), were prepared Thus, CH2:CHCH2CF2CH(OH)CH(NH2)CH2CHMe2 was condensed with N-isovalerylvaline in THF containing dicyclohexylcarbodiimide at 23° for 15 h to give N1-(3,3-difluoro-2-hydroxy-1-isobutyl-5-hexenyl)-N2-isovalerylvalinamide.

IT 106771-24-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as peptidase inhibitor)

RN 106771-24-8 CAPLUS

CN Octanoic acid, 3,3-difluoro-4-[(2-methoxyethoxy)methoxy]-7-methyl-5-[[3-methyl-2-[(3-methyl-1-oxobutyl)amino]-1-oxobutyl]amino]- (CA INDEX NAME)

ANSWER 13 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1978:529011 CAPLUS

DOCUMENT NUMBER: 89:129011

ORIGINAL REFERENCE NO.: 89:19953a,19956a

TITLE: Reduction of perfluorocarboxylic acid anhydrides to

1,1-dihydroperfluoro alcohols

AUTHOR(S): Kolomnikova, G. D.; Kalinkin, M. I.; Tskhurbaeva, Z.

Ts.; Parnes, Z. N.; Kursanov, D. N.

Inst. Elementoorg. Soedin., Moscow, USSR CORPORATE SOURCE:

Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya SOURCE:

(1978), (7), 1681-3

CODEN: IASKA6; ISSN: 0002-3353

DOCUMENT TYPE: Journal LANGUAGE: Russian

AB Et3SiH reduced (RCO)20 [I; R = CF3, C3F7; R2 = (CF2)3] to the

corresponding RCH2OH and HO2C(CF3)2CH2OH in 60-80% yield and lesser amts. of RCH2O2CR. Hydrogenation of I (R = same) with PtO2, (Ph3P)2PtC12 or

Ru(O2CCF3)3 gave lower yields of same products.

ΙT 67710-61-6P

RN

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) 67710-61-6 CAPLUS

Pentanedioic acid, hexafluoro-, mono(4-carboxy-2,2,3,3,4,4-CN

hexafluorobutyl) ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ || \\ \text{HO}_2\text{C}-\text{(CF}_2)_3-\text{C}-\text{O}-\text{CH}_2-\text{(CF}_2)_3-\text{CO}_2\text{H} \end{array}$$

ANSWER 14 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1978:442477 CAPLUS

DOCUMENT NUMBER: 89:42477

ORIGINAL REFERENCE NO.: 89:6569a,6572a

TITLE: Functional fluorine derivatives by transformation of a

1H-perfluoroalkyl group

Wakselman, Claude; Nguyen Thoai INVENTOR(S):

Agence Nationale de Valorisation de la Recherche, Fr. PATENT ASSIGNEE(S):

SOURCE: Fr. Demande, 10 pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
				-	
FR 2341559	A1	19770916	FR 1976-4711		19760220
FR 2341559	B1	19790824			
PRIORITY APPLN. INFO.:			FR 1976-4711	Α	19760220
AB R1(CF2)n+1CHF2 (R1	= F or	protected o	rganic group, n is an	int	teger) were

treated with M1/mNR2 (M = alkali or alkaline earth metal, m = valence of M, R = hydrocarbon group) and the products hydrolyzed by acid to give the resp.

R2(CF2)nCHFCONR2 (R2 = F or organic group). The reaction of

RbCM2OCM2 (CF2) 2CMF2 with Et2NM and RMI and addition of concentrated MC1 in M2

PhCH2OCH2(CF2)3CHF2 with Et2NH and BuLi and addition of concentrated HCl in H2O gave PhCH2OCH2(CF2)2CHFCONEt2.

IT 66790-29-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(hydride reduction of)

RN 66790-29-2 CAPLUS

CN Pentanoic acid, 2,3,3,4,4-pentafluoro-5-(heptyloxy)- (CA INDEX NAME)

F | | HO₂C-CH-CF₂-CF₂-CH₂-O-(CH₂)₆-Me

L5 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1975:496334 CAPLUS

DOCUMENT NUMBER: 83:96334

ORIGINAL REFERENCE NO.: 83:15116h,15117a

TITLE: Haloacrylic acids. IV. Reaction of Grignard reagents

with substituted methyl-2,3,3-trifluoroalkanoates

AUTHOR(S): Sendrik, V. P.; Paleta, Oldrich; Dedek, Vaclav

CORPORATE SOURCE: Inst. Chem. Technol., Prague, Czech.

SOURCE: Collection of Czechoslovak Chemical Communications

(1975), 40(5), 1542-9

CODEN: CCCCAK; ISSN: 0010-0765

DOCUMENT TYPE: Journal LANGUAGE: English

AB Reaction of MeMgI with EtOCHMeCF2CHFCO2Me at 35° gave

MeMgI or EtMgBr. Reaction of II with Me2CHMgBr gave a mixture of

RCF2CHFC(OH)(CHMe2)2 and (by reduction) RCF2CHFCH(OH)CHMe2. When treated with

P205, I, EtOCHMeCF2CHFCEt2OH, and RCF2CHFCMe2OH (III) gave

EtOCHMeCF2CHFCMe:CH2 (IV), EtOCHMeCF2CHF2CHFCEt:CHMe, and RCF2CHFCMe:CH2, resp.; with SOCl2, I gave I and IV whereas III yielded RCF2CHFCMe2Cl.

IT 52916-69-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(Grignard reactions of)

RN 52916-69-5 CAPLUS

CN Pentanoic acid, 4-ethoxy-2,3,3-trifluoro-, methyl ester (CA INDEX NAME)

L5 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1974:449032 CAPLUS

DOCUMENT NUMBER: 81:49032

ORIGINAL REFERENCE NO.: 81:7835a,7838a

TITLE: Photochemical addition of ethers to methyl

trifluoroacrylate

AUTHOR(S): Sendrik, V. P.; Paleta, Oldrich; Dedek, Vaclav

CORPORATE SOURCE: Vys. Sk. Chem. Technol., Prague, Czech.

SOURCE: Collection of Czechoslovak Chemical Communications

(1974), 39(4), 1061-71

CODEN: CCCCAK; ISSN: 0010-0765

DOCUMENT TYPE: Journal LANGUAGE: English

AB In the uv-initiated 1:1 adduct formation of ethers with F2C:CFCO2Me, the

reactivity decreased in the order: THF > 4-methyl-1,3-dio-xane >

1,3-dioxolane > Et20 > MeOCH2CH2OMe > 1,4-dioxane.

IT 52916-69-5P 52916-70-8P 52916-71-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 52916-69-5 CAPLUS

CN Pentanoic acid, 4-ethoxy-2,3,3-trifluoro-, methyl ester (CA INDEX NAME)

RN 52916-70-8 CAPLUS

CN Butanoic acid, 2,3,3-trifluoro-4-(2-methoxyethoxy)-, methyl ester (CA INDEX NAME)

$$\begin{array}{c|c} \mathsf{O} & \mathsf{F} \\ || & | \\ \mathsf{MeO} - \mathsf{C} - \mathsf{CH} - \mathsf{CF}_2 - \mathsf{CH}_2 - \mathsf{O} - \mathsf{CH}_2 - \mathsf{CH}_2 - \mathsf{O} \mathsf{Me} \\ \end{array}$$

RN 52916-71-9 CAPLUS

CN Pentanoic acid, 2,3,3-trifluoro-4,5-dimethoxy-, methyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1971:124920 CAPLUS

DOCUMENT NUMBER: 74:124920

ORIGINAL REFERENCE NO.: 74:20183a,20186a

TITLE: Polyfluorocycloalkenes. IX. Reactions of

1H, 2H-octafluorocyclohexene, -hexafluorocyclopentene, and -tetrafluorocyclobutene with methanol under ionic

conditions

AUTHOR(S): Stephens, Robert; Clayton, A. B.; Collins, D.; Tatlow,

John C.

CORPORATE SOURCE: Chem. Dep., Univ. Birmingham, Birmingham, UK

SOURCE: Journal of the Chemical Society [Section] C: Organic

(1971), (7), 1177-82

CODEN: JSOOAX; ISSN: 0022-4952

DOCUMENT TYPE: Journal LANGUAGE: English

AB 1H,2H-Octafluoro-cyclohexene reacted with NaOMe-MeOH to give 1H,1H,2H-2-methoxyoctafluorocyclohexane, 1H,6H-6-methoxyheptafluorocyclohexene, 1H,6H - 2 - methoxyheptafluorocyclohexene, and 1H,2H-3,3-dimethoxyhexafluorocyclohexene. Similarly, 1H,-2H - hexafluorocyclopentene gave 1H,1H,2H - 2 - methoxyhexa-fluorocyclopentane and 1H,5H - 5 - methoxypentafluorocyclo-pentene, and 1H,2H-tetrafluorocyclobutene gave 1H,4H-4-methoxytrifluorocyclobutene. The

results are consistent with an addition-elimination mechanism and not a

```
direct allylic substitution.
ΙT
     32670-08-9P 32670-09-0P
     RL: SPN (Synthetic preparation); PREP (Preparation)
         (preparation of)
     32670-08-9 CAPLUS
RN
     Hexanedioic acid, 2,2,3,3,4,4-hexafluoro-5-methoxy-, compd. with
CN
     phenylmethyl carbamimidothioate (1:2) (CA INDEX NAME)
     CM
     CRN 45213-92-1
     CMF C7 H6 F6 O5
               OMe
{\rm HO_2C^-} (CF<sub>2</sub>)<sub>3</sub>-CH-CO<sub>2</sub>H
     CM
           2
     CRN 621-85-2
     CMF C8 H10 N2 S
     NH
H<sub>2</sub>N-C-S-CH<sub>2</sub>-Ph
     32670-09-0 CAPLUS
RN
     Glutaric acid, 2,2,3,3-tetrafluoro-4-methoxy-, compd. with
CN
     2-benzyl-2-thiopseudourea (1:2) (8CI) (CA INDEX NAME)
     CM
           1
     CRN 45153-12-6
     CMF C6 H6 F4 O5
      OMe
HO_2C-CH-CF_2-CF_2-CO_2H
     CM
           2
     CRN 621-85-2
     CMF C8 H10 N2 S
     NH
{\rm H_2N}-{\rm C}-{\rm S}-{\rm CH_2}-{\rm Ph}
```

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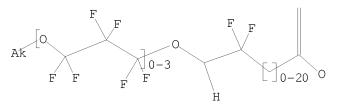
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L6 STR



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L7 11 SEA SSS SAM L6

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FULL SCREEN SEARCH COMPLETED - 23778 TO ITERATE

100.0% PROCESSED 23778 ITERATIONS 217 ANSWERS

SEARCH TIME: 00.00.01

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L10 ANSWER 1 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:616738 CAPLUS

DOCUMENT NUMBER: 141:277835

TITLE: Syntheses of ethyl 3-deoxy-3,3-difluoro-D-arabino-

heptulosonate and analogues

AUTHOR(S): Li, Yuan; Drew, Michael G. B.; Welchman, Elizabeth V.;

Shirvastava, Rajeev K.; Jiang, Shende; Valentine, Roy;

Singh, Gurdial

CORPORATE SOURCE: Department of Chemistry, University of Sunderland,

Sunderland, SR1 3SD, UK

SOURCE: Tetrahedron (2004), 60(31), 6523-6531

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:277835

GΙ

AB The difluorinated analogs of 3-deoxy-D-arabino-heptulosonic acid (DAH) I, II and its enantiomer have been synthesized from D- and L-erythrose via a Reformatsky reaction which gave a mixture of diastereoisomers in favor of the anti isomer.

IT 760973-07-7P 760973-14-6P

RL: BYP (Byproduct); PREP (Preparation)

(preparation of difluorinated analogs of 3-deoxy-D-arabino-heptulosonic acid from D- and L-erythrose via a Reformatsky reaction)

RN 760973-07-7 CAPLUS

CN L-arabino-Hexonic acid, 2-deoxy-2,2-difluoro-3,4,5,6-tetrakis-O-(phenylmethyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 760973-14-6 CAPLUS

CN D-ribo-Hexonic acid, 2-deoxy-2,2-difluoro-3,4,5,6-tetrakis-0-(phenylmethyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

IT 841262-65-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of difluorinated analogs of 3-deoxy-D-arabino-heptulosonic acid from D- and L-erythrose via a Reformatsky reaction)

RN 841262-65-5 CAPLUS

CN D-lyxo-2-Heptulosonic acid, 3-deoxy-3,3-difluoro-4,5,6,7-tetrakis-0-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 760973-06-6P 760973-09-9P 760973-13-5P

760973-16-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of difluorinated analogs of 3-deoxy-D-arabino-heptulosonic acid from D- and L-erythrose via a Reformatsky reaction)

RN 760973-06-6 CAPLUS

CN L-ribo-Hexonic acid, 2-deoxy-2,2-difluoro-3,4,5,6-tetrakis-O-(phenylmethyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 760973-09-9 CAPLUS

CN L-ribo-2-Heptulosonic acid, 3-deoxy-3,3-difluoro-4,5,6,7-tetrakis-0-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 760973-13-5 CAPLUS

CN D-arabino-Hexonic acid, 2-deoxy-2,2-difluoro-3,4,5,6-tetrakis-0-(phenylmethyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 760973-16-8 CAPLUS

CN D-arabino-2-Heptulosonic acid, 3-deoxy-3,3-difluoro-4,5,6,7-tetrakis-0-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:511439 CAPLUS

DOCUMENT NUMBER: 141:190987

TITLE: A stereodivergent asymmetric approach to difluorinated

aldonic acids

AUTHOR(S): Audouard, Christophe; Barsukov, Igor; Fawcett, John;

Griffith, Gerry A.; Percy, Jonathan M.; Pintat,

Stephane; Smith, Clive A.

CORPORATE SOURCE: Department of Chemistry, University of Leicester,

Leicester, LE1 7RH, UK

SOURCE: Chemical Communications (Cambridge, United Kingdom)

(2004), (13), 1526-1527

CODEN: CHCOFS; ISSN: 1359-7345

PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:190987

A (bromodifluoromethyl)alkyne has been deployed in a stereoselective route to difluorinated aldonic acid analogs, in which a Sharpless asym.

dihydroxylation reaction and diastereoisomer separation set the stage for Ph group oxidation

740839-82-1P ΙT

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(asym. synthesis of difluorinated aldonic acid analogs via

stereoselective reduction, Sharpless dihydroxylation, diastereoisomer separation, and oxidative cleavage)

740839-82-1 CAPLUS RN

CN D-xylo-Hexonic acid, 4-deoxy-4,4-difluoro-, methyl ester, tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:330143 CAPLUS

DOCUMENT NUMBER: 140:357351

Preparation of (2S)-2-(1,2,4-oxadiazol-3-TITLE:

yl)pyrrolidine derivatives binding to FKBP12 binding

protein

INVENTOR(S): Taguchi, Minoru; Wataya, Kengo

PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 31 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004123556	A	20040422	JP 2002-285857	20020930
PRIORITY APPLN. INFO.:			JP 2002-285857	20020930
OTHER SOURCE(S):	MARPAT	140:357351		

GΙ

Ι

AΒ The title compds. [I; X, Y = H, F; R1 = Ph optionally substituted by 1-3number of C1-5 alkoxy, C4-9 cycloalkylcarbonyl, C R3R4R5; wherein R3 = C1-8alkyl, C3-8 cycloalkyl, C3-8 cycloalkenyl, C1-5 alkoxy optionally substituted by 1-3 number of C1-5 alkoxy, thienyl, norbornanyl; R4 = H, C1-5 alkyl; or CR3R4 together represents (un)substituted C3-8 cycloalkyl, C3-8 cycloalkenyl, norbornanyl, piperidinyl, N-C2-5 alkanoylpiperidinyl, tetrahydropyranyl, or indanyl; R5 = H, HO, C1-5 alkoxy, C2-5 alkanoyl, C1-5 alkylsulfonyloxy; R2 = Ph optionally substituted by 1-3 number of C1-5alkoxy, C3-8 cycloalkyl, pyridyl; n = an integer of 0-3] are prepared These compds. are ligands for FKBP12 (FK506-binding protein, 12 kDa mol. weight), exhibit neurotrophic activity without calcineurin-inhibitory activity, i.e. immunosuppressant activity, and are useful as therapeutic agents for various neurodegenerative diseases. Thus, 9.44 g 1-ethyl-3-(3dimethylaminopropyl)carbodiimide hydrochloride was added to a solution of (2S)-2-[5-[2-(3-pyridyl)ethyl]-1, 2, 4-oxadiazol-3-yl]pyrrolidine 10.0,3-cyclohexyl-2,2-difluoro-3-hydroxypropionic acid 8.52, 1-hydroxybenzotriazole monohydrate 6.64 in 100 mL CH2Cl2 under ice-cooling, stirred for 2 h at the same temperature to give, after workup and silica gel chromatog., 13.2 g (2S)-1-(3-cyclohexyl-2,2-difluoro-3hydroxypropiony1)-2-[5-[2-(3-pyridy1)ethy1]-1,2,4-oxadiazol-3yl]pyrrolidine [II; R = cyclohexyl(hydroxy)methyl]. II [R1 = cyclohexyl(hydroxy)methyl] and II (R1 = 1-hydroxy-3,3,5,5tetramethylcyclohexyl) inhibited the rotamase activity of FKBP12 binding protein on a substrate L-1605 peptide in the presence of α -chymotrypsin with IC50 of 0.35 and 0.035 μM , resp.

ΙT 681240-45-9P 681240-46-0P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of (2S)(oxadiazolyl)pyrrolidine derivs. binding to FKBP12 binding protein as therapeutic agents for various neurodegenerative diseases)

RN 681240-45-9 CAPLUS

Cyclohexanepropanoic acid, α , α -difluoro- β -methoxy-, ethyl ester (CA INDEX NAME)

RN 681240-46-0 CAPLUS

CN Cyclohexanepropanoic acid, α, α -difluoro- β -methoxy- (CA INDEX NAME)

L10 ANSWER 4 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:827052 CAPLUS

DOCUMENT NUMBER: 140:16390

TITLE: Mq-Promoted Double Silylation of Trifluoroacetimidoyl

Chlorides. A New Entry to the Fluorinated Dianion

Equivalents

AUTHOR(S): Kobayashi, Takeshi; Nakagawa, Takashi; Amii, Hideki;

Uneyama, Kenji

CORPORATE SOURCE: Department of Applied Chemistry, Faculty of

Engineering, Okayama University, Okayama, 700-8530,

Japan

SOURCE: Organic Letters (2003), 5(23), 4297-4300

CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:16390

AB A Mg(0)/Me3SiCl system was found to be effective for the preparation of a novel fluorinated dianion equivalent A one-pot reaction sequence involving

reductive C-F and C-Cl bond cleavage reactions of trifluoroacetimidoyl

chlorides afforded bis-silylated difluoroenamines. Subsequent

carbon-carbon bond-forming reactions of the bis(sily1)enamines with two

kinds of electrophiles gave a variety of difluorinated imines.

IT 629625-57-6P 629625-58-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of difluorinated imines via magnesium-promoted double silylation of trifluoroacetimidoyl chlorides followed by reaction of bis(silyl)enamines with electrophiles)

RN 629625-57-6 CAPLUS

CN Benzenebutanoic acid, γ -(benzoyloxy)- β , β -difluoro- α [(4-methoxyphenyl)imino]-, ethyl ester (CA INDEX NAME)

RN 629625-58-7 CAPLUS

CN Benzenebutanoic acid, γ -(benzoyloxy)- β , β -difluoro- α [(4-methoxyphenyl)imino]-, phenylmethyl ester (CA INDEX NAME)

REFERENCE COUNT: 81 THERE ARE 81 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:800312 CAPLUS

DOCUMENT NUMBER: 140:128623

TITLE: 4-Fluorinated L-lysine analogs as selective i-NOS

inhibitors: methodology for introducing fluorine into

the lysine side chain

AUTHOR(S): Hallinan, E. Ann; Kramer, Steven W.; Houdek, Stephen

C.; Moore, William M.; Jerome, Gina M.; Spangler, Dale P.; Stevens, Anna M.; Shieh, Huey S.; Manning, Pamela

T.; Pitzele, Barnett S.

CORPORATE SOURCE: Pharmacia, Skokie, IL, 60077, USA

SOURCE: Organic & Biomolecular Chemistry (2003), 1(20),

3527-3534

CODEN: OBCRAK; ISSN: 1477-0520

PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:128623

AB In the literature, the introduction of fluorine into bioactive mols. is known to enhance the biol. activity relative to the parent mol. Described in this article is the synthesis of 4R-fluoro-L-NIL and 4,4-difluoro-L-NIL as part of an iNOS program. Both were found to be selective iNOS

inhibitors. Secondarily, methodol. to synthesize orthogonally protected

4-fluoro-L-lysine and 4,4-difluoro-L-lysine was developed.

IT 650605-00-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 4-fluorinated L-lysine analogs from the Garner aldehdye and selective i-NOS inhibitory activity)

RN 650605-00-8 CAPLUS

CN Butanoic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-2,2-difluoro-3-(1H-imidazol-1-ylthioxomethoxy)-, ethyl ester (CA INDEX NAME)

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:947475 CAPLUS

DOCUMENT NUMBER: 138:304521

TITLE: The synthesis of (2S)-4, 4-diffuoroglutamyl γ -peptides based on Garner's aldehyde and

fluoro-Reformatskii chemistry

AUTHOR(S): Konas, David W.; Pankuch, Jessica J.; Coward, James K.

CORPORATE SOURCE: Department of Chemistry, University of Michigan, Ann

Arbor, MI, 48109, USA

SOURCE: Synthesis (2002), (17), 2616-2626

CODEN: SYNTBF; ISSN: 0039-7881

PUBLISHER: Georg Thieme Verlag

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:304521

GΙ

AB The development of optically active fluorinated synthetic building blocks of general utility is a current goal of organo-fluorine chemists. The serine-derived Garner aldehyde was converted to a general 4,4-difluoroamino acid building block via fluoro-Reformatskii reaction with Et bromodifluoroacetate. The utility of this building block was demonstrated by the synthesis of derivs. of (2S)-4,4-difluoroglutamine, (2S)-4,4-difluoroglutamic acid, and its incorporation into a fluorophore-containing isopeptide (I) designed as a mechanistic probe of γ -glutamyl hydrolase. Compound I proved to be a substrate for γ -glutamyl hydrolase and was hydrolyzed at a rate significantly slower than the corresponding non-fluorinated analog.

IT 510713-90-3P

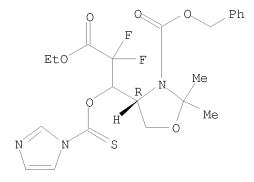
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of fluorinated peptides using fluoro-amino acids prepared via fluoro-Reformatskii reaction with Et bromodifluoroacetate)

RN 510713-90-3 CAPLUS

CN 4-Oxazolidinepropanoic acid, α, α -difluoro- β -(1H-imidazol-1-ylthioxomethoxy)-2,2-dimethyl-3-[(phenylmethoxy)carbonyl]-, ethyl ester, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:846200 CAPLUS

DOCUMENT NUMBER: 138:286986

TITLE: Synthesis of optically active 2,2-difluorohomoallyl

alcohols by lipase-catalyzed transesterification

AUTHOR(S): Kirihara, Masayuki; Kawasaki, Masashi; Katsumata,

Hiroki; Kakuda, Hiroko; Shiro, Motoo; Kawabata,

Shiqeki

CORPORATE SOURCE: Department of Materials Science, Shizuoka Institute of

Science and Technology, Fukuroi, Shizuoka, 437-8555,

Japan

SOURCE: Tetrahedron: Asymmetry (2002), 13(20), 2283-2289

CODEN: TASYE3; ISSN: 0957-4166

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:286986

AB Racemic 2,2-difluorohomoallyl alcs. could be resolved into (R)-alcs. and

(S)-acetates through Pseudomonas fluorescens lipase-catalyzed enantioselective transesterification. The utility of the resulting chiral, non-racemic 2,2-difluorohomoallyl alcs. was demonstrated by conversion of one of the (S)-acetates into a synthetically important

2,2-difluoro-3-hydroxycarboxylate derivative

IT 505068-93-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

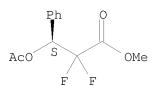
(preparation of optically active carboxylated compound derived from their corresponding difluorohomoallyl alc.)

RN 505068-93-9 CAPLUS

CN Benzenepropanoic acid, β -(acetyloxy)- α , α -difluoro-,

methyl ester, (βS) - (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2002:675024 CAPLUS

DOCUMENT NUMBER: 138:122444

TITLE: Methyl 3,3-difluoro-2-trimethylsilyloxyacrylate:

preparation and Mukaiyama-type aldol condensation as a

novel route to β , β -difluoro- α -keto

ester derivatives

AUTHOR(S): Jiang, Biao; Zhang, Xiaobing; Shi, Guoqiang

CORPORATE SOURCE: Shanghai Institute of Organic Chemistry, State Key

Laboratory of Organometallic Chemistry, Chinese Academy of Sciences, Shanghai, 200032, Peop. Rep.

II

China

SOURCE: Tetrahedron Letters (2002), 43(38), 6819-6821

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:122444

GΙ

OSiMe3

F
CO₂Me
F
F
R
CO₂Me

AB Mukaiyama-type aldol condensation of arylaldehyde acetals occurs smoothly with Me 3,3-difluoro-2-trimethylsilyloxyacrylate (I, derived from Et 3,3-difluoro-2-benzyloxyacrylate) when catalyzed by a Lewis acid, allowing preparation of 4-alkyloxy-3,3-difluoro-2-keto esters II (R = H, Cl, OMe, NO2, R1 = Me; R = F, R1 = Et).

IT 491612-53-4P 491612-54-5P 491612-55-6P

491612-56-7P 491612-57-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of $\alpha\text{-keto-}\beta,\beta\text{-difluoro}$ esters via debenzylation/silylation of $\alpha\text{-benzyloxy-}\beta,\beta\text{-}$ difluoroacrylate followed by Lewis acid-catalyzed Mukaiyama-type aldol condensation with di-Me acetals of aromatic aldehydes)

RN 491612-53-4 CAPLUS

CN Benzenebutanoic acid, $\beta,\beta\text{-difluoro-}\gamma\text{-methoxy-}\alpha\text{-oxo-},$ methyl ester (CA INDEX NAME)

RN 491612-54-5 CAPLUS

CN Benzenebutanoic acid, γ -ethoxy- β , β , 4-trifluoro- α -oxo-, methyl ester (CA INDEX NAME)

RN 491612-55-6 CAPLUS

CN Benzenebutanoic acid, 4-chloro- β , β -difluoro- γ -methoxy- α -oxo-, methyl ester (CA INDEX NAME)

RN 491612-56-7 CAPLUS

CN Benzenebutanoic acid, β , β -difluoro- γ , 4-dimethoxy- α -oxo-, methyl ester (CA INDEX NAME)

RN 491612-57-8 CAPLUS

CN Benzenebutanoic acid, β , β -difluoro- γ -methoxy-4-nitro- α -oxo-, methyl ester (CA INDEX NAME)

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:586220 CAPLUS

DOCUMENT NUMBER: 139:230959

TITLE: A concise synthesis of L-4, 4-difluoroglutamine.

[Erratum to document cited in CA136:217020]

AUTHOR(S): Meffre, Patrick; Dave, Rajesh H.; Leroy, Jacques;

Badet, Bernard

CORPORATE SOURCE: ENSCP, UMR 7573-CNRS, Paris, 75231, Fr. SOURCE: Tetrahedron Letters (2002), 43(35), 6279

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

AB Re-examination of the structural assignments of the final product reported showed that the product obtained after amino group deprotection was actually L-4,4-difluoroglutamic acid due to concomitant hydrolytic cleavage of the amide group. This problem could be circumvented by using a different amino protecting group which could be removed under non-hydrolytic conditions. Anal. data given in reference 23 on page 8627 correspond indeed to L-4,4-difluoroglutamic acid and are in agreement with the previously described data for the same compound (Konas et al., 1999;

Ding et al., 2001). Mol. mass for L-4,4-difluoroglutamic acid is M = 183 u vs. M = 182 u for L-4,4-difluoroglutamine. Supplementary MS and microanal. data are given. The analyses of the Boc-protected amide are consistent with the proposed structure.

IT 401915-30-8P

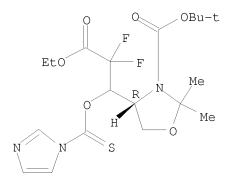
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(concise preparation of L-4, 4-diffuoroglutamine starting from Garner's aldehyde with Reformatskii reaction as key step (Erratum))

RN 401915-30-8 CAPLUS

CN 4-0xazolidinepropanoic acid, 3-[(1,1-dimethylethoxy)carbonyl]- α , α -difluoro- β -(1H-imidazol-1-ylthioxomethoxy)-2,2-dimethyl-, ethyl ester, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 10 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:1324 CAPLUS

DOCUMENT NUMBER: 136:325124

TITLE: Novel rearrangement of secondary alkoxyalkyl radicals

during addition to a double bond. Steric shielding in

the formation of tertiary alkoxyethyl radicals Paleta, Oldrich; Hajduch, Jan; Bohm, Stanislav

CORPORATE SOURCE: Department of Organic Chemistry, Prague Institute of

Chemical Technology, Prague, 16628, Czech Rep. SOURCE: Tetrahedron Letters (2002), 43(3), 481-485

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:325124

AB The participation of a 1,3-hydrogen shift in initially formed secondary alkoxyethyl radicals R1R2CH-O-CH $\sqrt{-}$ CH3 during their free-radical chain addns. to Me 2,3,3-trifluoroacrylate has been confirmed using a deuterium marked additive. Indirect evidence has been obtained for a partial 1,3-hydrogen shift in secondary radicals CH3(CH2)n-CH $\sqrt{-}$ O-CH3 to primary radicals CH3(CH2)n-CH2-O-CH2 $\sqrt{-}$. Initial formation of tertiary alkoxyethyl radicals R1R2C $\sqrt{-}$ O-CHR3R4 in the propagation step was not observed due to steric factors.

IT 412310-49-7P

AUTHOR(S):

RL: BYP (Byproduct); PREP (Preparation)

(rearrangement of secondary alkoxyalkyl radicals during addition to a double bond)

RN 412310-49-7 CAPLUS

CN Butanoic acid, 4-butoxy-2,3,3-trifluoro-, methyl ester (CA INDEX NAME)

$$\begin{array}{c|c} \text{O} & \text{F} \\ || & | \\ \text{MeO-C-CH-CF}_2\text{--CH}_2\text{--} \text{OBu-n} \end{array}$$

IT 412310-43-1P 412310-45-3P 412310-48-6P

412310-50-0P 412310-52-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

(rearrangement of secondary alkoxyalkyl radicals during addition to a double bond)

RN 412310-43-1 CAPLUS

CN Heptanoic acid, 4-butoxy-2,3,3-trifluoro-, methyl ester (CA INDEX NAME)

$$\begin{array}{c|c} \text{O} & \text{F} & \text{OBu-n} \\ || & | & | \\ \text{MeO-C-CH-CF}_2\text{-CH-Pr-n} \end{array}$$

RN 412310-45-3 CAPLUS

CN Pentanoic acid, 2,3,3-trifluoro-4-(1-methylethoxy)-, methyl ester (CA INDEX NAME)

RN 412310-48-6 CAPLUS

CN Heptanoic acid, 2,3,3-trifluoro-4-methoxy-, methyl ester (CA INDEX NAME)

$$\begin{array}{c|c} \text{O} & \text{F} & \text{OMe} \\ || & | & | \\ \text{MeO-C-CH-CF}_2\text{-CH-Pr-n} \end{array}$$

RN 412310-50-0 CAPLUS

CN Pentanoic acid, 4-(1,1-dimethylethoxy)-2,3,3-trifluoro-, methyl ester (CA INDEX NAME)

RN 412310-52-2 CAPLUS

CN Pentanoic acid, 2,3,3-trifluoro-4-(1-methylethoxy-1-d)-, methyl ester (9CI) (CA INDEX NAME)

L10 ANSWER 11 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:831828 CAPLUS

DOCUMENT NUMBER: 136:217020

TITLE: A concise synthesis of L-4,4-difluoroglutamine AUTHOR(S): Meffre, Patrick; Dave, Rajesh H.; Leroy, Jacques;

Badet, Bernard

CORPORATE SOURCE: UMR 7573-CNRS, ENSCP, Paris, F-75231, Fr. SOURCE: Tetrahedron Letters (2001), 42(49), 8625-8627

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:217020

AB L-4,4-Difluoroglutamine of high optical purity was prepared from (R)-Garner's aldehyde [tert-Bu (4R)-formyl-2,2-dimethyloxazolidine-3-carboxylate] using Reformatskii reaction as the key step for introducing the fluorinated side-chain.

IT 401915-30-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(concise preparation of L-4, 4-difluoroglutamine starting from Garner's aldehyde with Reformatskii reaction as key step)

RN 401915-30-8 CAPLUS

CN 4-Oxazolidinepropanoic acid, 3-[(1,1-dimethylethoxy)carbonyl]- α , α -difluoro- β -(1H-imidazol-1-ylthioxomethoxy)-2,2- dimethyl-, ethyl ester, (4R)- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 12 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:619600 CAPLUS

DOCUMENT NUMBER: 135:344696

TITLE: Synthesis of L-4,4-difluoroglutamic acid via nucleophilic addition to a chiral aldehyde

AUTHOR(S): Ding, Yun; Wang, Jianqiang; Abboud, Khalil A.; Xu, Yuelian; Dolbier, William R., Jr.; Richards, Nigel G.

J.

CORPORATE SOURCE: Department of Chemistry, University of Florida,

Gainesville, FL, 32611-7200, USA

SOURCE: Journal of Organic Chemistry (2001), 66(19), 6381-6388

CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

- AB This work reports a new, flexible route to enantiomerically pure L-4,4-difluoroglutamic acid. The key reaction step was the addition of difluorinated nucleophile, Reformatskii reagent (derived from Et bromodifluoroacetate and zinc), to the configurationally stable aminoaldehyde I. The resulting intermediate hydroxy ester II (R = OH) was converted to oxythiocarbonylimidazole derivative II (R = 1-imidazoylthiocarbonyl), which underwent Barton-McCombie dehydroxylation to the protected difluoroester III. Acid hydrolysis of III gave the title product.
- IT 371155-43-0P 371155-46-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of chiral difluoroglutamic acid via nucleophilic addition of Reformatskii reagent to serine-derived chiral aminoaldehyde)

RN 371155-43-0 CAPLUS

CN 4-Oxazolidinepropanoic acid, α , α -difluoro-2-oxo- β -

[(pentafluorophenoxy)thioxomethoxy]-3-(9-phenyl-9H-fluoren-9-yl)-, ethyl ester, (β S, 4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 371155-46-3 CAPLUS

CN 2,6,7-Trioxabicyclo[2.2.2]octane-1-butanoic acid, α , α -difluoro- β -(1H-imidazol-1-ylthioxomethoxy)-4-methyl- γ -[[(phenylmethoxy)carbonyl]amino]-, ethyl ester, (γ S)- (9CI) (CA

INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 105 THERE ARE 105 CITED REFERENCES AVAILABLE FOR

THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L10 ANSWER 13 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:481438 CAPLUS

DOCUMENT NUMBER: 135:210736

TITLE: A Novel Strategy for the Synthesis of

ω-Functionalized Perfluoroalkyl Iodides

AUTHOR(S): Szlavik, Zoltan; Tarkanyi, Gabor; Skribanek, Zsolt;

Vass, Elemer; Rabai, Jozsef

CORPORATE SOURCE: Department of Organic Chemistry, Eoetvoes University,

Budapest, H-1518, Hung.

SOURCE: Organic Letters (2001), 3(15), 2365-2366

CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:210736

AB The applicability of telomeric alcs., H(CF2CF2)nCH2OH [n = 5], for the synthesis of ω-functionalized F-alkylating reagents, I(CF2CF2)n-1CH2OAc, is demonstrated. The key steps of this optimized method are the activation of the HCF2- terminus in a lithiation process yielding (Z+E)-BuCF:CF(CF2CF2)4CH2OH [I, 86%] and a successive ozonation reaction in trifluoroethanol media affording CF3CH2O2C(CF2CF2)4CH2OH [93%]. This compound underwent addition reaction with 1-undecene to give Me(CH2)8CHICH2(CF2)8CH2OAc. Highly stereospecific ozone cleavage of (E)-I

was observed in methanol due to the competitive oxidation of the solvent. IT 358352-39-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of functionalized polyfluoroalkyl acetates)

RN 358352-39-3 CAPLUS

CN Decanoic acid, 10-(acetyloxy)-2,2,3,3,4,4,5,5,6,6,7,7,8,8,9,9-hexadecafluoro-, monosilver(1+) salt (9CI) (CA INDEX NAME)

 $AcO-CH_2-(CF_2)_8-CO_2H$

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 14 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

2000:841344 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 134:131064

TITLE: Practical and efficient synthesis of alkyl, alkenyl

and aryl-alkyl α , α -diffuoro esters as

precursors of potential inhibitors of the pheromone

catabolism in insects

AUTHOR(S): Jimenez, Oscar; Bosch, Maria Pilar; Guerrero, Angel CORPORATE SOURCE:

Department of Biological Organic Chemistry, Institute

of Chemical and Environmental Research (CSIC),

Barcelona, E-08034, Spain

Synthesis (2000), (13), 1917-1924 SOURCE:

CODEN: SYNTBF; ISSN: 0039-7881

Georg Thieme Verlag PUBLISHER:

DOCUMENT TYPE: Journal English LANGUAGE:

OTHER SOURCE(S): CASREACT 134:131064

An efficient method for the synthesis of long chain alkyl, alkenyl and aryl-alkyl α , α -difluoro esters through reductive cleavage of the corresponding S-Me dithiocarbonates with diphenylphosphine oxide and di-tert-Bu peroxide as initiator is reported. The α, α difluoro esters have been obtained for the first time and in good overall yields. A limitation of the method is the presence of radical-sensitive functions, such as disubstituted double or triple bonds, in the substrate since the concomitant addition of the phosphonyl radical to the unsatd. carbons may induce isomerization of the double bond or polymerization If stereomerically pure alkenyl α, α -diffuoro esters are required, it is suggested to run the reductive cleavage on the S-Me dithiocarbonate of the acetylenic precursor followed by stereoselective hydrogenation to the alkene.

321856-74-0 ΤТ

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation α , α -diffuoro esters by reductive dehydroxylation of

 α , α -difluoro β -hydroxy esters)

321856-74-0 CAPLUS RN

CN Tridecanoic acid, 2,2-difluoro-3-(phenoxythioxomethoxy)-, ethyl ester (CA INDEX NAME)

321856-44-4P 321856-46-6P 321856-48-8P

321856-50-2P 321856-52-4P 321856-54-6P

321856-56-8P 321856-58-0P 321856-59-1P

321856-61-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation α , α -diffuoro esters by reductive dehydroxylation of α , α -difluoro β -hydroxy esters)

RN 321856-44-4 CAPLUS

CN Tridecanoic acid, 2,2-difluoro-3-[(methylthio)thioxomethoxy]-, ethyl ester (CA INDEX NAME)

RN 321856-46-6 CAPLUS

CN Heptadecanoic acid, 2,2-difluoro-3-[(methylthio)thioxomethoxy]-, ethyl ester (CA INDEX NAME)

RN 321856-48-8 CAPLUS

CN Octadecanoic acid, 2,2-difluoro-3-[(methylthio)thioxomethoxy]-, ethyl ester (CA INDEX NAME)

RN 321856-50-2 CAPLUS

CN 13-Octadecenoic acid, 2,2-difluoro-3-[(methylthio)thioxomethoxy]-, ethyl ester, (13Z)- (CA INDEX NAME)

Double bond geometry as shown.

RN 321856-52-4 CAPLUS

CN 13-Hexadecenoic acid, 2,2-difluoro-3-[(methylthio)thioxomethoxy]-, ethyl ester, (13E)- (CA INDEX NAME)

Double bond geometry as shown.

RN 321856-54-6 CAPLUS

CN 13-Octadecynoic acid, 2,2-difluoro-3-[(methylthio)thioxomethoxy]-, ethyl ester (CA INDEX NAME)

RN 321856-56-8 CAPLUS

CN Benzenepropanoic acid, α , α -difluoro-4-methyl- β - [(methylthio)thioxomethoxy]-, ethyl ester (CA INDEX NAME)

RN 321856-58-0 CAPLUS

CN Benzenepropanoic acid, α , α -difluoro-4-methoxy- β - [(methylthio)thioxomethoxy]-, ethyl ester (CA INDEX NAME)

RN 321856-59-1 CAPLUS

CN Benzenepropanoic acid, $\alpha, \alpha, 4$ -trifluoro- β [(methylthio)thioxomethoxy]-, ethyl ester (CA INDEX NAME)

RN 321856-61-5 CAPLUS

CN Benzenepropanoic acid, 4-cyano- α , α -difluoro- β - [(methylthio)thioxomethoxy]-, ethyl ester (CA INDEX NAME)

CORPORATE SOURCE:

REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 15 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:3010 CAPLUS

DOCUMENT NUMBER: 130:168539

TITLE: Synthesis and biological evaluation of (23R) - and

(23S)-24, 24-difluoro- 1α , 23, 25-trihydroxyvitamin

D3

AUTHOR(S): Iwasaki, Hiroshi; Miyamoto, Yoichi; Hosotani, Ryuzo;

Nakano, Yoshio; Konno, Katsuhiro; Takayama, Hiroaki Tsukuba Research Laboratory, NOF Corporation, Tsukuba,

300-2635, Japan

Ι

SOURCE: Chemical & Pharmaceutical Bulletin (1998), 46(12),

1932-1935

CODEN: CPBTAL; ISSN: 0009-2363

PUBLISHER: Pharmaceutical Society of Japan

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 130:168539

GΙ

AB The syntheses and biol. evaluations of (23R)- and (23S)-24,24-difluoro- $1\alpha,23,25-$ trithydroxyvitamin D3 I, new C-24 fluorinated analogs of $1\alpha,25-$ dihydroxyvitamin D3, are described. The syntheses of these compds. were achieved in steps from $(5Z,7E,20R)-1\alpha,3\beta-$ bis- [(tert-butyldimethylsilyl)oxy]-20-formylmethyl-9,10-seco-5,7.10(19)pregnatriene which is derived from vitamin D2. The absolute configuration at the C-23 position of I was determined by the modified Mosher method. The relative affinities of R- and S-I to the vitamin D receptor were both 10 and 14 times lower than that of $1\alpha,25-$ dihydroxyvitamin D3, and to vitamin D binding protein were also both 130 and 40 times lower. The HL-60 cell differentiating activity of R-I was 6 times more

potent than that of 1α ,25-dihydroxyvitamin D3, while there was no remarkable difference in activity between S-I and 1α ,25-dihydroxyvitamin D3.

IT 220370-07-0P 220370-08-1P 220370-09-2P 220370-10-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and biol. evaluation of (23R)- and (23S)-24,24-difluoro- 1α ,23,25-trihydroxyvitamin D3)

RN 220370-07-0 CAPLUS

CN 9,10-Secochola-5,7,10(19)-triene-24-carboxylic acid, 1,3-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-24,24-difluoro-23-[(2S)-3,3,3-trifluoro-2-methoxy-1-oxo-2-phenylpropoxy]-, ethyl ester, $(1\alpha,3\beta,5Z,7E,23R)$ - (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 220370-08-1 CAPLUS

CN 9,10-Secochola-5,7,10(19)-triene-24-carboxylic acid, 1,3-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-24,24-difluoro-23-[(2S)-3,3,3-trifluoro-2-methoxy-1-oxo-2-phenylpropoxy]-, ethyl ester, $(1\alpha,3\beta,5z,7E,23S)$ - (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 220370-09-2 CAPLUS 9,10-Secochola-5,7,10(19)-triene-24-carboxylic acid, 1,3-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-24,24-difluoro-23-[(2R)-3,3,3-trifluoro-2-methoxy-1-oxo-2-phenylpropoxy]-, ethyl ester, $(1\alpha,3\beta,5z,7e,23R)$ -

Absolute stereochemistry. Double bond geometry as shown.

(9CI) (CA INDEX NAME)

RN 220370-10-5 CAPLUS 9,10-Secochola-5,7,10(19)-triene-24-carboxylic acid, 1,3-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-24,24-difluoro-23-[(2R)-3,3,3-trifluoro-2-methoxy-1-oxo-2-phenylpropoxy]-, ethyl ester, $(1\alpha,3\beta,5Z,7E,23S)$ - (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

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REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 16 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:454154 CAPLUS

DOCUMENT NUMBER: 129:189593

TITLE: Synthesis of 2,3-dideoxy-2,2-difluoro-L-glycero-

pentofuranosyl nucleosides

AUTHOR(S): Kotra, Lakshmi P.; Newton, M. Gary; Chu, Chung K. CORPORATE SOURCE: Department of Medicinal Chemistry, College of

Pharmacy, The University of Georgia, Athens, GA,

30602-2352, USA

SOURCE: Carbohydrate Research (1998), 306(1-2), 69-80

CODEN: CRBRAT; ISSN: 0008-6215

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

Various 2,3-dideoxy-2,2-difluoro-L-glycero-pentofuranosyl nucleosides were synthesized via the key intermediate, 5-0-benzoyl-2,3-dideoxy-2,2-difluoro-L-glycero-pentofuranose. 2,3-0-Isopropylidene-L-glyceraldehyde was coupled with Et bromodifluoroacetate under Reformatsky conditions to obtain the diastereomeric mixture of Et (4S)-3-hydroxy-3-(2,2-dimethyl-1,3-dioxolan-4-yl)-2,2-difluoro propionate. Treatment with carbon disulfide, sodium hydride and Me iodide followed by reduction afforded Et (4S)-3-(2,2-dimethyl-1,3-dioxolan-4-yl)-2,2-difluoro propionate. This compound was treated with 5% HCl in ethanol, followed by refluxing in benzene under Dean-Stark conditions, to afford the lactone. The lactone was protected and reduced to afford the key intermediate,

5-O-benzoyl-2,3-dideoxy-2,2-difluoro-L-glycero-pentofuranose. For the synthesis of pyrimidine derivs., the intermediate was converted to the mesylate and condensed with various silyl protected pyrimidine bases. The inosine and adenine derivs. were obtained from the intermediate and 6-chloropurine using standard procedures. The compds. were evaluated for their antiviral activity against HIV-1, HBV, HSV-1 and HSV-2, and for cellular toxicity. None of the synthesized compds. showed any significant activity or toxicity. Single-crystal X-ray structure of 1-(2,3-dideoxy-2,2-difluoro- β -L-glycero-pentofuranosyl)-5-iodocytosine suggested a 2'-exo/3'-endo conformation for the carbohydrate moiety.

IT 211807-31-7P 211807-62-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of dideoxydifluoroglyceropentofuranosyl nucleosides)

RN 211807-31-7 CAPLUS

CN L-glycero-Pentonic acid, 2-deoxy-2,2-difluoro-4,5-0-(1-methylethylidene)-, ethyl ester, 1H-imidazole-1-carbothioate, (3ξ) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 211807-62-4 CAPLUS

CN L-glycero-Pentonic acid, 2-deoxy-2,2-difluoro-4,5-0-(1-methylethylidene)-, ethyl ester, S-methyl carbonodithioate, (3ξ)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 17 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:450902 CAPLUS

DOCUMENT NUMBER: 129:203135

TITLE: Noncalcemic, Antiproliferative, Transcriptionally Active, 24-Fluorinated Hybrid Analogs of the Hormone

 1α , 25-Dihydroxyvitamin D3. Synthesis and

Preliminary Biological Evaluation

AUTHOR(S): Posner, Gary H.; Lee, Jae Kyoo; Wang, Qiang; Peleg,

Sara; Burke, Martin; Brem, Henry; Dolan, Patrick;

Kensler, Thomas W.

CORPORATE SOURCE: Department of Chemistry, Johns Hopkins University,

Baltimore, MD, 21218, USA

SOURCE: Journal of Medicinal Chemistry (1998), 41(16),

3008-3014

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

AB Four new hybrid analogs of 1α , 25-dihydroxyvitamin D3 have been synthesized in a convergent manner by joining A-ring and C,D-ring

fragments. Each hybrid analog, having a noncalcemic 1-hydroxymethyl group and a potentiating 16-ene 24,24-difluorinated C,D-ring side chain, was

designed to be lipophilic and inert toward 24-hydroxylase enzyme

catabolism. Each hybrid analog with 1β , 3α -substituent

stereochem. showed a pharmacol. desirable combination of in vitro high antiproliferative activity in two different cell lines and high transcriptional activity with also low calcemic activity in vivo.

IT 212124-41-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of noncalcemic, antiproliferative, transcriptionally active, 24-fluorinated hybrid analogs of 1α , 25-dihydroxyvitamin D3)

RN 212124-41-9 CAPLUS

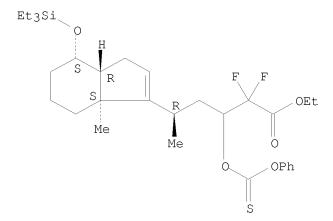
CN 1H-Indene-3-pentanoic acid, α, α -difluoro-3a,4,5,6,7,7a-

hexahydro- δ ,3a-dimethyl- β -(phenoxythioxomethoxy)-7-

[(triethylsily1)oxy]-, ethyl ester, $(\delta R, 3aS, 7S, 7aR)$ - (CA INDEX

NAME)

Absolute stereochemistry.



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 18 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:396827 CAPLUS

DOCUMENT NUMBER: 129:122385

TITLE: New expedient route to the stereoselective synthesis

of fluorinated 1,3-diol derivatives via aluminum acetals derived from $\beta\text{-alkoxy}$ esters and DIBAL

AUTHOR(S): Ishihara, Takashi; Takahashi, Atsuya; Hayashi, Hidetoshi; Yamanaka, Hiroki; Kubota, Toshio

CORPORATE SOURCE: Department of Chemistry and Materials Technology,

Kyoto Institute of Technology, Kyoto, 606-8585, Japan

SOURCE: Tetrahedron Letters (1998), 39(26), 4691-4694

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 129:122385

AB On treating the aluminum acetal intermediates, generated in situ from Et 3-benzyloxy-2,2-difluoroalkanoates or 3-benzyloxy-4,4,4-trifluorobutanoate and diisobutylaluminum hydride at -78 °C for 1 h, with allylic stannanes in the presence of titanium(IV) dichloride diisopropoxide at 0 °C for 8 h or at -30 °C for 6 h, the corresponding allylated products, polyfluoro-1,3-diol derivs., were obtained in good yields with high anti stereoselectivity.

IT 171251-57-3 210352-19-5 210352-20-8 210352-21-9 210352-22-0 210352-23-1 210352-25-3 210352-26-4 210352-27-5

RL: RCT (Reactant); RACT (Reactant or reagent) (stereoselective preparation of fluorinated 1,3-diols via aluminum acetals derived from $\beta\text{-alkoxy}$ esters)

RN 171251-57-3 CAPLUS

CN Benzenepropanoic acid, α , α -difluoro- β -(phenylmethoxy)-, ethyl ester (CA INDEX NAME)

RN 210352-19-5 CAPLUS

CN Benzenepropanoic acid, α, α -difluoro-4-methyl- β - (phenylmethoxy)-, ethyl ester (CA INDEX NAME)

RN 210352-20-8 CAPLUS

CN Benzenepropanoic acid, α , α -difluoro-4-methoxy- β - (phenylmethoxy)-, ethyl ester (CA INDEX NAME)

RN 210352-21-9 CAPLUS

CN Benzenepropanoic acid, 4-chloro- α , α -difluoro- β - (phenylmethoxy)-, ethyl ester (CA INDEX NAME)

210352-22-0 CAPLUS RN

CN Benzenepropanoic acid, α , α , 4-trifluoro- β -(phenylmethoxy)-, ethyl ester (CA INDEX NAME)

RN 210352-23-1 CAPLUS

2-Furanpropanoic acid, α , α -difluoro- β -(phenylmethoxy)-, CN ethyl ester (CA INDEX NAME)

RN 210352-25-3 CAPLUS

CN 4-Pentenoic acid, 2,2-difluoro-5-phenyl-3-(phenylmethoxy)-, ethyl ester (CA INDEX NAME)

RN 210352-26-4 CAPLUS

CN Hexanoic acid, 2,2-difluoro-3-(phenylmethoxy)-, ethyl ester (CA INDEX NAME)

210352-27-5 CAPLUS RN

CN Cyclohexanepropanoic acid, α, α -difluoro- β -(phenylmethoxy)-, ethyl ester (CA INDEX NAME)

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 19 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1998:330478 CAPLUS

DOCUMENT NUMBER: 129:54564

TITLE: Synthesis of β -difluorine-containing amino acids AUTHOR(S): Li, Keqiang; Leriche, Caroline; Liu, Hung-Wen CORPORATE SOURCE: Department of Chemistry, University of Minnesota,

Minneapolis, MN, 55455, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (1998), 8(9),

1097-1100

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 129:54564

AB A convenient strategy was developed to prepare several β,β -difluoroamino acids. 5,6-O-isopropylidene-L-isoascorbic acid was the starting material for the syntheses of 3,3-difluoro-L-homocysteine, 3,3-difluoro-L-homoserine and 3,3-difluoro-L-methionine. This approach has the potential to synthesize other β,β -difluoroamino acids.

IT 208755-96-8P 208755-97-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of β , β -difluoroamino acids)

RN 208755-96-8 CAPLUS

CN Butanoic acid, 2-azido-3,3-difluoro-4-(phenylmethoxy)-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 208755-97-9 CAPLUS

CN Butanoic acid, 2-azido-3,3-difluoro-4-(phenylmethoxy)-, 1,1-dimethylethyl ester, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 20 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:227021 CAPLUS

DOCUMENT NUMBER: 128:323921

TITLE: Lubricants and magnetic recording media using them

INVENTOR(S): Furuya, Takahiro; Sasamoto, Sayaka

PATENT ASSIGNEE(S): Hitachi Maxell, Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE ----_____ _____ _____ JР 10095991 A 19980414 JP 1996-254260 19960926 JP 1996-254260 19960926 PRIORITY APPLN. INFO.: Lubricants for magnetic recording media are compds. having F-containing polyether blocks of (CH2CF2CF2O)1 and (CHFCF2CF2O)m, where 1 or m ≥ 1 and $2 \leq 1 + m \leq 200$, and at least one terminal end having ammonium salt group. The lubricants provide improved lubricity and durability of magnetic recording media. 206852-52-0P 206852-53-1P 206852-54-2P ΤT 206852-55-3P 206852-56-4P 206852-57-5P 206852-60-0P 206852-62-2P 206852-65-5P 206852-69-9P 206852-70-2P 206852-72-4P RL: IMF (Industrial manufacture); NUU (Other use, unclassified); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses) (lubricant; lubricants and magnetic recording media using them) 206852-52-0 CAPLUS RN 1-Octadecanamine, compd. with α -(2-carboxy-2,2-difluoroethyl)-CN ω -(1,1,2,2,3-pentafluoropropoxy)poly[oxy(1,1,2,2-tetrafluoro-1,3propanediyl)] (1:1) (9CI) (CA INDEX NAME) CM 1 CRN 104677-65-8 (C3 H2 F4 O)n C6 H5 F7 O3 CMF CCI PMS $FCH_2-CF_2-CF_2-O$ $CH_2-CF_2-CF_2-O$ $CH_2-CF_2-CO_2H$ CM 2 CRN 124-30-1 CMF C18 H39 N ${\rm H_2N^-}$ (CH₂)₁₇-Me

RN 206852-53-1 CAPLUS

CN 9-Octadecen-1-amine, (9Z)-, compd. with α -(2-carboxy-2,2-difluoroethyl)- ω -(1,1,2,2,3-pentafluoropropoxy)poly[oxy(1,1,2,2-tetrafluoro-1,3-propanediyl)] (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 104677-65-8

CMF (C3 H2 F4 O)n C6 H5 F7 O3

CCI PMS

$$\mathtt{FCH}_2-\mathtt{CF}_2-\mathtt{CF}_2-\mathtt{O} - - \mathtt{CH}_2-\mathtt{CF}_2-\mathtt{CF}_2-\mathtt{O} - - - \mathtt{CH}_2-\mathtt{CF}_2-\mathtt{CO}_2\mathtt{H}$$

CM 2

CRN 112-90-3 CMF C18 H37 N

Double bond geometry as shown.

Me (CH₂) 7
$$\underline{Z}$$
 (CH₂) 8 NH_2

RN 206852-54-2 CAPLUS

CN 1-Octanamine, compd. with α -(2-carboxy-2,2-difluoroethyl)- ω -(1,1,2,2,3-pentafluoropropoxy)poly[oxy(1,1,2,2-tetrafluoro-1,3-propanediyl)] (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 104677-65-8

CMF (C3 H2 F4 O)n C6 H5 F7 O3

CCI PMS

CM 2

CRN 111-86-4 CMF C8 H19 N

$${
m H_2N^-}$$
 (CH₂) ${
m 7^-Me}$

RN 206852-55-3 CAPLUS

CN Poly[oxy(1,1,2,2-tetrafluoro-1,3-propanediyl)], α -(2-carboxy-2,2-difluoroethyl)- ω -(1,1,2,2,3-pentafluoropropoxy)-, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

CM 3

CRN 104677-65-8

CMF (C3 H2 F4 O)n C6 H5 F7 O3

CCI PMS

CM 2

CRN 121-44-8

CMF C6 H15 N

RN 206852-56-4 CAPLUS

CN 1-Octanamine, 2,2,3,3,4,4,5,5,6,6,7,7,8,8,8-pentadecafluoro-, compd. with α -(2-carboxy-2,2-difluoroethyl)- ω -(1,1,2,2,3-pentafluoropropoxy)poly[oxy(1,1,2,2-tetrafluoro-1,3-propanediyl)] (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 104677-65-8

CMF (C3 H2 F4 O)n C6 H5 F7 O3

CCI PMS

CM 2

CRN 307-29-9 CMF C8 H4 F15 N

 $H_2N-CH_2-(CF_2)_6-CF_3$

RN 206852-57-5 CAPLUS

CN Benzenamine, 4-phenoxy-, compd. with α -(2-carboxy-2,2-difluoroethyl)- ω -(1,1,2,2,3-pentafluoropropoxy)poly[oxy(1,1,2,2-tetrafluoro-1,3-propanediyl)] (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 104677-65-8

CMF (C3 H2 F4 O)n C6 H5 F7 O3

CCI PMS

CM 2

CRN 139-59-3 CMF C12 H11 N O

RN 206852-60-0 CAPLUS

CN 1,3-Benzodioxole-5-methanamine, compd. with α -(2-carboxy-2,2-difluoroethyl)- ω -(1,1,2,2,3-pentafluoropropoxy)poly[oxy(1,1,2,2-tetrafluoro-1,3-propanediyl)] (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 104677-65-8

CMF (C3 H2 F4 O)n C6 H5 F7 O3

CCI PMS

CM 2

CRN 2620-50-0 CMF C8 H9 N O2

RN 206852-62-2 CAPLUS

CN Benzenamine, 4-methoxy-, compd. with α -(2-carboxy-2,2-difluoroethyl)- ω -(1,1,2,2,3-pentafluoropropoxy)poly[oxy(1,1,2,2-tetrafluoro-1,3-propanediyl)] (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 104677-65-8

CMF (C3 H2 F4 O)n C6 H5 F7 O3

CCI PMS

$$FCH_2-CF_2-CF_2-O$$
 $CH_2-CF_2-CF_2-O$ $CH_2-CF_2-CO_2H$

CM 2

CRN 104-94-9 CMF C7 H9 N O

RN 206852-65-5 CAPLUS

CN Benzenamine, 4-(trifluoromethyl)-, compd. with α -(2-carboxy-2,2-difluoroethyl)- ω -(1,1,2,2,3-pentafluoropropoxy)poly[oxy(1,1,2,2-

tetrafluoro-1,3-propanediyl)] (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 104677-65-8

CMF (C3 H2 F4 O)n C6 H5 F7 O3

CCI PMS

CM 2

CRN 455-14-1 CMF C7 H6 F3 N

RN 206852-69-9 CAPLUS

CN [1,1'-Biphenyl]-4-amine, compd. with α -(2-carboxy-2,2-difluoroethyl)- ω -(1,1,2,2,3-pentafluoropropoxy)poly[oxy(1,1,2,2-tetrafluoro-1,3-propanediyl)] (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 104677-65-8

CMF (C3 H2 F4 O)n C6 H5 F7 O3

CCI PMS

$$\mathtt{FCH}_2-\mathtt{CF}_2-\mathtt{CF}_2-\mathtt{O} \\ \boxed{\qquad } \mathtt{CH}_2-\mathtt{CF}_2-\mathtt{CF}_2-\mathtt{O} \\ \boxed{\qquad } \mathtt{n} \\ \mathtt{CH}_2-\mathtt{CF}_2-\mathtt{CO}_2\mathtt{H} \\ \\ \\ \mathtt{n} \\ \\ \\ \mathtt{n} \\ \\ \mathtt{CH}_2-\mathtt{CF}_2-\mathtt{CO}_2\mathtt{H} \\ \\ \\ \mathtt{n} \\ \mathtt{n}$$

CM 2

CRN 92-67-1 CMF C12 H11 N

RN 206852-70-2 CAPLUS

CN Poly[oxy(1,1,2,2-tetrafluoro-1,3-propanediyl)], α -(2-carboxy-2,2-difluoroethyl)- ω -(1,1,2,2,3-pentafluoropropoxy)-, ammonium salt (9CI) (CA INDEX NAME)

$$FCH_2-CF_2-CF_2-O$$
 $CH_2-CF_2-CF_2-O$ $CH_2-CF_2-CO_2H$

● NH3

RN 206852-72-4 CAPLUS

CN 1-Octadecanamine, compd. with α -(2-carboxy-1,2,2-trifluoroethyl)- ω -(1,1,2,2,3,3-hexafluoropropoxy)poly[oxy(1,1,2,2,3-pentafluoro-1,3-propanediyl)] (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 206852-71-3

CMF (C3 H F5 O)n C6 H3 F9 O3

CCI PMS

CM 2

CRN 124-30-1 CMF C18 H39 N

 ${\rm H_2N^-}$ (CH₂)₁₇ $^{-}$ Me

L10 ANSWER 21 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:346080 CAPLUS

DOCUMENT NUMBER: 127:50911

TITLE: The first syntheses of GLA-60 positional isomers and

their biological activities

AUTHOR(S): Shiozaki, Masao; Arai, Masami; Macindoe, Wallace M.;

Mochizuki, Takashi; Wakabayashi, Takanori; Kurakata, Shin-Ichi; Tatsuta, Tohru; Maeda, Hiroaki; Nishijima,

Masahiro

CORPORATE SOURCE: Exploratory Chemistry Research Laboratories, Sankyo

Co., Ltd., Tokyo, 140, Japan

SOURCE: Bulletin of the Chemical Society of Japan (1997),

70(5), 1149-1161

CODEN: BCSJA8; ISSN: 0009-2673

PUBLISHER: Chemical Society of Japan

DOCUMENT TYPE: Journal LANGUAGE: English

GΙ

AB Six GLA-60 positional isomers , e.g. I (R = H, F), were synthesized to investigate their biol. activities. I (R = H) exhibited potent agonistic activity, on TNF α production toward human monoblastic U937 cells. TNF α production (% control; 10 ng ml-1 of LPS = 100) of I (R = H) in the concentration of 10 μ M was 611, and that of lipid A in the same concentration

was 651. In contrast, the difluorinated compds. showed little agonistic activity on $\text{TNF}\alpha$ production

IT 191157-59-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(syntheses of GLA-60 positional isomers and their agonistic activities)

RN 191157-59-2 CAPLUS

CN Tetradecanoic acid, 2,2-difluoro-3-(phenylmethoxy)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 22 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:250727 CAPLUS

DOCUMENT NUMBER: 126:240583

TITLE: Magnetic recording media and the apparatus using them INVENTOR(S): Koike, Asako; Shoji, Saburo; Nakakawaji, Takayuki;

Murakami, Juko

PATENT ASSIGNEE(S): Hitachi Ltd, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09035252	A	19970207	JP 1995-181415	19950718
PRIORITY APPLN. INFO.:			JP 1995-181415	19950718

AB Magnetic recording media having a surface layer formed on a recording layer in which information can be recorded or regenerated by a magnetic head comprise forming a lubricating layer on the surface of recording layer, where the lubricating layer contains the mols. having an adsorption-increasing portion at the terminal end for increasing the adsorption between the terminal and substrate and an aggregation (cohesion)-increasing portion in the middle part of mol. chain for increasing the cohesive energy between adjacent mols., two mol. portions comprising ≥1 of organic compds. selected from aromatic ring, condensed ring or N-containing aromatic ring compds.

IT 188432-12-4 188432-21-5

RL: NUU (Other use, unclassified); TEM (Technical or engineered material use); USES (Uses)

(film; magnetic recording media with recording layer coated by lubricant)

RN 188432-12-4 CAPLUS

CN Benzenamine, 2-methoxy-, compd. with α -[3-[4-[[5-[(9-carboxy-2,2,3,3,4,4,5,5,6,6,7,7,8,8,9,9-hexadecafluorononyl)oxy]pentyl]oxy]phenoxy]-1,1,2,2-tetrafluoropropyl]- ω -(heptafluoropropoxy)poly[oxy(1,1,2,2,3,3-hexafluoro-1,3-propanediyl)] (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 188432-11-3

CMF (C3 F6 O)n C27 H19 F27 O6

CCI PMS

$$-(CF2)3 - O-CF2-CF2-CF3$$

CM 2

CRN 90-04-0 CMF C7 H9 N O

RN 188432-21-5 CAPLUS

CN Benzenamine, 2-methoxy-, compd. with α -[2-[4-[5-[(9-carboxy-2,2,3,3,4,4,5,5,6,6,7,7,8,8,9,9-hexadecafluorononyl)oxy]pentyl]phenoxy]-1,1-difluoroethyl]- ω -[2-[4-[5-[(9-carboxy-2,2,3,3,4,4,5,5,6,6,7,7,8,8,9,9-hexadecafluorononyl)oxy]pentyl]phenyl]-1,1,2,2-tetrafluoroethoxy]poly[oxy(1,1,2,2,3,3-hexafluoro-1,3-

propanediyl)] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 188432-20-4

CMF (C3 F6 O)n C46 H36 F38 O8

CCI PMS

PAGE 1-A

PAGE 1-B

CM 2

CRN 90-04-0 CMF C7 H9 N O

L10 ANSWER 23 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:592222 CAPLUS

DOCUMENT NUMBER: 125:328451

TITLE: Preparation of heterocyclic compounds via

author(S): carbon-carbon bond formation catalyzed by an antibody Kitazume, Tomoya; Tsukamoto, Takashi; Murata, Kouichi;

Yoshimura, Koutaro

CORPORATE SOURCE: Department of Bioengineering, Tokyo Institute of

Technology Nagatsuta, Mkdori-ku, Yokohama, 226, Japan

SOURCE: Journal of Molecular Catalysis B: Enzymatic (1996),

2(1), 27-31

CODEN: JMCEF8; ISSN: 1381-1177

PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

OTHER SOURCE(S): CASREACT 125:328451

GΙ

AB A monoclonal antibody, elicited by a transition-state analog (I; KHL = keyhole limpet hemocyanin), acted as an enzyme-like catalyst for the formation of a carbon-carbon bond in the cyclization of diesters RFCO2(CH2)4CO2Me [RF = CHF2, CF3] to give chiral δ -lactones II. The generation of a carbanion by the action of an abzyme, and the internal nucleophilic attack on an activated functional group, such as a carbonyl and/or imine group with an attached fluoroalkyl group, are described. The method gave (+)-II [RF = CHF2] in 64% yield and >56% ee, and (+)-II [RF = CF3] in 57% yield and >54% ee.

RN 141546-97-6 CAPLUS

CN Propanoic acid, 3-ethoxy-2,2-difluoro-3-hydroxy-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} \text{OH} & \text{O} \\ | & || \\ \text{EtO-CH-CF}_2\text{--C-OEt} \end{array}$$

L10 ANSWER 24 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:328120 CAPLUS

DOCUMENT NUMBER: 125:11369

TITLE: Preparation of N-alkanoyl-3-O-phosphono-1-deoxy-1-

amino-D-glucose derivatives as antitumor agents and

immunostimulants

INVENTOR(S): Shiosaki, Masao; Arai, Masami; Uooresu, Matsukindoo;

Kurakata, Shinichi; Tatsuta, Tooru; Hiraoka, Tetsuo;

Nishijima, Masahiro; Akamatsu, Minoru

PATENT ASSIGNEE(S): Sankyo Co, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
JP 08053486	A	19960227	JP 1994-189330	19940811	
PRIORITY APPLN. INFO.:			JP 1994-189330	19940811	
OTHER SOURCE(S):	MARPAT	125:11369			
GI					

The title compds. [I; R1, R2 = C6-20 alkanoyl optionally having 1-5 AΒ substituents selected from a group of substituents such as halo, (un)protected OH, and (un)substituted C6-20 alkanoyloxy; R3 = H, C7-11 aralkyl or C6-10 aryl optionally having 1 or 2 substituents selected from C1-4 alkoxy and NO2; R4, R5 = H, HO-protecting group], which have excellent macrophage-activating activity and are lipopolysaccharide agonists useful as antitumor agents and immunostimulants and lipopolysaccharide antagonists useful as immunosuppressants and antiinflammatories and for the treatment of autoimmune diseases, are prepared Thus, azidation of pentaacetyl- β -D-glucose with trimethylsilyl azide in the presence of SnC14 in CH2C12 at room temperature (93.6%) and hydrogenation of the resulting 1-deoxy-2,3,4,6-tetra-0-acetyl- $\beta\text{-D-glucopyranosyl}$ azide in the presence of Pd(OH)2/C in EtOH (44.4%) gave 1-deoxy-2,3,4,6-tetra-0-acetyl- β -D-glucopyranosylamine, which underwent N-acylation with (3R)-3-benzyloxytetradecanoyl chloride in CH2Cl2 containing Et3N, deacetylation with NaOMe/MeOH, 4,6-Oisopropylidenation with dimethoxypropane in the presence of pyridinium p-toluenesulfonate in DMF, 2-O-esterification with (3R)-3tetradecanoyloxytetradecanoic acid using DCC and 4-dimethylaminopyridine in Et20, 3-O-phosphorylation with di-Ph chlorophosphate in the presence of 4-dimethylaminopyridine in CH2Cl2, deisopropylidenation with 90% aqueous AcOH at 60° for 5 h, and two-step hydrogenolysis using 10% Pd-C and then Pt2O in THF to give the title compound (II; X = H). II (X = F) showed ED50 of 0.44 μM for the production of tumor necrosis factor α $(TNF-\alpha)$ in mouse macrophage J774.1 cells in the absence of lipopolysaccharide as compared to lipopolysaccharide-induced TNF- α production (100%) in the macrophage.

RN 177086-14-5 CAPLUS

CN Tetradecanoic acid, 2,2-difluoro-3-(phenylmethoxy)- (CA INDEX NAME)

$$\begin{array}{c} \text{O-CH}_2\text{-Ph} \\ | \\ \text{Me-(CH}_2)_{10}\text{-CH-CF}_2\text{-CO}_2\text{H} \end{array}$$

L10 ANSWER 25 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:1003905 CAPLUS

DOCUMENT NUMBER: 124:86700

TITLE: Synthesis of chiral difluorinated[6]-gingerol AUTHOR(S): Fukuda, Hiroshi; Tetsu, Makio; Kitazume, Tomoya CORPORATE SOURCE: Dep. Bioeng., Tokyo Inst. Technol., Yokohama, 226,

Japan

SOURCE: Tetrahedron (1996), 52(1), 157-64 CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier DOCUMENT TYPE: Journal English LANGUAGE:

OTHER SOURCE(S): CASREACT 124:86700

Total synthesis of chiral difluorinated[6]-gingerol, (R)- or

(S)-4-HO-3-MeOC6H3CH2CH2COCF2CH(OH)(CH2)4Me, using key intermediates (R)-(+)- and (S)-(-)-Et 2,2-difluoro-3-hydroxyoctanoates, obtained via enzymic resolution with olipase/4S (Rhizopus japonicus) is described.

ΙT 172546-97-3P 172721-85-6P

RL: BPN (Biosynthetic preparation); SPN (Synthetic preparation); BIOL

(Biological study); PREP (Preparation)

(total synthesis of chiral difluorinated gingerol via enzymic resolution of difluorohydroxyoctanoate)

RN 172546-97-3 CAPLUS

CN Benzeneacetic acid, α -methoxy- α -(trifluoromethyl)-,

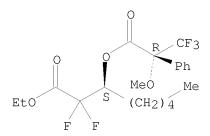
1-(2-ethoxy-1,1-difluoro-2-oxoethyl) hexyl ester, $[S-(R^*,R^*)]-(9CI)$ (CA) INDEX NAME)

Absolute stereochemistry.

RN 172721-85-6 CAPLUS

CN Benzeneacetic acid, α -methoxy- α -(trifluoromethyl)-, 1-(2-ethoxy-1,1-difluoro-2-oxoethyl) hexyl ester, $[S-(R^*,S^*)]-(9CI)$ (CA) INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 26 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:1003897 CAPLUS

DOCUMENT NUMBER: 124:202076

TITLE: Reversal of stereoselectivity in the Evans aldol

reaction of α , α -difluoro and

 α , α , α -trifluoro carbonyl compounds

Iseki, Katsuhiko; Oishi, Satoshi; Kobayashi, Yoshiro AUTHOR(S): CORPORATE SOURCE:

MEC Lab., Daikin Ind. Inc., Tsukuba, 305, Japan Tetrahedron (1996), 52(1), 71-84

SOURCE:

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier DOCUMENT TYPE: Journal LANGUAGE: English

The Evans aldol reaction of hexafluoroacetone and trifluoroacetaldehyde causes complete reversal of diastereofacial selectivity. The boron enolates derived from N-acyl oxazolidinones I (R = Me, CH2Ph, Bu, R1 = CHMe2, CH2Ph) react with F3CCHO to give anti and "non-Evans" syn aldols, e.g. II and III, resp., with stereoselectivity in the range of 7:3-17:3. With Ph(CH2)3CF2CHO, a small amount of the normal syn aldol was formed; however, the anti aldol was the major product.

IT 173974-89-5P 174172-44-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(stereochem. of Evans aldol reaction of acyl oxazolidinones with fluoro carbonyl compds)

RN 173974-89-5 CAPLUS

CN Benzenepentanoic acid, α, α -difluoro- β -(1H-imidazol-1-ylthioxomethoxy)-, ethyl ester (CA INDEX NAME)

RN 174172-44-2 CAPLUS

CN Pentonic acid, 2,4-dideoxy-2,2-difluoro-3-O-(methoxymethyl)-4-methyl-5-O-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

L10 ANSWER 27 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1995:973637 CAPLUS

DOCUMENT NUMBER: 124:9049

TITLE: Preparation of taxol derivatives as antitumors INVENTOR(S): Terasawa, Hirofumi; Soga, Tsunehiko; Uoto, Koichi

PATENT ASSIGNEE(S): Daiichi Seiyaku Co, Japan SOURCE: Jpn. Kokai Tokkyo Koho, 37 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07233159	A	19950905	JP 1994-314474	19941219
JP 3400582	В2	20030428		
PRIORITY APPLN. INFO.:			JP 1994-314474 A	19941219
			JP 1993-319888	19931220
OTHER SOURCE(S):	MARPAT	124:9049		

GΙ

AB The title compds. [I; X = halo; R1 = protected amino, Z-R6; R6 = H, (un)substituted alkyl, (un)substituted alkenyl, etc.; Z = NH, O, CO2, etc.; R2 = (un)substituted alkyl, (un)substituted alkenyl, aryl, etc.; R3 = H, alkyl, halo; R4 = H, protecting group; R5 = H, protecting group] are prepared Thus, a mixture of 7,10-bis(2,2,2-trichloroethoxycarbonyl)-10-deacetylbaccatin III and 3-(tert-butoxycarbonylamino)-2,2-difluoro-3-phenylpropionic acid (preparation given) in toluene containing 4-(dimethylamino)pyridine and di-2-pyridyl carbonate was heated at 80° for 60 h to give I [R1 = tBu-O2C-NH, R2 = Ph, R3 = X = fluoro, R4 = R5 = CO2-CH2-CCl3], which was treated with zinc in HOAc-MeOH at 60° for 15 min to give I [R1 = tBu-O2C-NH, R2 = Ph, R3 = X = fluoro, R4 = R5 = H]. In an in vitro study using P388 tumor cells, this had a GI50 value (concentration inhibiting 50% of tumor cell growth) of 21.0 ng/mL vs. taxol's 30.4 ng/mL.

IT 171250-98-9P 171250-99-0P 171338-89-9P

171338-90-2P

RN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of taxol derivs. as antitumors)

171250-98-9 CAPLUS

CN Benzenepropanoic acid, α, α -difluoro- β -(phenylmethoxy)-, 12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,6,11-trihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, [2aR-[2a α ,4 β ,4a β ,6 β ,9 α (S*),11 α ,12 α ,12a.a lpha.,12b α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 171250-99-0 CAPLUS

CN Benzenepropanoic acid, β -(benzoyloxy)- α , α -difluoro-, 12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,6,11-trihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, [2aR-[2a α ,4 β ,4a β ,6 β ,9 α (S*),11 α ,12a,12a.a lpha.,12b α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 171338-89-9 CAPLUS

CN Benzenepropanoic acid, α , α -difluoro- β -(phenylmethoxy)-, 12b-(acetyloxy)-12-(benzoyloxy)-2a, 3, 4, 4a, 5, 6, 9, 10, 11, 12, 12a, 12b-dodecahydro-4, 6, 11-trihydroxy-4a, 8, 13, 13-tetramethyl-5-oxo-7, 11-methano-1H-cyclodeca[3, 4]benz[1, 2-b]oxet-9-yl ester, [2aR-[2a α , 4 β , 4a β , 6 β , 9 α (R*), 11 α , 12 α , 12a.a lpha., 12b α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 171338-90-2 CAPLUS

CN Benzenepropanoic acid, β -(benzoyloxy)- α , α -difluoro-, 12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,6,11-trihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, [2aR-[2a α ,4 β ,4a β ,6 β ,9 α (R*),11 α ,12 α ,12a.a lpha.,12b α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ΙT 171251-25-5P 171251-53-9P 171251-54-0P 171251-57-3P 171251-58-4P 171251-59-5P 171251-60-8P 171339-15-4P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of taxol derivs. as antitumors) RN 171251-25-5 CAPLUS Benzenepropanoic acid, β -(benzoyloxy)- α , α -difluoro-, CN 12b-(acetyloxy)-12-(benzoyloxy)-2a, 3, 4, 4a, 5, 6, 9, 10, 11, 12, 12a, 12bdodecahydro-11-hydroxy-4a, 8, 13, 13-tetramethyl-5-oxo-4, 6-bis[[(2,2,2-bis]]]trichloroethoxy)carbonyl]oxy]-7,11-methano-1H-cyclodeca[3,4]benz[1,2b]oxet-9-yl ester, [2aR-[2a α , 4 β , 4a β , 6 β , 9 α (S*),1 1α , 12α , $12a\alpha$, $12b\alpha$]] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 171251-53-9 CAPLUS

CN Benzenepropanoic acid, α, α -difluoro- β -[[(2,2,2-trichloroethoxy)carbonyl]oxy]-, (4-methoxyphenyl)methyl ester (CA INDEX NAME)

RN 171251-54-0 CAPLUS

CN Benzenepropanoic acid, α, α -difluoro- β -[[(2,2,2-trichloroethoxy)carbonyl]oxy]- (CA INDEX NAME)

RN 171251-57-3 CAPLUS

CN Benzenepropanoic acid, α , α -difluoro- β -(phenylmethoxy)-, ethyl ester (CA INDEX NAME)

RN 171251-58-4 CAPLUS

CN Benzenepropanoic acid, α, α -difluoro- β -(phenylmethoxy)-(CA INDEX NAME)

RN 171251-59-5 CAPLUS

CN Benzenepropanoic acid, β -(benzoyloxy)- α , α -difluoro-, ethyl ester (CA INDEX NAME)

RN 171251-60-8 CAPLUS

CN Benzenepropanoic acid, β -(benzoyloxy)- α , α -difluoro- (CA INDEX NAME)

RN 171339-15-4 CAPLUS

CN Benzenepropanoic acid, β -(benzoyloxy)- α , α -difluoro-, 12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-11-hydroxy-4a,8,13,13-tetramethyl-5-oxo-4,6-bis[[(2,2,2-trichloroethoxy)carbonyl]oxy]-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, [2aR-[2a α ,4 β ,4a β ,6 β ,9 α (R*),1 1 α ,12 α ,12a α ,12b α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 28 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:740928 CAPLUS

DOCUMENT NUMBER: 123:127788

TITLE: Mesomorphic compound, liquid crystal composition

containing the compound, liquid crystal device using the composition, liquid crystal apparatus and display

method.

INVENTOR(S): Shinichi, Nakamura; Takao, Takiguchi; Takashi, Iwaki;

Takeshi, Togano; Yoko, Kosaka

PATENT ASSIGNEE(S): Canon K. K., Japan SOURCE: Eur. Pat. Appl., 84 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	TENT 1	. O <i>V</i>			KINI	IND DATE			APPLICATION NO.				DATE	
	6406 6406	-			A1 B1	_	1995 1999	–		EP	1994-113508	}	_	19940830
	R:	_	DE,	ES,	FR,	GB		LI,	NL,	SE	Σ			
JP	0709	7354			Α		1995	0411		JΡ	1993-237215			19930831
JP	3230	024			В2		2001	1119						
JP	0713	3244			Α		1995	0523		JΡ	1993-243580)		19930906
JP	3216	752			В2		2001	1009						
US	5653	913			A		1997	0805		US	1996-628446)		19960405
PRIORITY	Y APP	LN.	INFO	.:						JΡ	1993-237215)	Α	19930831
										JΡ	1993-243580)	Α	19930906
										US	1994-297840)	В1	19940830

OTHER SOURCE(S): MARPAT 123:127788

A mesomorphic compound CmH2m+10(CH2)n(CH2)p(CH2)q-Y1-A1-R1 [R1 = H, halogen, CN, or a linear, branched or cyclized alkyl group having 1-30 C atoms capable of including at least one -CH2- group which can be replaced with -0-, -S-, -CO-, -CH(Cl)-, -CH(CN)-, -CCH3(CN)-, -CH:CH- or -C.tplbond.Cprovided that heteroatoms are not adjacent to each other and capable of including at least one H which can be replaced with F_i m, n, p and q =1-16 provided that $m + n + p + q \le 18$; Y1 denotes a single bond, -O-, -CO-, -COO-, -OCO-, -CH:CH or -C.tplbond.C-; A1 = -A2-, -A2-X1-A3- or -A2-X1-A3-X2-A4 in which A2, A3 and A4 independently denote a divalent cyclic group; X1, X2 = a single bond, -COO-, -OCO-, -CH20-, -OCH2-, -CH2CH2-, -CH:CH- or -C.tplbond.C-] having ≥2 ether groups between alkylene groups in a specific alkoxy perfluoroalkyl terminal group is suitable as a component for a liquid crystal composition providing improved response characteristics and a high contrast. A liquid crystal device is constituted by disposing the liquid crystal composition between a pair of substrates. The liquid crystal device is used as a display panel constituting a liquid crystal apparatus providing good display characteristics. 166439-53-8 ΤT

RL: MOA (Modifier or additive use); USES (Uses)

(perfluoroalkyl mesomorphic compound for liquid crystal composition)

RN 166439-53-8 CAPLUS

CN Octanoic acid, 3,3,4,4,5,5,6,6,7,7-decafluoro-8-(nonyloxy)-, 4-(2-hexyl-6-quinolinyl)phenyl ester (CA INDEX NAME)

PAGE 1-B

— ме

L10 ANSWER 29 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1994:650926 CAPLUS

DOCUMENT NUMBER: 121:250926

TITLE: Biochemical reduction of 3-oxoalkanoic esters by a

bottom-fermentation yeast, Saccharomyces cerevisiae

IFO 0565

AUTHOR(S): Mochizuki, Naoki; Sugai, Takeshi; Ohta, Hiromichi

CORPORATE SOURCE: Central Res. Lab., Tokyo, 143, Japan

SOURCE: Bioscience, Biotechnology, and Biochemistry (1994),

58(9), 1666-70

CODEN: BBBIEJ; ISSN: 0916-8451

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 121:250926

AB The scope and limitation of a bottom-fermentation yeast (Saccharomyces cerevisiae IFO 0565) toward the reduction of 3-oxoalkanoic esters were examined The substrate specificity of this microorganism for various kinds of 3-oxoalkanoic esters was studied. This microorganism was distinct from conventional bakers' yeast in terms of its selectivity in the reduction and its high expression of a hydrolytic enzyme. 3-Oxoalkanoic esters with an aromatic substituent, a halogen substituted 3-oxoalkanoic ester, and aliphatic longer-chain 3-oxoalkanoic ester and its α, α -difluoro analog were also accepted by this microorganism. The products are useful

intermediates in the synthesis of physiol. active compds.

IT 141507-38-2P

RN 141507-38-2 CAPLUS

CN Tetradecanoic acid, 2,2-difluoro-3-[[(phenylmethoxy)carbonyl]oxy]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 30 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1994:323330 CAPLUS

DOCUMENT NUMBER: 120:323330

TITLE: Reversal of stereoselectivity in the Evans aldol

reaction of α , α -difluoro and

 α, α, α -trifluoro carbonyl compounds

AUTHOR(S): Iseki, Katasuhiko; Oishi, Satoshi; Taguchi, Takeo;

Kobayashi, Yoshiro

CORPORATE SOURCE: MEC Lab., Daikin Ind. Ltd., Tsukuba, 305, Japan

SOURCE: Tetrahedron Letters (1993), 34(50), 8147-50

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 120:323330

GΙ

AB The Evans aldol reaction of hexafluoroacetone and trifluoroacetaldehyde causes reversal of stereoselectivity. The boron enolate derived from oxazolidinones I (R = Me, PhCH2, Bu, R1 = Me2CH, PhCH2) react with trifluoroacetaldehyde to give anti and syn "non-Evans" aldols II (R2 = CF3) with stereoselectivities of 7:3-17:3.

IT 155245-76-4P 155245-77-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as intermediate in study of stereoselective aldol condensations of oxazolidinone boron enolates with fluoro aldehydes)

RN 155245-76-4 CAPLUS

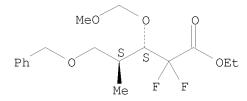
CN L-threo-Pentonic acid, 2,4-dideoxy-2,2-difluoro-3-O-(methoxymethyl)-4-methyl-5-O-(phenylmethyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 155245-77-5 CAPLUS

CN L-erythro-Pentonic acid, 2,4-dideoxy-2,2-difluoro-3-O-(methoxymethyl)-4-methyl-5-O-(phenylmethyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 31 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1994:322786 CAPLUS

DOCUMENT NUMBER: 120:322786

TITLE: Free-radical approach to the synthesis of

fluorine-substituted cyclic compounds. Cyclization reactions of trifluoromethyl- and difluoromethylene-

substituted carbon radicals

AUTHOR(S): Morikawa, Tsutomu; Uejima, Masayuki; Kobayashi,

Yoshiro; Taguchi, Takeo

CORPORATE SOURCE: Tokyo Coll. Pharm., Tokyo, 192-03, Japan

SOURCE: Journal of Fluorine Chemistry (1993), 65(1-2), 79-89

CODEN: JFLCAR; ISSN: 0022-1139

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 120:322786

GΙ

Trifluoromethyl- or difluoromethylene-substituted alkyl radicals (CF3.ovrhdot.C- or CF2.ovrhdot.C-) and trifluoromethyl-substituted alkenyl radicals (CF3.ovrhdot.C:C-) cyclize effectively intramolecularly to allow the synthesis of fluorine-substituted cyclic compds. Radical reactions of thiocarbonylimidazolide derivs. I (7a,b, 13a-d, 14e,f) gave CF3-substituted cyclopentane derivs. II (22a, 25a-d) or cyclohexane derivs. III (22b, 26e,f) via 5- or 6-exo selective cyclization. CF3-substituted cyclopentene derivs. (27a,b) or cyclohexene derivs. (27c) were also obtained from alkenyl iodides (17a-c) via radical cyclization. Cyclopentane derivs. IV (R4 = H) (29a-f, 31) containing the CF2CO2Et group were synthesized by Reformatskii reaction and radical cyclization.

IT 155226-17-8P

RN 155226-17-8 CAPLUS

CN 7-Octenoic acid, 2,2-difluoro-3-(1H-imidazol-1-ylthioxomethoxy)-, ethyl ester (CA INDEX NAME)

RN 155226-41-8 CAPLUS

CN 7-Octenoic acid, 2,2-difluoro-3-(1H-imidazol-1-ylthioxomethoxy)-8-phenyl-, ethyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155226-43-0 CAPLUS

CN 7-Nonenoic acid, 9-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-2,2-difluoro-3-(1H-imidazol-1-ylthioxomethoxy)-, ethyl ester, (Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155226-45-2 CAPLUS

CN 7-Octynoic acid, 2,2-difluoro-3-(1H-imidazol-1-ylthioxomethoxy)-8-phenyl-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} & & \\ & &$$

RN 155226-47-4 CAPLUS

CN 7-Octynoic acid, 2,2-difluoro-3-(1H-imidazol-1-ylthioxomethoxy)-8-(trimethylsilyl)-, ethyl ester (CA INDEX NAME)

RN 155226-49-6 CAPLUS

CN 7-Nonynoic acid, 9-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-2,2-difluoro-3-(1H-imidazol-1-ylthioxomethoxy)-, ethyl ester (CA INDEX NAME)

RN 155226-63-4 CAPLUS

CN 7-Octenoic acid, 2,2-difluoro-3-(1H-imidazol-1-ylthioxomethoxy)-8-phenyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L10 ANSWER 32 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1994:164839 CAPLUS

DOCUMENT NUMBER: 120:164839

TITLE: Synthesis of 4,4-difluoro-L-arginine

AUTHOR(S): Kim, Kyoung Soon; Qian, Ligang

CORPORATE SOURCE: Bristol-Myers Squibb Pharm. Res. Inst., Princeton, NJ,

08543-4000, USA

SOURCE: Tetrahedron Letters (1993), 34(45), 7195-6

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 120:164839

AB Preparation of 4,4-difluoro-L-arginine (I) as an L-arginine surrogate is described starting with Boc-D-Ser-OH (Boc = Me3CO2C). The pKa of guanidine moiety of I was 11.2, compared to 13.2 for the arginine guanidine group.

IT 153335-04-7P 153335-05-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (intermediate in preparation of difluoroarginine)

RN 153335-04-7 CAPLUS

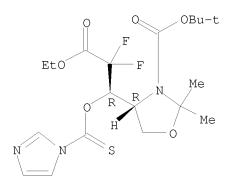
CN 4-0xazolidinepropanoic acid, 3-[(1,1-dimethylethoxy)carbonyl]- α , α -difluoro- β -(1H-imidazol-1-ylthioxomethoxy)-2,2- dimethyl-, ethyl ester, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 153335-05-8 CAPLUS

CN 4-Oxazolidinepropanoic acid, 3-[(1,1-dimethylethoxy)carbonyl]- α , α -difluoro- β -(1H-imidazol-1-ylthioxomethoxy)-2,2- dimethyl-, ethyl ester, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 33 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1993:671632 CAPLUS

DOCUMENT NUMBER: 119:271632

TITLE: Spicamycin derivatives and the use thereof

INVENTOR(S): Otake, Noboru; Kawai, Hiroyuki; Kawasaki, Tomiko;

Odagawa, Atsuo; Kamishohara, Masaru; Sakai, Teruyuki

PATENT ASSIGNEE(S): Kirin Beer K. K., Japan SOURCE: Eur. Pat. Appl., 99 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 525479	A1	19930203	EP 1992-111782	19920710
EP 525479	B1	19971105		
R: AT, BE, CH,	DE, DK	, ES, FR, G	B, GR, IT, LI, LU, MC,	NL, PT, SE
JP 05186494	A	19930727	JP 1992-110665	19920403
JP 2783722	В2	19980806		
NO 9202674	A	19930113	NO 1992-2674	19920708
NO 178500	В	19960102		
NO 178500	С	19960410		
US 5461036	A	19951024	US 1992-910640	19920708
FI 105815	B1	20001013	FI 1992-3170	19920709
CA 2073567	A1	19930113	CA 1992-2073567	19920710

CA 207	3567	C	19980505				
AU 921		A	19930114	AU	1992-19600		19920710
AU 657	551	B2	19950316				
HU 617	73	A2	19930301	HU	1992-2285		19920710
HU 221	808	B1	20030128				
ZA 920	5175	A	19930428	ZA	1992-5175		19920710
AT 159	948	T	19971115	ΑT	1992-111782		19920710
ES 211	1019	Т3	19980301	ES	1992-111782		19920710
US 563	1238	A	19970520	US	1995-429303		19950426
PRIORITY AP	PLN. INFO.:			JΡ	1991-198903	Α	19910712
				JΡ	1991-326845	Α	19911115
				JΡ	1992-110665	Α	19920403
				US	1992-910640	АЗ	19920708

OTHER SOURCE(S): MARPAT 119:271632

 $\begin{array}{c|c} & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$

AB Spicamycin derivs. I [R = alkenyl, haloalkyl, Me(CH2)nCHOH, Me(CH2)n-1CH(OH)CH2, n = 9-13; Me(CH2)a = CO2(CH2)b b = 10-15; Me(CH2)d-1CHOSO2(CH2)cMe, c = 0-3, d = 10-15; Me3Si(CH2)10, Me3SiC.tplbond.C(CH2)8, Me(CH2)5CO(CH2)10, alkynylfurans or thiophenes, R1, R2 = H, OH], useful as antitumor agents, were prepared using derivative II as a starting material. Thus, esterifying trans-2-dodecenoic acid with p-O2NC6H4OH in DMF initiated by N,N-dicyclohexylcarbodiimide gave the corresponding ester which was treated with II to give spicamycin derivative I [R = Me(CH2)8CH:CH, R1 = H, R2 = OH]. The latter was effective against human colon cancer (COL-1) at a maximum dose 18/mg/kg/day with an 86% tumor growth inhibition rate.

IT 151309-47-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and reduction by tributyltin hydride)

Ι

RN 151309-47-6 CAPLUS

CN Tetradecanoic acid, 2,2-difluoro-3-(1H-imidazol-1-ylthioxomethoxy)-, ethyl ester (CA INDEX NAME)

IT 151309-51-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reduction of)

RN 151309-51-2 CAPLUS

CN Hexadecanoic acid, 2,2-difluoro-3-(1H-imidazol-1-ylthioxomethoxy)-, ethyl ester (CA INDEX NAME)

L10 ANSWER 34 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1993:671122 CAPLUS

DOCUMENT NUMBER: 119:271122

TITLE: N-Substituted dibenzoxazepines as analgesic PGE2

antagonists

AUTHOR(S): Hallinan, E. Ann.; Hagen, Timothy J.; Husa, Robert K.;

Tsymbalov, Sofya; Rao, Shashidhar N.; vanHoeck, Jean

Pierre; Rafferty, Michael F.; Stapelfeld, Awilda;

Savage, Michael A.; Reichman, Melvin

CORPORATE SOURCE: Dep. Chem. Res., Searle, Skokie, IL, 60077, USA

SOURCE: Journal of Medicinal Chemistry (1993), 36(22), 3293-9

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 119:271122

Ι

GΙ

AB Analogs of 8-chlorodibenz[b,f][1,4]oxazepine-10(11H)-carboxylic acid, 2-acetylhydrazide (I, R = Me) (SC-19220) in which the acetyl moiety has

been replaced with pyridylpropionyl groups and their homologs, were prepared, as illustrated by I [R = 2-(4-pyridyl) ethyl (SC-51089), 1,1-difluoro-2-hydroxy-2-(2-pyridyl) ethyl (SC-51234A)]. These and other members of this series were effective analgesics and prostaglandin E2 (PGE2) antagonists of the EP1 receptor subtype. Structure activity relationships within this series are discussed.

IT 146033-37-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and radical deoxygenation of)

RN 146033-37-6 CAPLUS

CN 2-Pyridinepropanoic acid, α , α -difluoro- β -(1H-imidazol-1-ylthioxomethoxy)-, ethyl ester (CA INDEX NAME)

L10 ANSWER 35 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1993:602963 CAPLUS

DOCUMENT NUMBER: 119:202963

TITLE: Preparation and reaction of difluorinated

malonaldehydic acid derivatives: a new route to

functionalized α , α -diffuorinated esters

and amides

AUTHOR(S): Tsukamoto, Takashi; Kitazume, Tomoya

CORPORATE SOURCE: Dep. Bioeng., Tokyo Inst. Technol., Yokohama, 227,

Japan

SOURCE: Journal of the Chemical Society, Perkin Transactions

1: Organic and Bio-Organic Chemistry (1972-1999)

(1993), (10), 1177-81

CODEN: JCPRB4; ISSN: 0300-922X

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 119:202963

AB Formylation of difluorinated Reformatskii reagents derived from chlorodifluoroacetic acid derivs. ClCF2COX (X = OEt, NEt2) provided β,β -difluorinated N,O-acetals EtOCH(NMe2)CF2COX (same X), which were easily converted into the corresponding Et hemiacetals EtOCH(OH)CF2COX (same X). These compds. were effective aldehyde equivs. and reacted with active methylene compds., nitromethane, or phosphonoacetate to afford α,α -difluoro-functionalized esters and amides, e.g., (EtO2C)2CHCH(OH)CF2COX (same X) in good yields.

IT 141546-96-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and hydrolysis of, to hemiacetal)

RN 141546-96-5 CAPLUS

CN Propanoic acid, 3-(dimethylamino)-3-ethoxy-2,2-difluoro-, ethyl ester (CA

INDEX NAME)

$$\begin{tabular}{c|c} NMe_2 & O \\ & & | \\ EtO-CH-CF_2-C-OEt \\ \end{tabular}$$

IT 141546-97-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with nucleophiles)

RN 141546-97-6 CAPLUS

CN Propanoic acid, 3-ethoxy-2,2-difluoro-3-hydroxy-, ethyl ester (CA INDEX NAME)

L10 ANSWER 36 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1993:581085 CAPLUS

DOCUMENT NUMBER: 119:181085

TITLE: Preparation of 24,24-difluoro-5,7-cholestadiene-

 1α , 3β , 25-triol

INVENTOR(S): Takayama, Hiroaki; Konno, Katsuhiro; Hayashi, Takaaki

PATENT ASSIGNEE(S): Nippon Oils & Fats Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GI

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05059094	A	19930309	JP 1991-250336	19910904
PRIORITY APPLN. INFO.:			JP 1991-250336	19910904
OTHER SOURCE(S):	CASREA	CT 119:18108	5; MARPAT 119:181085	

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compound [I] is prepared, e.g., via Grignard reaction of the cholestadiene-24-carboxylic acid derivative II [R1, R2 = trialkylsilyl, alkyl, diarylsilyl, etc.] (prepared by treating the aldehyde III (preparation also described) with a dibromofluoroacetic acid ester and subsequent 25-O-esterification and then treatment with trialkyltin hydride) with methylmagnesium halides followed by treatment with tetraalkylammonium fluoride. II [R1 = R2 = Me2SiBu] (multi-step preparation given) was treated with MeMgBr and the resulting III was treated with Bu4NF in THF at 70° for 1 h to give 80% I.

IT 150054-30-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as intermediate for vitamin D3 derivative)

RN 150054-30-1 CAPLUS

CN Chola-5,7-diene-24-carboxylic acid, 1,3-bis[[(1,1-

dimethylethyl)dimethylsilyl]oxy]-24,24-difluoro-23-[(methoxyoxoacetyl)oxy]-, ethyl ester, (1 α ,3 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 37 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1993:147981 CAPLUS

DOCUMENT NUMBER: 118:147981

TITLE: Preparation of lipid X analogs as immunostimulants and

antitumor agents

INVENTOR(S): Shiosaki, Masao; Ishida, Noboru; Arai, Masami;

Kobayashi, Tomoo; Hiraoka, Tetsuo; Nishijima,

Masahiro; Akamatsu, Minoru

PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 38 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APP	LICATION NO.		DATE
					_	
JP 04235193	A	19920824	JΡ	1991-147075		19910619
JP 3040847	В2	20000515				
PRIORITY APPLN. INFO.:			JP	1990-164646	A1	19900622
OTHER SOURCE(S):	MARPAT	118:147981				
GI						

AB The title compds. [I; one of R1, R4 = H, P(O)(OH)2, OH-protecting group, and the other = P(O)(OH)2; R2, R3 = (un)substituted C6-20 acyl; R6 = H, OH-protecting group; provided that both R2 and R3 \neq (HO- or C2-20 acyl-substituted) C6-20 acyl], having excellent macrophage-activating activity with little toxicity, are prepared Thus, acylation of allyl 2-deoxy-2-amino-4,6-isopropylidene- β -D-glucopyranoside with (R)-3-benzyloxymyristic acid followed by (±)-syn-2-fluoro-3-

benzyloxycarbonyloxymyristic acid in the presence of DCC in CH2Cl2 gave β -I [R1 = allyl, R2 = (3'R)-3'-benzyloxymyristoylamino, R3 = (2''RS,3''SR)-2''-fluoro-3''-(benzyloxycarbonyloxy)myristoyl, R4R6 = isopropylidene] which was deprotected with 1,5-cyclooctadienebis(methyldiphenylphosphine)iridium hexafluorophosphate, H2O, iodine, and pyridine in THF to give I [R1 = OH, R2 = (3'R)-3'-benzyloxymyristoylamino,R3 = (2''RS, 3''SR) - 2'' - fluoro - 3'' - (benzyloxycarbonyloxy) myristoyl, R4R6 = (2''RS, 3''SR) - 2'' - fluoro - 3'' - (benzyloxycarbonyloxy) myristoyl, R4R6 = (2''RS, 3''SR) - 2'' - fluoro - 3'' - (benzyloxycarbonyloxy) myristoyl, R4R6 = (2''RS, 3''SR) - 2'' - fluoro - 3'' - (benzyloxycarbonyloxy) myristoyl, R4R6 = (2''RS, 3''SR) - 2'' - fluoro - 3'' - (benzyloxycarbonyloxy) myristoyl, R4R6 = (2''RS, 3''SR) - 2'' - fluoro - 3'' - (benzyloxycarbonyloxy) myristoyl, R4R6 = (2''RS, 3''SR) - 2'' - (benzyloxycarbonyloxy) myristoyl, R4R6 = (2''RS, 3''SR) - 2'' - (benzyloxycarbonyloxy) myristoyl, R4R6 = (2''RS, 3''SR) - 2'' - (benzyloxycarbonyloxy) myristoyl, R4R6 = (2''RS, 3''SR) - (benzyloxycarbonyloxy) myristoyl, R4R6 = (2''RS, 3''SR) - (benzyloxycarbonyloxy) myristoyl, R4R6 = (2''RS, 3''SR) - (benzyloxycarbonyloisopropylidene]. This was acylated with (PhCH2O)2POCl in the presence of BuLi in THF at -78° followed by hydrogenolysis over 10% Pd-C at -78° and simultaneous deacetonation to give I [R1 = P(0) (OH) 2, R2 = (3'R)-3'-benzyloxymyristoylamino, R3 = (2''RS,3''SR)-2''-fluoro-3''-(benzyloxycarbonyloxy)myristoyl, R4 = R6 = H]. When I [R1 = R6 = H, R2 = COCH2(OH)C11H23, R3 = COCHF(O2CC13H27)C11H23, R4 = P(O)(OH)2] (sic) was incubated for 18 h with [14C]-arachidonic acid in animal cells [J. Bio. Chemical, volume 262(35) page 17, 212-17, 220], the count of labeled prostaglandin, which was correlated to macrophage activity, was 185/min. 132792-10-0

RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, in preparation of immunostimulant and antitumor lipid X
 analog)

RN 132792-10-0 CAPLUS

ΤТ

CN Tetradecanoic acid, 2,2-difluoro-3-[[(phenylmethoxy)carbonyl]oxy]- (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ \parallel \\ \text{O-C-O-CH}_2\text{-Ph} \\ \mid \\ \text{HO}_2\text{C-CF}_2\text{-CH-(CH}_2)}_{10}\text{-Me} \end{array}$$

L10 ANSWER 38 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1993:102002 CAPLUS

DOCUMENT NUMBER: 118:102002

TITLE: Preparation of dibenz[b,f][1,4]oxazepines and related

compounds as analgesics and prostaglandin antagonists Hallinan, E. Ann; Hagen, Timothy Joseph; Husa, Robert Knol; Tsymbalov, Sofya; Lee, Albert C.; Van Hoeck,

Mior, isymbatov, borya, nee, Arbert

Jean Pierre

PATENT ASSIGNEE(S): G.D. Searle and Co., USA SOURCE: Eur. Pat. Appl., 61 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

INVENTOR(S):

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 512400 EP 512400		19921111 19981202	EP 1992-107328	19920429
R: PT CA 2108903 CA 2108903		19921104 20040210	CA 1992-2108903	19920416
WO 9219617	A2	19921112	WO 1992-US3028	19920416
W: AT, AU, BB,	BG, BR,	CA, CH, CS	, DE, DK, ES, FI, G	B, HU, JP, KP,
KR, LK, LU,	MG, MN,	MW, NL, NO	, PL, RO, RU, SD, SI	E, US
RW: AT, BE, BF,	BJ, CF,	CG, CH, CI	, CM, DE, DK, ES, FI	R, GA, GB, GN,
GR, IT, LU,	MC, ML,	MR, NL, SE	, SN, TD, TG	
AU 9222462	A	19921221	AU 1992-22462	19920416
EP 583421	A1	19940223	EP 1992-914560	19920416

EP	583421			В1	19990616				
	R: AT,	BE,	CH,	DE,	DK, ES, FR,	GB, G	R, IT, LI, LU,	NL,	SE
	06507408			Τ		JP	1992-511838		19920416
JP	3222891								
EP	694545			A2	19960131	EP	1995-116871		19920416
EP	694545			АЗ	19960327				
EP	694545			В1	20000726				
	R: AT,	BE,	CH,	DE,	DK, ES, FR,		R, IT, LI, LU,		
AT	181329			T T3 T T3	19990715	AT	1992-914560		19920416
ES	2133324			Т3	19990916		1992-914560		
AT	194987			T	20000815	AT	1995-116871		19920416
	2149305			Т3	20001101		1995-116871		
EP	694546			A2	19960131	EP	1995-116872		19920429
	694546			АЗ					
EP	694546			В1	20010606				
	R: PT								
	911331			A2		EP	1999-101029		19920429
	911331			А3	20000119				
	911331			В1	20031022				
	R: PT								
	694546			Τ	20010928		1995-116872		
	911331			Τ	20040331		1999-101029		
	5378840			А	19950103		1993-108551		
	5464830			Α			1994-295302		19940824
	5576315			A			1995-509846		19950801
	3034650			Т3	20010131		2000-402337		20001020
PRIORITY	APPLN.	INFO.	:						19910503
							1992-US3028		19920416
							1992-914560		3 19920416
							1992-107328		3 19920429
							1995-116872		3 19920429
							1993-108551		1 19930824
							1994-295302		3 19940824
OTHER SC	DURCE(S):			CASI	REACT 118:102	2002; 1	MARPAT 118:102	002	

OTHER SOURCE(S): CASREACT 118:102002; MARPAT 118:102002

I

N H O S O III

AΒ Title compds. [I; R1 = H, halo, CF3; R2 = H, halo, OH, OMe; Z = O, S, SO, SO2; X = CH:CH, CF2, CHF, (CH2)n, (CH2)pCH:CH; Y = CH(OH), NR3, S, SO, SO2, O; q, r = 0, 1; m = 0-6; n, p = 1-6; R3 = H, Me3CO2C; Ar = 0(substituted) aryl] were prepared Thus, 3-[(2-furylmethyl)thio]propanoic acid hydrazide (prepn given) and 8-chlorodibenz[b,f][1,4]oxazepine-10(11 H)-carbonyl chloride (preparation given) were condensed in PhMe containing Et3N at

reflux to give 100% title compound II. II showed ED50 = 0.9 mg/kg in the phenylbenzoquinone-induced writhing test in mice, and antagonized prostaglandin E2 in guinea pig ileum with pA2 = 8.5.

146033-37-6P ΙT

> RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, intermediate for analgesics and prostaglandin antagonists)

RN 146033-37-6 CAPLUS

2-Pyridinepropanoic acid, α , α -difluoro- β -(1H-imidazol-1-CN ylthioxomethoxy)-, ethyl ester (CA INDEX NAME)

L10 ANSWER 39 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1993:38725 CAPLUS

DOCUMENT NUMBER: 118:38725

TITLE: Cyclization reactions of β , β -difluoroalkyl

radicals (CF2C•) for synthesizing

gem-difluorocyclic compounds

AUTHOR(S): Morikawa, Tsutomu; Kodama, Yoshitoshi; Uchida, Jun;

Takano, Masami; Washio, Yoshiaki; Taguchi, Takeo

CORPORATE SOURCE: Tokyo Coll. Pharm., Hachioji, 192-03, Japan SOURCE:

Tetrahedron (1992), 48(41), 8915-26

CODEN: TETRAB; ISSN: 0040-4020

DOCUMENT TYPE: Journal LANGUAGE: English

CASREACT 118:38725 OTHER SOURCE(S):

GΙ

- AB Cyclization reactions of β , β -difluoroalkyl radicals were carried out. 5- Or 6-Exo selective radical cyclizations of ICH2CF2CH(OR)(CH2)nCH:CHR1 (n = 1, R = MeOCH2, Me3CSiMe2, R1 = cyclohexyl, Ph, BzCH2, PhCH2CH2; n = 2, R = MeOCH2, R1 = Ph, CO2Et, PhCH2OCH2) gave gem-difluorocyclopentanes and -cyclohexanes I resp. in 53-96% yields. 2,5-Disubstituted-3,3-difluorotetrahydropyrans were similarly prepared in 36-82% yields with moderate trans-selectivity (2.0:1-3.1: 1), 4,5-disubstituted-3,3-difluorotetrahydropyrans were obtained via radical deoxygenation in 60-74% yields. High stereoselectivity of radical cyclization for the formation of gem-fluorotetrahydropyran rings was achieved by introducing the bulky tert-butyldiphenylsilyl group onto the acceptor double bond.

(preparation, reduction, and iodination of)

RN 145049-23-6 CAPLUS

CN 6-Heptenoic acid, 2,2-difluoro-3-(methoxymethoxy)-7-phenyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 145049-24-7 CAPLUS

CN 2-Octenedioic acid, 7,7-difluoro-6-(methoxymethoxy)-, diethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 145049-25-8 CAPLUS

CN 6-Octenoic acid, 2,2-difluoro-3-(methoxymethoxy)-8-(phenylmethoxy)-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

IT 145049-16-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

 $(\mbox{preparation, reduction, benzylation, detetrahydropyranylation, and oxidation of}) \\$

RN 145049-16-7 CAPLUS

CN Pentanoic acid, 2,2-difluoro-3-(methoxymethoxy)-5-[(tetrahydro-2H-pyran-2-yl)oxy]-, ethyl ester (CA INDEX NAME)

L10 ANSWER 40 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:570768 CAPLUS

DOCUMENT NUMBER: 117:170768

TITLE: Lewis acid-promoted reaction of β,β -

difluorinated N,O-acetal with silylated nucleophiles

AUTHOR(S): Tsukamoto, Takashi; Kitazume, Tomoya

CORPORATE SOURCE: Dep. Bioeng., Tokyo Inst. Technol., Yokohama, 227,

Japan

SOURCE: Chemistry Letters (1992), (7), 1377-80

CODEN: CMLTAG; ISSN: 0366-7022

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 117:170768

AB Lewis acid-promoted reaction of EtO2CCF2CH(OEt)NMe2 (I) with some

silylated nucleophiles, e.g., TMSCN, afforded β,β -

difluoroamines, e.g., EtO2CCF2CH(CN)NMe2 in good yields. The formation of an iminium ion intermediate from I and BF3.OEt2 was confirmed by 19F and 13C NMR spectroscopy.

IT 141546-97-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 141546-97-6 CAPLUS

CN Propanoic acid, 3-ethoxy-2,2-difluoro-3-hydroxy-, ethyl ester (CA INDEX NAME)

ОН О

IT 141546-96-5

RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, with silylated nucleophiles, Lewis acid-promoted)

RN 141546-96-5 CAPLUS

CN Propanoic acid, 3-(dimethylamino)-3-ethoxy-2,2-difluoro-, ethyl ester (CA

INDEX NAME)

 $\begin{tabular}{c|c} NMe_2 & O \\ & & | \\ EtO-CH-CF_2-C-OEt \\ \end{tabular}$

L10 ANSWER 41 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:531482 CAPLUS

DOCUMENT NUMBER: 117:131482

TITLE: Stereospecific synthesis of 2-deoxy-2,2-

difluororibonolactone and its use in the preparation

of 2'-deoxy-2',2'-difluoro- β -D-ribofuranosyl

pyrimidine nucleosides: the key role of selective

crystallization

AUTHOR(S): Chou, T. S.; Heath, P. C.; Patterson, L. E.; Poteet,

L. M.; Lakin, R. E.; Hunt, A. H.

CORPORATE SOURCE: Lilly Res. Lab., Eli Lilly and Co., Indianapolis, IN,

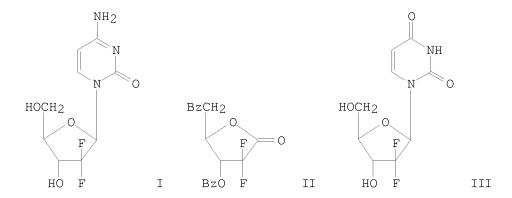
46285, USA

SOURCE: Synthesis (1992), (6), 565-70 CODEN: SYNTBF; ISSN: 0039-7881

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 117:131482

GΙ



AB A stereospecific synthesis of 2'-deoxy-2',2'-difluorocytidine (gemcitabine) (I), a potential anticancer agent, is described. The stereoselectivity was accomplished via two diastereoselective crystns., i.e. the crystallization of the key intermediate, difluororibonolactone II, and the crystallization of I.HCl from the anomeric mixture Because of the availability

of II in large quantities, other 2'-deoxy-2',2'-difluoropyrimidine nucleosides such as 2'-deoxy-2',2'-difluorouridine (III) were synthesized for structure-activity relationship studies.

IT 143234-93-9P

RL: RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent) (formation and intramol. cyclocondensation of)

RN 143234-93-9 CAPLUS

CN D-threo-Pentonic acid, 2-deoxy-2,2-difluoro-, ethyl ester, 3-benzoate (CA INDEX NAME)

Absolute stereochemistry.

IT 143234-91-7P

RL: PREP (Preparation)

(formation, spectra, and intramol. cyclocondensation of)

RN 143234-91-7 CAPLUS

CN D-erythro-Pentonic acid, 2-deoxy-2,2-difluoro-, ethyl ester, 3-benzoate (CA INDEX NAME)

Absolute stereochemistry.

IT 143234-90-6P 143234-92-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and deisopropylidenation of)

RN 143234-90-6 CAPLUS

CN D-erythro-Pentonic acid, 2-deoxy-2,2-difluoro-4,5-0-(1-methylethylidene)-, ethyl ester, 3-benzoate (CA INDEX NAME)

Absolute stereochemistry.

RN 143234-92-8 CAPLUS

CN D-threo-Pentonic acid, 2-deoxy-2,2-difluoro-4,5-O-(1-methylethylidene)-, ethyl ester, benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 42 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:427103 CAPLUS

DOCUMENT NUMBER: 117:27103

TITLE: Synthesis and N- and C-terminal extension of peptidyl

 α , α -difluoroalkyl ketones

AUTHOR(S): Hong, Wonpyo; Dong, Liwen; Cai, Zhenhong; Titmas,

Richard

CORPORATE SOURCE: IGEN, Inc., Rockville, MD, 20852, USA

SOURCE: Tetrahedron Letters (1992), 33(6), 741-4

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 117:27103

GΙ

AB The synthesis of peptidyl α,α -difluoroalkyl ketones I and II is described. The key intermediate III can be extended at not only the C-terminal but also the N-terminal.

IT 140195-69-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and peptide coupling of, with D-tyrosinamide derivative)

RN 140195-69-3 CAPLUS

CN Benzenehexanoic acid, γ -(acetyloxy)- δ -[[2-[[([1,1'-biphenyl]-4-ylmethoxy)carbonyl]amino]-1-oxo-3-(phenylmethoxy)propyl]amino]- β , β -difluoro- α -methyl- (CA INDEX NAME)

L10 ANSWER 43 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:255148 CAPLUS

DOCUMENT NUMBER: 116:255148

TITLE: Difluorinated malonaldehyde derivatives as useful

difluoromethylene-containing building blocks

AUTHOR(S): Tsukamoto, Takashi; Kitazume, Tomoya

CORPORATE SOURCE: Dep. Bioeng., Tokyo Inst. Technol., Yokohama, 227,

Japan

SOURCE: Journal of the Chemical Society, Chemical

Communications (1992), (7), 540-1 CODEN: JCCCAT; ISSN: 0022-4936

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 116:255148

AB New CF2-containing building blocks, Et 3-ethoxy-2,2-difluoro-3-hydroxypropionate and 3-ethoxy-2,2-difluoro-3-hydroxypropionamide, were

prepared by formylation of α , α -difluorinated Reformatskii

reagents, and treated with active methylene compds., nitromethane or phosphonoacetate to give α,α -difluoro-functionalized esters

IT 141546-96-5P

and amides.

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and conversion of, to hemiacetal)

RN 141546-96-5 CAPLUS

CN Propanoic acid, 3-(dimethylamino)-3-ethoxy-2,2-difluoro-, ethyl ester (CA INDEX NAME)

IT 141546-97-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with difluorohydroxy esters)

RN 141546-97-6 CAPLUS

CN Propanoic acid, 3-ethoxy-2,2-difluoro-3-hydroxy-, ethyl ester (CA INDEX NAME)

L10 ANSWER 44 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:255139 CAPLUS

DOCUMENT NUMBER: 116:255139

TITLE: Synthesis of (S)- and (R)-3-[(benzyloxycarbonyl)oxy]-

2,2-difluorotetradecanoic acid

AUTHOR(S): Shiozaki, Masao; Kobayashi, Yoshiyuki

CORPORATE SOURCE: New Lead Res. Lab., Sankyo Co., Ltd., Tokyo, 140,

Japan

SOURCE: Tetrahedron: Asymmetry (1992), 3(3), 451-8

CODEN: TASYE3; ISSN: 0957-4166

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 116:255139

Title acids n-C11H23CH(OCO2CH2Ph)CF2CO2H [(S)- and (R)-I] were synthesized from 3,4,6-tri-O-acetyl-D-glucal and Me galactopyranoside via 4,6-di-O-benzyl-2,3-dideoxy-D-erythro(or threo)-hexopyranoside, resp. Treatment of the hexopyranosides with octylidenetriphenylphosphorane followed by Jones oxidation of the alcs., treatment with DAST, catalytic hydrogenation of the double bond, and deprotection of the benzyl group yielded 2,2-difluoro-1,3-dihydroxytetradecane, from which (S)- and (R)-I

were obtained in four steps.

IT 141507-37-1P 141507-38-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 141507-37-1 CAPLUS

CN Tetradecanoic acid, 2,2-difluoro-3-[[(phenylmethoxy)carbonyl]oxy]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 141507-38-2 CAPLUS

CN Tetradecanoic acid, 2,2-difluoro-3-[[(phenylmethoxy)carbonyl]oxy]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me (CH₂)₁₀
$$_{\rm R}$$
 $_{\rm CO_2H}$ $_{\rm O}$ Ph

L10 ANSWER 45 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:214840 CAPLUS

DOCUMENT NUMBER: 116:214840

TITLE: Preparation of lipid A analogs as immunostimulants and

antitumor activity

INVENTOR(S): Shiozaki, Masao; Ishida, Noboru; Kobayashi, Tomowo;

Hiraoka, Tetsuo; Arai, Masami; Akamatsu, Yuzuru;

Nishijima, Masahiro

PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan SOURCE: Eur. Pat. Appl., 130 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 437016 EP 437016	A2 B1	19910717 19960501	EP 1990-307045	19900627
R: AT, BE, CH,	DE, DK	, ES, FR, GE	B, IT, LU, NL, SE	
JP 02256697	A	19901017	JP 1989-321153	19891211
JP 2839921	В2	19981224		
JP 03291292	A	19911220	JP 1990-401087	19901210

JP 2980693 В2 19991122

PRIORITY APPLN. INFO.: JP 1989-321153 A 19891211 JP 1990-37339 A 19900220

JP 1988-329964 A1 19881227

MARPAT 116:214840 OTHER SOURCE(S):

Ι

GI

AΒ The title compds. [I; R1, R5 = (protected) OH, F, OP(O)(OH)2; R2, R3 = (substituted) aliphatic acyl; R4 = (protected) OH, OP(O)(OH)2; with provisos] were prepared Allyl 2-deoxy-2-amino-4,6-0-isopropylidene- β -Dglucopyranoside (preparation given) was condensed with (R)-3benzyloxytetradecanoic acid in CH2C12 containing DCC to give allyl 2-deoxy-2-[(3R)-3'-benzyloxytetradecanoylamino]-4,6-0-isopropylidene- β -D-glucopyranoside, which was further condensed with (+)-syn-2-fluoro-3-benzyloxycarbonyloxytetradecanoic acid to give allyl 2-deoxy-2-[(3R')-3'-benzyloxytetradecanoylamino]-3-0-[(2''RS,3''SR)-2''fluoro-3''-(benzyloxycarbonyloxy)tetradecanoyl]-4,6-0-isopropylidene- $\beta\text{-D-glucopyranoside,}$ which in THF was treated with 1,5-cyclohexadienebis[methyldiphenylphosphine]iridium hexafluorophosphate to give 2-deoxy-2-[(3R)-3'-benzyloxytetradecanoylamino]-3-0-[(2''RS,3''SR)-2''-fluoro-3''-(benzyloxycarbonyloxy)tetradecanoyl]-4,6-0-isopropylidene-Dglucopyranose. This was phosphorylated with dibenzyl phosphorochloridate in THF-hexane containing BuLi to give, after hydrogenolysis over Pd/C, 2-deoxy-2-[(3R)-3'-hydroxytetradecanoylamino]-3-O-[(2''RS,3''SR)-2''fluoro-3''-hydroxytetradecanoyl]- α -D-glucopyranose 1-phosphate. In an in vitro experiment comparing the ability of I to effect release of [14C]-prostaglandin D2 using a macrophage-like mouse cell line J774.1, 2-deoxy-2-[(2'S,3'R)-2'-fluoro-3'-hydroxytetradecanoylamino]-3-0-[(3''R)-1]tetradecanoyloxy)tetradecanoyl-4,6-0-isopropylidene- α -Dqlucopyranose 4-phosphate (prepared similarly) was 18% more active than the known GLA-60.

ΙT 138527-44-3P 138527-45-4P 138527-46-5P

138551-05-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as immunostimulant and antitumor)

138527-44-3 CAPLUS RN

D-Glucose, 2-deoxy-2-[(3-hydroxy-1-oxotetradecyl)amino]-, CN 3-[2,2-difluoro-3-[(1-oxotetradecyl)oxy]tetradecanoate] 4-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 138527-45-4 CAPLUS

CN D-Glucose, 2-deoxy-2-[(2,2-difluoro-3-hydroxy-1-oxotetradecyl)amino]-, 3-[2,2-difluoro-3-[(1-oxododecyl)oxy]tetradecanoate] 4-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 138527-46-5 CAPLUS

CN D-Glucose, 2,6-dideoxy-6-fluoro-2-[(3-hydroxy-1-oxotetradecyl)amino]-, 3-[2,2-difluoro-3-[(1-oxotetradecyl)oxy]tetradecanoate] 4-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 138551-05-0 CAPLUS

CN D-Glucose, 2-deoxy-2-[(2,2-difluoro-3-hydroxy-1-oxotetradecyl)amino]-, 4-(dihydrogen phosphate) 3-[2,2-difluoro-3-[(1-oxotetradecyl)oxy]tetradecanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 132792-10-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, in preparation of lipid A analogs)

RN 132792-10-0 CAPLUS

CN Tetradecanoic acid, 2,2-difluoro-3-[[(phenylmethoxy)carbonyl]oxy]- (CA INDEX NAME)

L10 ANSWER 46 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

1992:59901 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 116:59901

TITLE: Preparation of lipid A monosaccharide analogs as

immunostimulants and antitumor agents.

INVENTOR(S): Shiosaki, Masao; Ishida, Noboru; Kobayashi, Tomoo;

Hiraoka, Tetsuo; Akamatsu, Minoru; Nishijima, Masahiro

PATENT ASSIGNEE(S):

Sankyo Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 54 pp. SOURCE:

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02256697	A	19901017	JP 1989-321153	19891211
JP 2839921	В2	19981224		
DD 295854	A5	19911114	DD 1990-342041	19900625
SU 1836378	A3	19930823	SU 1990-4830600	19900625
CN 1052481	A	19910626	CN 1990-106805	19900626
CN 1029405	В	19950802		
ни 55793	A2	19910628	HU 1990-3991	19900626
HU 217114	В	19991129		
CZ 285583	В6	19990915	CZ 1990-3185	19900626
CA 2019972	A1	19910611	CA 1990-2019972	19900627
CA 2019972	С	20000808		
EP 437016	A2	19910717	EP 1990-307045	19900627
EP 437016	В1	19960501		
R: AT, BE, CH,	DE, DE	K, ES, FR, G	B, IT, LU, NL, SE	
AT 137504	T	19960515	AT 1990-307045	19900627
ES 2088970	Т3	19961001	ES 1990-307045	19900627
KR 187302	В1	19990401	KR 1990-9570	19900627
RU 2076107	C1	19970327	RU 1992-5052656	19920909
US 5792840	A	19980811	US 1994-280298	19940726
KR 183315	В1	19990401	KR 1998-37538	19980911
PRIORITY APPLN. INFO.:			JP 1988-329964	A1 19881227
			JP 1989-321153	A 19891211
			JP 1990-37339	A 19900220
			US 1990-539605	B1 19900618
			KR 1990-9570	A 19900627
OBURD COURSE (C)		116 50001		

OTHER SOURCE(S): MARPAT 116:59901

GΙ

The title compds. [I; one of R1, R4 = H, P(O)(OH)2, protecting group; the AB other = P(0) (OH)2; one of R2,R3 = (halo-, HO-, or C6-20 aliphatic acyloxy-substituted) C6-20 aliphatic acyl and the other = halo-, HO-, or C6-20 aliphatic acyloxy-substituted C6-20 aliphatic acyl, (halo-, HO-, or C6-20 aliphatic acyloxy-substituted) C6-20 alkyl], possessing macrophage-activating activity (no data), are prepared Thus, amidation of I (R1 = allyl, R2 = R3 = H, R4R5 = CMe2) (preparation given) with (R)-3-benzyloxymyristic acid in CH2Cl2 containing DCC followed by esterification with (±)-syn-2-fluoro-3benzyloxycarboxyloxymyristic acid in CH2Cl2 containing DCC and 4-dimethylaminopyridine gave I [R1 = allyl, R2 = (R)-Me(CH2)10CH(OCH2Ph)CH2CO, $R3 = (\pm)-Me(CH2)10CH(OCO2CH2Ph)CHFCO$, R4R5 =CMe2]. The latter was treated with 1,5-cyclooctadienebis[methyldiphenylphosphine]iridium hexafluorophosphate and then H2, iodine, and pyridine to give I (R1 = H; R2-R5 = same as above) which was phosphorylated with (PhCH2O)2P(O)Cl in THF in the presence of BuLi followed by hydrogenolysis over 10% Pd/C to give I [R1 = P(0)(OH)2, R2 = $(R) - Me(CH2) = 10CH(OH) CH2CO, R3 = (\pm) - Me(CH2) = 10CH(OH) CHFCO, R4 = R5 = H].$ Addnl. 19 I were prepared ΙT 132792-10-0

RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, in preparation of, antitumor

(reaction of, in preparation of, antitumor and immunostimulant glucosamine lipid A analog) $\,$

RN 132792-10-0 CAPLUS

CN Tetradecanoic acid, 2,2-difluoro-3-[[(phenylmethoxy)carbonyl]oxy]- (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ \parallel \\ \text{O-C-O-CH}_2\text{-Ph} \\ \parallel \\ \text{HO}_2\text{C-CF}_2\text{-CH-(CH}_2)}_{10}\text{-Me} \end{array}$$

L10 ANSWER 47 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1991:679387 CAPLUS

DOCUMENT NUMBER: 115:279387

TITLE: Enantioselective synthesis of β , β -

difluoromalic acid via enzymic resolution of

furyl-substituted derivative

AUTHOR(S): Tsukamoto, Takashi; Yoshiyama, Tomonori; Kitazume,

Tomova

CORPORATE SOURCE: Dep. Bioeng., Tokyo Inst. Technol., Yokohama, 227,

Japan

SOURCE: Tetrahedron: Asymmetry (1991), 2(8), 759-62

CODEN: TASYE3; ISSN: 0957-4166

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 115:279387

AB (R)- And (S)-N,N-Diethyl-2,2-difluoro-3-(2-furyl)-3-hydroxypropionamide (I) have been obtained via enzymic resolution of the racemic acetate using lipase MY from Candida cylindracea. Ozonolysis of I followed by

hydrolysis afforded the (S)- β , β -difluoromalic acid.

137524-41-5P 137524-42-6P ΙT

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

137524-41-5 CAPLUS RN

2-Furanpropanoic acid, β -(acetyloxy)- α , α -difluoro-, CN

methyl ester (CA INDEX NAME)

RN 137524-42-6 CAPLUS

2-Furanpropanoic acid, β -(acetyloxy)- α , α -difluoro- (CA CN INDEX NAME)

L10 ANSWER 48 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1991:514932 CAPLUS

DOCUMENT NUMBER: 115:114932

TITLE: Synthesis of 2-deoxy-2-[(2,2-difluoro-3-

hydroxytetradecanoyl)amino]-3-0-[(R)-3-

(tetradecanoyloxy)tetradecanoyl]-D-glucopyranose

4-phosphate

AUTHOR(S): Shiozaki, Masao; Kobayashi, Yoshiyuki; Arai, Masami;

Watanabe, Takashi; Hiraoka, Tetsuo; Nishijima, Masahiro; Kuge, Sayuri; Otsuka, Toshiaki; Akamatsu,

Yuzuru

CORPORATE SOURCE: New Lead Res. Lab., Sankyo Co., Ltd., Tokyo, 140,

Japan

SOURCE: Journal of Medicinal Chemistry (1991), 34(8), 2643-6

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

GΙ

AB Title compds. I (R = n-C11H23, R1 = n-C13H27) were synthesized from allyl 2-amino-2-deoxy-4,6-O-isopropylidene- β -D-glucopyranoside,

 $(\pm)-3-[(benzyloxycarbonyl)oxy]-2,2-difluorotetradecanoic acid, and (R)-3-(tetradecanoyloxy)teradecanoic acid. Compds. I were more active than GLA-60 for the prostaglandin D2 releasing test on macrophages.$

IT 132792-10-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and coupling of, with aminodeoxyglucopyranoside)

RN 132792-10-0 CAPLUS

CN Tetradecanoic acid, 2,2-difluoro-3-[[(phenylmethoxy)carbonyl]oxy]- (CA INDEX NAME)

IT 135226-03-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deesterification of)

RN 135226-03-8 CAPLUS

L10 ANSWER 49 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1991:64555 CAPLUS

DOCUMENT NUMBER: 114:64555

TITLE: Preparation of fluorine-containing cellulose

derivatives and their properties

AUTHOR(S): Muramoto, Mieko; Yoshioka, Mariko; Shiraishi, Nobuo

CORPORATE SOURCE: Fac. Agric., Kyoto Univ., Kyoto, 606, Japan SOURCE: Sen'i Gakkaishi (1990), 46(11), 496-505

CODEN: SENGA5; ISSN: 0037-9875

DOCUMENT TYPE: Journal LANGUAGE: English

AB Cellulose dissolved in a mixture of LiCl and AcNMe2 was esterified with 4-perfluoro(3-isopropyl-4-methyl-2-penten-2-yloxy)phthalic anhydride (I) using Et3N or pyridine as a catalyst. The products obtained with either catalyst had the same degree of substitution (DS) of 2.1. Fluorine-containing cellulose derivs. with DS of 0.16 and 0.36 were also prepared by esterifications of Et cellulose (II) (DS = 2.5) with I and with 1,1,2,2,3-pentafluoropropoxy-2,2-difluoropropionyl fluoride (III), resp. Formation of these esters was confirmed by IR and 1H- and 19F-NMR spectra. Dynamic viscoelastic and thermoplastic characteristics of cellulose and II were changed considerably by their derivatization. Refractive indexes of the fluorine-containing cellulose derivs. were relatively low, 1.443-1.458. All the products were less hygroscopic than the starting materials. II, I-esterified II, and III-esterified II had low dielec. consts. and low

dielec. loss tangents, so they could be regarded as good insulators.

IT 131552-78-8P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and properties of, degree of substitution effects in)

RN 131552-78-8 CAPLUS

CN Cellulose, 2,2-difluoro-3-(1,1,2,2,3-pentafluoropropoxy)propanoate, ethyl ether (9CI) (CA INDEX NAME)

CM 1

CRN 168677-68-7 CMF C6 H5 F7 O3

 $FCH_2-CF_2-CF_2-O-CH_2-CF_2-CO_2H$

CM 2

CRN 9004-34-6 CMF Unspecified CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 3

CRN 64-17-5 CMF C2 H6 O

H₃C-СH₂-ОН

L10 ANSWER 50 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1991:45285 CAPLUS

DOCUMENT NUMBER: 114:45285

TITLE: Preparation of fluorine-containing cellulose

derivatives

INVENTOR(S): Shiraishi, Nobuo; Kubo, Motonobu PATENT ASSIGNEE(S): Daikin Industries, Ltd., Japan

SOURCE: Eur. Pat. Appl., 11 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

P.	ATENT NO.	KIND	DATE	APPLICATION NO.	DATE
E:	P 382208	A2	19900816	EP 1990-102483	19900208
E.	P 382208	A3	19910522		
	R: DE, FR, GB				
J:	P 02212501	A	19900823	JP 1989-31845	19890210
J:	P 02227401	A	19900910	JP 1989-47098	19890228
U	S 5187269	A	19930216	US 1990-476697	19900208
PRIORI'	TY APPLN. INFO.:			JP 1989-31845 A	19890210
				JP 1989-47098 A	19890228

AB The title derivs. with high F content, having good water resistance, etc., are prepared by the reaction of cellulose with compds. such as 4-[2,2-bis(perfluoroisopropyl)-1-trifluoromethyl)ethenyloxy]phthalic

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(trifluoromethyl)ethenyloxy]benzoyl chloride, FCH2CF2CF2OCH2CF2COF, or
                    FCOCF2CH2(OCF2CF2CH2)qF in the presence of an esterification catalyst. A
                    solution of cellulose in AcNMe2 containing LiCl and Et3N was treated with I (6
                    mol/mol cellulose units) to give a cellulose ester having degree of
                    substitution 2.1 and F content 47.8%.
                    131552-77-7P 131571-36-3P
 ΙT
                    RL: IMF (Industrial manufacture); PREP (Preparation)
                                (preparation of, with high fluorine content and water repellency)
RN
                    131552-77-7 CAPLUS
                    Cellulose, 2,2-difluoro-3-(1,1,2,2,3-pentafluoropropoxy)propanoate (9CI)
                    (CA INDEX NAME)
                    CM
                                       1
                    CRN 168677-68-7
                    CMF C6 H5 F7 O3
FCH2-CF2-CF2-O-CH2-CF2-CO2H
                                       2
                    CM
                    CRN 9004-34-6
                                      Unspecified
                    CMF
                    CCI PMS, MAN
 *** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
                   131571-36-3 CAPLUS
RN
CN
                   Cellulose, ester with \alpha-(2-carboxy-2,2-difluoroethyl)-\omega-
                    fluoropoly[oxy(1,1,2,2-tetrafluoro-1,3-propanediy1)] (9CI) (CA INDEX
                    NAME)
                    CM
                                      1
                    CRN 104677-65-8
                    CMF (C3 H2 F4 O)n C6 H5 F7 O3
                    CCI PMS
\mathtt{FCH}_2-\mathtt{CF}_2-\mathtt{CF}_2-\mathtt{O} \\ \hline \\ \mathtt{CH}_2-\mathtt{CF}_2-\mathtt{CF}_2-\mathtt{O} \\ \hline \\ \mathtt{n} \\ \mathtt{CH}_2-\mathtt{CF}_2-\mathtt{CO}_2\mathtt{H} \\ \\ \\ \mathtt{n} \\ \\ \mathtt{n} \\ \\ \mathtt{CH}_2-\mathtt{CF}_2-\mathtt{CO}_2\mathtt{H} \\ \\ \\ \mathtt{n} \\ \\ \mathtt{n} \\ \\ \mathtt{n} \\ \\ \mathtt{n} 
                    CM
                                       2
                    CRN 9004-34-6
                    CMF
                                      Unspecified
                    CCI PMS, MAN
 *** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
L10 ANSWER 51 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:
                                                                                                 1991:7136 CAPLUS
DOCUMENT NUMBER:
                                                                                                 114:7136
TITLE:
                                                                                                Michael addition of 2,2-difluoroketene silyl acetal.
                                                                                                Preparation of 4,4-difluoroglutamic acid and
                                                                                                 5,5-difluorolysine
AUTHOR(S):
                                                                                                 Kitagawa, Osamu; Hashimoto, Akihiro; Kobayashi,
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anhydride (I), 4-[2,2-bis(perfluoroisopropyl)-1-

Yoshiro; Taguchi, Takeo

CORPORATE SOURCE: Tokyo Coll. Pharm., Hachioji, 192-03, Japan

SOURCE: Chemistry Letters (1990), (8), 1307-10

CODEN: CMLTAG; ISSN: 0366-7022

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 114:7136

AB 2,2-Difluoroketene silyl acetals F2C:C(OMe)OSiR3 (R = Me, Et), generated in situ by treating ICF2CO2Me with Zn followed by R3SiCl, readily reacted

with $\alpha,\beta\text{-unsatd.}$ carbonyl compds. or acetals to give the

1,4-addition products, preferentially. The difluoro analogs of glutamic acid

and lysine were prepared through the present reaction.

IT 130835-35-7P 130835-36-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 130835-35-7 CAPLUS

CN 4-Pentenoic acid, 3-ethoxy-2,2-difluoro-5-phenyl-, methyl ester (CA INDEX NAME)

RN 130835-36-8 CAPLUS

CN 4-Pentenoic acid, 3-ethoxy-2,2-difluoro-, methyl ester (CA INDEX NAME)

L10 ANSWER 52 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1991:6504 CAPLUS

DOCUMENT NUMBER: 114:6504

TITLE: Preparation of 3-(2-nitroimidazolo)-2,2-

difluoropropionamides and analogs as radiosensitizers INVENTOR(S): Kagiya, Tsutomu; Abe, Mitsuyuki; Nishimoto, Seiichi;

Shibamoto, Yuta; Otomo, Susumu; Tanami, Tohru; Shimokawa, Kazuhiro; Yoshizawa, Toru; Hisanaga,

Yorisato

PATENT ASSIGNEE(S): Nishijima, Yasunori, Japan; Taisho Pharmaceutical Co.,

Ltd.; Daikin Industries, Ltd.

SOURCE: Eur. Pat. Appl., 18 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 373630	A1	19900620	EP 1989-123062	19891213
R: AT, BE, CH,	DE, ES	, FR, GB,	GR, IT, LI, LU, NL, SE	
CA 2005261	A1	19900614	CA 1989-2005261	19891212
US 4977273	A	19901211	US 1989-448909	19891212
AU 8946713	A	19900621	AU 1989-46713	19891213
AU 625581	B2	19920716		
ZA 8909503	A	19900926	ZA 1989-9503	19891213
JP 02275863	A	19901109	JP 1989-325437	19891214

PRIORITY APPLN. INFO.: JP 1988-315974 A 19881214 OTHER SOURCE(S): CASREACT 114:6504; MARPAT 114:6504

GΙ

The title compds. [I; R = CH2CFXCH2OR1; R1 = CH2CH(OR2)CH2OR2, (CH2)1OR2, (CH2)1COR2, (CH2)m(CF2)n[CONH(CHR3)r(CF2)p]qZ, etc.; R2 = H, OH (sic), alkyl, acyl; R22 = PhCH, Me2C; R3 = H, alkyl; X = H, halo; Z = H, CO2R3, CO2H, CONH2, etc.; l = 1-3; m, n = 0-4; p = 0-2; q, r = 0-3] were prepared as hypoxic cell sensitizers. Thus, I (R = CH2CF2CO2Me) was stirred 1 h with H2NCH2CH2CO2Me.HCl in MeOH containing KOH and the product stirred 2 days with aqueous NH3-MeOH containing KOH to give I (R = CH2CF2CONHCH2CH2CONH2)

gave cell-survival rate of EMT-6 tumor cells X-irradiated in mouse thigh 66% that of unirradiated cells after administration of 100 mg/kg i.p.

IT 130777-27-4P

which

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of radiosensitizers)

RN 130777-27-4 CAPLUS

CN Propanoic acid, 3-[2,2-difluoro-3-(2-nitro-1H-imidazol-1-yl)propoxy]-2,2-difluoro-, methyl ester (CA INDEX NAME)

L10 ANSWER 53 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1990:547802 CAPLUS

DOCUMENT NUMBER: 113:147802

TITLE: Structure-activity studies of fluoroketone inhibitors

of α -lytic protease and human leukocyte elastase

AUTHOR(S): Govardhan, Chandrika P.; Abeles, Robert H.

CORPORATE SOURCE: Grad. Dep. Biochem., Brandeis Univ., Waltham, MA,

02254, USA

SOURCE: Archives of Biochemistry and Biophysics (1990),

280(1), 137-46

CODEN: ABBIA4; ISSN: 0003-9861

DOCUMENT TYPE: Journal LANGUAGE: English

AB A series of peptidyl fluoroketones that reversibly inhibit the serine proteases human leukocyte elastase (HLE) and α -lytic protease (α -LP) were synthesized. Ac-ambo-AlaCF3 inhibits HLE and α -LP with Kis of 2.4 and 15 mM, resp. The effects of structural variations on this parent compound on Ki and the kinetics of inhibition were studied. The acetyl group was replaced by the tripeptide Z-L-Ala-L-Ala-L-Pro to yield the tetrapeptide trifluoroketone (TFK) Z-L-Ala-L-Ala-L-Pro-ambo-AlaCF3 (I). This extension reduced Ki 3500-fold for HLE and 3000-fold for α -LP. Removal of a F atom from a TFK decreases Ki .apprx.15-30-fold with both enzymes. Replacement of one atom of I by a residue

(-CH2-CH2-COLeuOMe) (II) which can interact with the S'1 and S'2 subsites decreased Ki 30-fold for HLE and 150-fold for $\alpha-LP$ compared to Z-L-Ala-L-Pro-ambo-AlaCF2H. The Ki of II for HLE is approx. equal to that of trifluoroketone I. For $\alpha-LP$ Ki of II is 10-fold lower than that for the trifluoroketone I. Inhibitors with Ki values <10-7M exhibit slow binding kinetics. By analogy to cholinesterases and chymotrypsin, it is likely that these enzymes combine with the keto form of the inhibitor to form the enzyme-inhibitor complex. Therefore, Kon and Ki were corrected for the ketone concentration. The corrected kon values for the slow

binding inhibitors are in most cases less than diffusion controlled, ranging between 8.2 + 104 and 4.68 + 106 M-1 s0-1. An exception is Z-L-Ala-L-Ala-L-Pro-ambo-ValCF3 where kon = 9 + 107 M-1 s-1, which is nearly diffusion controlled.

IT 129660-36-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and conversion to nitro alc.)

RN 129660-36-2 CAPLUS

CN Pentanoic acid, 4,4-difluoro-5-hydroxy-5-methoxy-, methyl ester (CA INDEX NAME)

$$\begin{array}{c|c} \text{O} & \text{OH} \\ \parallel & \parallel & \parallel \\ \text{MeO-C-CH}_2\text{--CH}_2\text{--CF}_2\text{--CH--OMe} \end{array}$$

L10 ANSWER 54 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1990:119358 CAPLUS

DOCUMENT NUMBER: 112:119358

TITLE: Preparation of cyclic or acyclic nucleoside

(fluoroalkyl)phosphonates as antiviral and antitumor

agents

INVENTOR(S): Casara, Patrick; Jund, Karin

PATENT ASSIGNEE(S): Merrell Dow Pharmaceuticals, Inc., USA

SOURCE: Eur. Pat. Appl., 19 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 339161 R: FR	A1	19891102	EP 1988-400806	19880401
EP 335770	A2	19891004	EP 1989-400773	19890320
EP 335770	A3	19901227		
EP 335770	B1	19970115		
R: AT, BE, CH,	DE, ES	, FR, GB, (GR, IT, LI, LU, NL, SE	
AT 147746	T	19970215	AT 1989-400773	19890320
FI 8901462	A	19891002	FI 1989-1462	19890328
ZA 8902284	A	19900228	ZA 1989-2284	19890328
DK 8901573	A	19891002	DK 1989-1573	19890331
NO 8901381	A	19891002	NO 1989-1381	19890331
HU 49627	A2	19891030	HU 1989-1608	19890331
HU 204535	В	19920128		
JP 02072192	A	19900312	JP 1989-78743	19890331
CN 1036578	A	19891025	CN 1989-101905	19890401
AU 8932410	A	19891005	AU 1989-32410	19890403
AU 614128	В2	19910822		
AU 9178366	A	19910912	AU 1991-78366	19910614

AU 631319 B2 19921119

PRIORITY APPLN. INFO.: EP 1988-400806 A 19880401 EP 1989-400773 A 19890320

OTHER SOURCE(S): CASREACT 112:119358; MARPAT 112:119358

GΙ

The title fluorinated nucleotides HOP(0) (CH2F3-n)OCHRZB [I; n = 0, 1, 2; B AB = Q-Q3, 5-carbamoyl-1,2,4-triazol-1-yl; X = S, Se; X1 = N, CY1; Y1 = H,Me, Et, iodo, F, Cl, Br, NH2, SH, CH:CH2, C.tplbond.CH, etc.; X2 = H, F, Me, CH: CHBr; X3 = H, OH, CL, NH2, SMe, SH; X4 = H, NH2, F, Br, Cl, iodo; X5 = N, CH; Y2 = H, Et; Z = CH2CHR1CH2O-(a), CHR1OCHR2-(a), CHR3CHR4CH2-(a), CHR5OCH2-(a), Q4, Q5, etc., where the (a)-terminus is bonded to B; R1 = H, CH2OH, CH(OH)CH2OH, Me, CH2NH2, CH2CH2OH, CHO; R2 = H, CH2OH, CH2CH2OH, CHO; R3 = H, OH, CH2OH; R4 = H, OH; R5 = CF2CH2OH; R6 = CHF2, CF3, CF2CH2OH; R7, R9 = H, OH, F, N3, NH2, C1; R8, R10 = H, F, C1; R11, R13 = H, OH; R12, R14 = H], useful as antiviral and antitumor agents (no data) are prepared Thus, condensation of 9-[(2hydroxyethoxy)methyl]quanine with CF2HF(O)(OH)2 in the presence of DCC in pyridine gave 2-[(9-guanyl)methoxy]ethyl difluoromethylphosphonate. ΙT 125512-29-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as intermediate for acyclic nucleoside (fluoroalkyl)phosphonates)

RN 125512-29-0 CAPLUS

CN Propanoic acid, 2,2-difluoro-3-(phenylmethoxy)-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ || \\ \text{EtO-C-CF}_2\text{-CH}_2\text{-O-CH}_2\text{-Ph} \end{array}$$

L10 ANSWER 55 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1990:119356 CAPLUS

DOCUMENT NUMBER: 112:119356

TITLE: Preparation of (acyclo)nucleoside

(fluoroalkyl)phosphonates as antivirals and antitumors

INVENTOR(S): Casara, Patrick; Jund, Karin

PATENT ASSIGNEE(S): Merrell Dow Pharmaceuticals, Inc., USA

SOURCE: Eur. Pat. Appl., 24 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PAT	TENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP	335770	A2	19891004	EP 1989-400773		19890320
EP	335770	А3	19901227			
EP	335770	В1	19970115			
	R: AT, BE, CH	, DE, E	S, FR, GB,	GR, IT, LI, LU, NL, S	E	
EP	339161	A1	19891102	EP 1988-400806		19880401
	R: FR					
FΙ	8901462	A	19891002	FI 1989-1462		19890328
DK	8901573	A	19891002	DK 1989-1573		19890331
ИО	8901381	A	19891002	NO 1989-1381		19890331
HU	49627	A2	19891030	HU 1989-1608		19890331
HU	204535	В	19920128			
JP	02072192	A	19900312	JP 1989-78743		19890331
CN	1036578	A	19891025	CN 1989-101905		19890401
AU	8932410	A	19891005	AU 1989-32410		19890403
AU	614128	В2	19910822			
AU	9178366	A	19910912	AU 1991-78366		19910614
AU	631319	В2	19921119			
PRIORITY	APPLN. INFO.:			EP 1988-400806	Α	19880401
				EP 1989-400773	А	19890320

OTHER SOURCE(S): MARPAT 112:119356

GI For diagram(s), see printed CA Issue.

The title compound [HOP(0)(CHnF3-n)OCHTXB; I; II; B = (substituted) nucleoside base, e.g., uracil, thymine, cytosine, 5-halouracil, 5-carboxyuracil; n = 0, 1,2; T = H, OH; X = (substituted) (oxa)trimethylene, (substituted) propadienylene, (substituted) ethylene, Q or its derivative, Q1 or its derivative; R15-R19 = H, nucleoside base, etc.], useful as antivirals and antitumor agents (no data), are prepared 9-[(2-Hydroxyethoxy)methyl]guanine was condensed with (difluoromethyl)phosphonic acid in pyridine containing DCC to give 2-(9-guaninylmethoxy)ethyl (difluoromethyl)phosphonate.

IT 125512-29-0P

CORPORATE SOURCE:

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as intermediate for antivirals and antitumors)

RN 125512-29-0 CAPLUS

CN Propanoic acid, 2,2-difluoro-3-(phenylmethoxy)-, ethyl ester (CA INDEX NAME)

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L10 ANSWER 56 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN
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ACCESSION NUMBER: 1990:56418 CAPLUS

DOCUMENT NUMBER: 112:56418

TITLE: Synthesis and biological activity of novel vitamin D

analogs: 24,24-difluoro-25-hydroxy-26,27-dimethylvitamin D3 and 24,24-difluoro- 1α ,25-

dihydroxy-26,27-dimethylvitamin D3

AUTHOR(S): Gill, Harpal S.; Londowski, James M.; Corradino,

Robert A.; Zinsmeister, Alan R.; Kumar, Rajiv Eagle-Picher Ind., Inc., Lenexa, KS, 66215, USA

SOURCE: Journal of Medicinal Chemistry (1990), 33(2), 480-90

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 112:56418

GΙ

- AB The title vitamin D3 derivs. I (R=H, OH) were prepared from 22,23-dinorcholenic acid II in several steps. I are highly potent vitamin D analogs with bioactivity in vivo similar to that of 25-hydroxyvitamin D3.
- IT 123836-02-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and cleavage of, with tributyltin hydride)

Ι

RN 123836-02-2 CAPLUS

CN Chol-5-ene-24-carboxylic acid, 24,24-difluoro-23-(1H-imidazol-1-ylthioxomethoxy)-3-(methoxymethoxy)-, ethyl ester, (3 β ,23S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 123836-01-1P

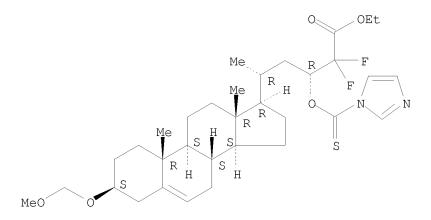
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reduction of, with tributyltin hydride)

RN 123836-01-1 CAPLUS

CN Chol-5-ene-24-carboxylic acid, 24,24-difluoro-23-(1H-imidazol-1-ylthioxomethoxy)-3-(methoxymethoxy)-, ethyl ester, (3 β ,23R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 57 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1989:534693 CAPLUS

DOCUMENT NUMBER: 111:134693

TITLE: Preparation of 2',2'-difluoro nucleosides

INVENTOR(S): Chou, Ta Sen; Heath, Perry Clark; Patterson, Lawrence

Edward

PATENT ASSIGNEE(S): Eli Lilly and Co., USA SOURCE: Eur. Pat. Appl., 22 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 306190	A2	19890308	EP 1988-307750	19880822
EP 306190	А3	19911121		
EP 306190	В1	19980408		

		BE,	CH,	DE,	ES, FR, GB,	GR, I	T, LI, NL, SE		
	87517			А		IL	1988-87517		19880822
	1324128			С	19931109	CA	1988-575329		19880822
	630905			A1	19941228	EP	1994-202041		19880822
EP	630905			В1					
		BE,	CH,				T, LI, NL, SE		
	102144			A	19950629		1988-102144		19880822
	688782			A1		EP	1995-201845		19880822
EP	688782			B1					
		BE,	CH,				T, LI, NL, SE		1000000
	688783			A1		EP	1995-201846		19880822
EP	688783		~	B1		an -			
3.00		BE,	CH,				T, LI, NL, SE		10000000
	164847			T			1988-307750		19880822
	2113845			Т3	19980516		1988-307750		19880822
	179713			T	19990515		1994-202041		19880822
	2131152			T T3 T3	19990716		1994-202041		19880822
	2157289 217009						1995-201846		19880822
				T			1995-201845		19880822
	2176279			T3	20021201		1995-201845		19880822
	01071894			A		JP	1988-213482		19880826
	2738540			B2			1000 4471		1000000
	47590 202249			A2 B	19890328 19910228	HU	1988-4471		19880826
	202249			В		TITT	1990-6268		19880826
	62909			A2	19930128		1990-0208		19880826
	213199			B B		по	1992-1700		19000020
	10072457			A	19980317	.TD	1997-227946		19880826
	10072437			A	19980317		1997-227948		19880826
	9702659			B1	19970307		1988-10908		19880827
	4965374			A			1989-445139		19891204
	5223608			A			1990-551972		19900712
	5434254			A	19950718		1993-49220		19930419
	1330988			C	19940726		1993-616612		19930422
	1330989			Ċ	19940726		1993-616614		19930422
	1331008			Ċ	19940726		1993-616615		19930422
	1331194			C	19940802		1993-616613		19930422
	5945547			А	19990831		1997-820821		19970319
JP	10072483			А	19980317	JP	1997-227952		19970825
JP	2899576			В2	19990602				
JP	10081696			A	19980331	JP	1997-227954		19970825
JP	2899577			В2	19990602				
	3036500			Т3	20011130	GR	2001-401360		20010831
PRIORITY	APPLN.	INFO.	. :			US	1987-90725	А	19870828
						CA	1988-575329	А3	19880822
						EP	1988-307750	А3	19880822
						IL	1988-87517	А3	19880822
							1988-236058		19880824
							1988-4471		19880826
							1988-213482		19880826
							1989-445139		19891204
							1990-551972		19900712
							1993-49220		19930419
				_			1995-431595		19950501
OTHER SC GI	OURCE(S):			CASI	REACT 111:13	4693 ; 1	MARPAT 111:13469	3	

GI

NHR3

Ca. 1:1 anomeric mixts. of the title nucleosides I [B = nucleoside base, e.g., Q1,Q2,Q3; X = N, CR4; R3 = H, C1-4 alkyl, COR5; R4 = H, C1-4 alkyl, amino, Br, Cl, iodo; R5 = H, C1-4 alkyl], useful as antiviral agents (no data), are prepared via reaction of protected difluorosugars II (L = leaving group) with an appropriate base B-H. Also, sugar derivs. III (R = H, Bz) are prepared by hydrolyzing propionates IV (Q = C1-4 alkyl; R6, R7 = alkyl) with a strong acid followed by azeotropic distillation of H2O. 3,5-Di-O benzoyl-2-deoxy-2,2-difluoro-D-erythro-pentofuranose in ClCH2CH2Cl containing CF3SO3SiMe3 was refluxed with bis(trimethylsilyl)-N-acetylcytosine for about 8 h to give, after deprotection, a 1:1 α/β anomeric mixture of 2'-deoxy-2',2'-difluorocytidine.

IT 122111-09-5

RL: RCT (Reactant); RACT (Reactant or reagent)
 (deacetonation and lactonization of)

RN 122111-09-5 CAPLUS

CN Pentonic acid, 2-deoxy-2,2-difluoro-4,5-O-(1-methylethylidene)-, ethyl ester, benzoate (9CI) (CA INDEX NAME)

IT 122111-10-8P

RN 122111-10-8 CAPLUS

CN Pentonic acid, 2-deoxy-2,2-difluoro-, ethyl ester, 3-benzoate (9CI) (CA INDEX NAME)

L10 ANSWER 58 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1987:85059 CAPLUS

DOCUMENT NUMBER: 106:85059

Amino acid and peptide derivatives as peptidase TITLE:

inhibitors

PATENT ASSIGNEE(S): Merrell Dow Pharmaceuticals, Inc., USA

SOURCE: Jpn. Kokai Tokkyo Koho, 52 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND 	DATE		DATE
JP 61183253	А			
JP 2529825	В2	19960904		
AU 8652881	A	19860807	AU 1986-52881	19860131
AU 600226	B2	19900809		
ZA 8600746	A	19860924	ZA 1986-746	19860131
IL 77748	A	19911121	IL 1986-77748	19860131
CA 1341029	С	20000620	CA 1986-500832	19860131
DK 8600515	A A B	19860805	DK 1986-515 FI 1986-484	19860203
FI 8600484	A	19860805	FI 1986-484	19860203
FI 94254	В			
	С			
NO 8600371	A	19860805	NO 1986-371	19860203
NO 169543	В	19920330		
NO 169543	С	19920708		
HU 40142	A2	19861128	HU 1986-467	19860203
HU 207102	В			
CN 86101268	A	19870204	CN 1986-101268	19860203
ES 551597	A1	19871116	ES 1986-551597 EP 1986-101437	19860203
EP 195212	A2	19860924	EP 1986-101437	19860204
EP 195212	A3	19881005		
EP 195212	B1	19931124		
R: AT, BE, CH				
AT 97652	T	19931215	AT 1986-101437	
ES 553504	A1	19871016	ES 1986-553504	19860326
ES 553505	A1	19871016	ES 1986-553505	
US 5496927	A		US 1994-248847	
US 5849866	A	19981215	US 1995-481666	
US 6130315	A	20001010	US 1998-139009	19980824
PRIORITY APPLN. INFO.:			US 1985-697987	A 19850204
			EP 1986-101437	
			US 1986-874721	B1 19860616
			US 1988-267758	B1 19881101
			US 1989-372162	B2 19890627
			US 1990-540033	B1 19900619
			US 1992-980141	B1 19921123
			US 1993-102522	
			US 1994-248847	A3 19940525
			US 1995-481666	A3 19950607
AB R1NHCHR2COX [R1 =	H, amino	o protecting	g group, amino acid	residue, peptide

R1NHCHR2COX [R1 = H, amino protecting group, amino acid residue, peptide residue; R2 = side chain of an amino acid; X = H, (un)substituted

fluoroalkyl, etc.], useful as peptidase inhibitors (no data), were prepared Thus, CH2:CHCH2CF2CH(OH)CH(NH2)CH2CHMe2 was condensed with N-isovalerylvaline in THF containing dicyclohexylcarbodiimide at 23° for 15 h to give N1-(3,3-difluoro-2-hydroxy-1-isobutyl-5-hexenyl)-N2-isovalerylvalinamide.

IT 106771-24-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as peptidase inhibitor)

RN 106771-24-8 CAPLUS

CN Octanoic acid, 3,3-difluoro-4-[(2-methoxyethoxy)methoxy]-7-methyl-5-[[3-methyl-2-[(3-methyl-1-oxobutyl)amino]-1-oxobutyl]amino]- (CA INDEX NAME)

L10 ANSWER 59 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1986:554140 CAPLUS

DOCUMENT NUMBER: 105:154140

ORIGINAL REFERENCE NO.: 105:24849a,24852a

TITLE: Fluorocarbon resin foams

INVENTOR(S): Namba, Mutsusuke; Shirasaki, Osamu; Hirata, Tomohiko

PATENT ASSIGNEE(S): Daikin Industries, Ltd., Japan

SOURCE: Eur. Pat. Appl., 39 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 183022 EP 183022	A2 A3	19860604 19861217	EP 1985-112857	19851010
R: DE, FR, GB, JP 61091229 JP 63020859	IT, NL A B	19860509 19880430	JP 1984-213664	19841011
JP 61162534 JP 03002451	A B	19860723 19910116	JP 1985-1866	19850109
JP 61171743 JP 03002452	A B	19860802 19910116	JP 1985-11491	19850123
EP 350969 EP 350969	A2 A3	19900117 19900530	EP 1989-115501	19851010
R: DE, FR, GB, PRIORITY APPLN. INFO.:	IT, NL			A 19841011
			JP 1985-11491	A 19850109 A 19850123 P 19851010

AB Undiscolored foams with uniform, fine cells, useful in covering electoables, are prepared by molding molten fluoropolymers in the presence of a depolymerizable polymers of (fluoro)olefins, polyethers, or C2-20 polycarbonyloxy compds and, optionally, nucleating agents. Thus, a mixture of 1 part BN (particle size $1-8\mu$) and 100 parts 82:18 C2F4-C3F6 copolymer was pelletized, mixed with 1.0 part Me methacrylate polymer (particle size $<500\mu$) and extruded to a foam with expansion ratio 60%, uniform cells, and no discoloration.

IT 104677-65-8 RL: USES (Uses)

(in fluoropolymer foam manufacture)

RN 104677-65-8 CAPLUS

CN Poly[oxy(1,1,2,2-tetrafluoro-1,3-propanediyl)], α -(2-carboxy-2,2-difluoroethyl)- ω -(1,1,2,2,3-pentafluoropropoxy)- (9CI) (CA INDEX NAME)

$$\mathtt{FCH}_2-\mathtt{CF}_2-\mathtt{CF}_2-\mathtt{O} \\ \boxed{\qquad } \mathtt{CH}_2-\mathtt{CF}_2-\mathtt{CF}_2-\mathtt{O} \\ \boxed{\qquad } \mathtt{n} \\ \mathtt{CH}_2-\mathtt{CF}_2-\mathtt{CO}_2\mathtt{H} \\ \\ \\ \mathtt{n} \\ \\ \\ \mathtt{n} \\ \\ \mathtt{CH}_2-\mathtt{CF}_2-\mathtt{CO}_2\mathtt{H} \\ \\ \mathtt{n} \\ \\ \mathtt{n} \\ \\ \mathtt{n} \\ \\ \mathtt{n} \\ \mathtt$$

L10 ANSWER 60 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1986:553525 CAPLUS

DOCUMENT NUMBER: 105:153525

ORIGINAL REFERENCE NO.: 105:24757a,24760a

TITLE: Design and synthesis of potent and specific renin

inhibitors containing difluorostatine, difluorostatone, and related analogs

AUTHOR(S): Thaisrivongs, Suvit; Pals, Donald T.; Kati, Warren M.;

Turner, Steve R.; Thomasco, Lisa M.; Watt, William

CORPORATE SOURCE: Upjohn Co., Kalamazoo, MI, 49001, USA

SOURCE: Journal of Medicinal Chemistry (1986), 29(10), 2080-7

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 105:153525

GΙ

Title peptides I (Boc = Me3CO2C; R = CH2CHMe2, CH2Ph, cyclohexylmethyl, R1 = OH, R2 = H; R = CH2CHMe2, R1 = H, R2 = OH or R1R2 = O) and II (R = CH2CHMe2, CH2Ph, cyclohexylmethyl) were prepared as renin inhibitors. Thus, the Reformatskii reaction of L-Me2CHCH2CH(NHBoc)CH2OH with BrCF2CO2Et in the presence of Zn under sonicating conditions gave Me2CHCH2CH(NHBoc)CH(OH)CF2CO2Et (III) as a mixture of the (3R, 4S)- and (3S, 4S)-diastereoisomers, whereas only (3R, 4S)-III was obtained from the above reaction when it was carried out under refluxing conditions. (3R, 4S)-III was coupled with isoleucinamide IV by DCC/HOBt to give the dipeptide, which was converted into I (R = CH2CHMe2, R1 = OH, R3 = H) (V) by stepwise peptide couplings in solution V is an effective inhibitor of human plasma renin, whereas its 3S-epimer (I; R = CH2CHMe2, R1 = H, R2 = OH) exhibited a 60-fold reduction in inhibitory activity. I (R = CH2CHMe2, R1R2 = O) is a more effective inhibitor of renin than the corresponding

Absolute stereochemistry.

RN 103420-30-0 CAPLUS

CN Benzeneacetic acid, α -methoxy- α -(trifluoromethyl)-, 2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-(2-ethoxy-1,1-difluoro-2-oxoethyl)-4-methylpentyl ester, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 61 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1986:543602 CAPLUS

DOCUMENT NUMBER: 105:143602

ORIGINAL REFERENCE NO.: 105:23005a,23008a TITLE: Etchant composition

INVENTOR(S): Fujii, Tsuneo; Deguchi, Takayuki; Tamaru, Shinji

PATENT ASSIGNEE(S): Daikin Industries, Ltd., Japan

SOURCE: Eur. Pat. Appl., 25 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	EP 182306	A2	19860528	EP 1985-114526	19851115
	EP 182306	A3	19880427		
	EP 182306	B1	19910724		
	R: DE, FR, GB				
	JP 61270381	A	19861129	JP 1985-259205	19851118
	JP 63045461	В	19880909		
	US 4725375	A	19880216	US 1986-908943	19860916
PRIOF	RITY APPLN. INFO.:			JP 1984-242648 A	. 19841117
				US 1985-798407 A	.2 19851115

AB An etchant for etching a Cr or Cr oxide layer (e.g., in the preparation of masks for transferring patterns to semiconductor wafers) is composed of a Ce(IV) salt, a nonionic or anionic F-containing surfactant, H2O, and, optionally, ≥1 of HClO4, HOAc, H2SO4, HNO3, HCl, and their salts. The etchant can homogeneously etch a resist pattern having both wide and narrow gaps on a Cr or Cr oxide layer.

IT 104335-43-5

RL: USES (Uses)

(etchant containing, for etching chromium or chromium oxide for mask preparation)

RN 104335-43-5 CAPLUS

CN Poly[oxy(1,1,2,2-tetrafluoro-1,3-propanediy1)], α -(2-carboxy-2,2-difluoroethy1)- ω -[1,1,2,3,3,3-hexafluoro-2-(heptafluoropropoxy)propoxy]-, potassium salt (9CI) (CA INDEX NAME)

● K

L10 ANSWER 62 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1986:534494 CAPLUS

DOCUMENT NUMBER: 105:134494

ORIGINAL REFERENCE NO.: 105:21719a,21722a TITLE: Fluoroacyl peroxides

INVENTOR(S): Oka, Masahiko; Morita, Shigeru PATENT ASSIGNEE(S): Daikin Industries, Ltd., Japan

SOURCE: Eur. Pat. Appl., 11 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 186215 EP 186215 EP 186215	A2 A3 B1	19860702 19871104 19890816	EP 1985-116622	19851227
R: DE, FR, GB JP 61152652 JP 63044744	A B	19860711 19880906	JP 1984-278997	19841227

US 4654444 A 19870331 US 1985-813545 19851226 US 4663407 A 19870505 US 1986-909277 19860919 PRIORITY APPLN. INFO.: JP 1984-278997 A 19841227 US 1985-813545 A3 19851226

OTHER SOURCE(S): MARPAT 105:134494

AB The peroxides [RO(CH2CF2CF2O)nCH2CF2C(O)O]2 [R = C1-10 (halo)hydrocarboyl; n = 0-3) are useful as initiators for low-temperature polymerization of vinyl compds.

Thus, [(CH3)3COCH2CF2C(0)0]2 (I) was prepared by adding 1.0 g Na2O2 and 5.0 g (CH3)3COCH2CF2COC1 to 50 g 20% aqueous NaCl at -20° over 30 min. The short half-life of I [21 min at 20°] makes it suitable for polymerization at -10° to $+30^{\circ}$.

IT 104360-91-0

RL: CAT (Catalyst use); USES (Uses)

(catalyst, for low-temperature polymerization, manufacture of)

RN 104360-91-0 CAPLUS

CN Peroxide, bis[3-(1,1-dimethylethoxy)-2,2-difluoro-1-oxopropyl] (9CI) (CA INDEX NAME)

L10 ANSWER 63 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1986:69315 CAPLUS

DOCUMENT NUMBER: 104:69315

ORIGINAL REFERENCE NO.: 104:11113a,11116a

TITLE: Halogen-containing polyether

INVENTOR(S): Ohsaka, Yohnosuke; Tohzuka, Takashi; Takaki, Shoji

PATENT ASSIGNEE(S): Daikin Kogyo Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 44 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	TENT	NO.			KINI)	DATE	API	PLICATION NO.		DATE
EP	1484 1484 1484	82			A2 A3 B1		19850717 19851227 19920325	EP	1984-116003		19841220
	R: 6013 6303		·	ĺ	IT, A B		19850722 19880701	JP	1983-251069		19831226
JP	6020	2122			A B		19851012 19880830	JP	1984-58877		19840326
JP	6111 0106	3616			A B		19860531 19891221	JP	1984-235610		19841107
EP	4154 4154	62			A1 B1		19910306 19960508	EP	1990-119306		19841220
		DE,	FR,	GB,	IT,	NL					
	1259				A1		19890912		1984-470995		19841224
	1806				A3		19930330		1984-3839427		19841225
	4845				A		19890704		1986-940191		19861209
	4973				A		19901127		1989-338036		19890414
	2073				C1		19970220		1991-4895780		19910626
	2107				C1		19980320		1992-5010940	_	19920226
PRIORIT	Y APP	LN.	TNF.O	.:					1983-251069 1984-58877		19831226 19840326

JP 1984-235610 A 19841107 US 1984-684345 A1 19841220 US 1986-940191 A3 19861209

AB Chemical and thermally stable halogen-containing polyethers useful as lubricants

are prepared by ring-opening polymerization of 2,2,3,3-tetrafluorooxetane (I) and

optional fluorination and/or chlorination. Thus, F(CH2CF2CF2O)nCH2CF2COF (II) was prepared by ring-opening polymerization of I in the presence of CsF.

reactor containing 1.5 kg II was heated to $100^{\circ}-120^{\circ}$. The II was irradiated with a Hg lamp as a mixture of F(g) and N(g) was fed to the reactor at 1 L/min for 100 h, and then N was fed at 2 L/min for 50 h. A viscous fluoropolymer (1.8 kg) having CF2CF2CF2O repeating units, with kinematic viscosity at 40° (v) 65 cS, was formed. A rotary vacuum pump using the viscous fluoropolymer as lubricant was used in an apparatus to form O, H, and CCl4 plasmas. After 30 days operation the pump motor showed no current irregularity, and the lubricant still had v 65 cS.

IT 99488-69-4P 99488-70-7P 99488-71-8P 99488-72-9P

RL: PREP (Preparation)

(oligomeric, preparation of, chemical and thermally stable)

RN 99488-69-4 CAPLUS

Α

CN Poly[oxy(1,1,2,2-tetrafluoro-1,3-propanediy1)], α -(2,2-difluoro-3-methoxy-3-oxopropy1)- ω -fluoro- (9CI) (CA INDEX NAME)

$$F \longrightarrow CH_2 - CF_2 - CF_2 - O \longrightarrow n$$
 $CH_2 - CF_2 - C - OMe$

RN 99488-70-7 CAPLUS

CN Poly[oxy(1,1,2,2-tetrafluoro-1,3-propanediyl)], α -(2,2-difluoro-3-methoxy-3-oxopropyl)- ω -iodo- (9CI) (CA INDEX NAME)

RN 99488-71-8 CAPLUS

CN Poly[oxy(1,1,2,2-tetrafluoro-1,3-propanediyl)], α -(2,2-difluoro-3-methoxy-3-oxopropyl)- ω -(heptafluoropropoxy)- (9CI) (CA INDEX NAME)

RN 99488-72-9 CAPLUS

CN Poly[oxy(1,1,2,2-tetrafluoro-1,3-propanediyl)], α -(2,2-difluoro-3-methoxy-3-oxopropyl)- ω -[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \text{CF}_3 \\ \parallel & & & & \\ \text{MeO-C-CF}_2\text{-CH}_2 & & & & \\ \end{array}$$

L10 ANSWER 64 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1986:19352 CAPLUS

DOCUMENT NUMBER: 104:19352

ORIGINAL REFERENCE NO.: 104:3249a,3252a

TITLE: 2,2-Difluoropropionic acid derivatives

INVENTOR(S): Ohsaka, Yohnosuke; Tohzuka, Takashi; Takaki, Shoji;

Negishi, Yoshio; Kohno, Satoru Daikin Kogyo Co., Ltd., Japan

PATENT ASSIGNEE(S): Daikin Kogyo Co., Ltd., Jap SOURCE: Eur. Pat. Appl., 19 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 148490 EP 148490 R: DE, FR, GB,	 A1 B1 IT	19850717 19900516	EP 1984-116103	-	19841221
JP 60136536 JP 01049340	A B	19850720 19891024	JP 1983-251070		19831226
JP 61130254 JP 02037904	A B	19860618 19900828	JP 1984-253884		19841129
US 4719052 EP 258911	A A1	19880112 19880309	US 1984-684344 EP 1987-113971		19841220 19841221
EP 258911 R: DE, FR, GB,		19901031			
CA 1293739 JP 02223538	C A	19911231 19900905	CA 1984-470916 JP 1990-6575		19841221 19900116
JP 05002660 CA 1318327 PRIORITY APPLN. INFO.:	B C2	19930113 19930525	CA 1991-616011 JP 1983-251070	А	19910227 19831226
PRIORITI APPLIN. INFO.:			JP 1983-231070 JP 1984-253884 CA 1984-470916	A	19831226 19841129 19841221
			EP 1984-116103	P P	19841221

OTHER SOURCE(S): CASREACT 104:19352; MARPAT 104:19352

AB FCH2CF2COF (I) and other 2,2-difluoropropionic acid derivs. RCH2CF2COR1 [R = Cl, Br, iodo, R2O, R2CO2, R3CH2CF2CF2O; R1 = F, R2O, R4CH2O; R2 = (non)halogenated aliphatic hydrocarbyl, (un)substituted aromatic hydrocarbyl;

= F, Cl, Br, iodo, R2O, R2CO2; R4 = aliphatic perfluorohydrocarbyl] were prepared by ring opening of 2,2,3,3-tetrafluorooxetane (II) in the presence of a catalyst. Thus, 13 g II, 1.8 g KF, and 15 mL diglyme were stirred at 150° for 8 h to give, after distillation, 12.8 g of a product mixture containing 65 mol % I. A similar reaction of II with 28 weight% NaOMe in MeOH gave 47.5% MeOCH2CF2CO2Me.

IT 99497-39-9P 99497-40-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, from tetrafluorooxetane)

RN 99497-39-9 CAPLUS

R3

CN Propanoic acid, 2,2-difluoro-3-methoxy-, methyl ester (CA INDEX NAME)

99497-40-2 CAPLUS RN

Propanoic acid, 2,2-difluoro-3-(2,2,3,3,3-pentafluoropropoxy)-, CN 2,2,3,3,3-pentafluoropropyl ester (CA INDEX NAME)

L10 ANSWER 65 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

1979:404937 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 91:4937 ORIGINAL REFERENCE NO.: 91:923a,926a

Study of polyfluoracyl fluorides formed in the TITLE:

electrochemical fluorination of methyl

3-methoxypropionate

AUTHOR(S):

Berenblit, V. V.; Nikitin, V. A.; Sass, V. P.; Senyushov, L. N.; Starobin, Yu. K.; Tsyganov, Yu. V.

CORPORATE SOURCE: USSR

Zhurnal Organicheskoi Khimii (1979), 15(2), 284-92 SOURCE:

CODEN: ZORKAE; ISSN: 0514-7492

DOCUMENT TYPE: Journal LANGUAGE: Russian

Products of electrochem. fluorination of MeOCH2CH2CO2Me (polyfluoroacyl fluorides) were investigated by condensing them with MeOH, followed by rectification of the Me esters formed and study of them via 19F and H NMR and mass spectra.

ΙT 70411-04-0P

RN

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) 70411-04-0 CAPLUS

Propanoic acid, 2,2,3-trifluoro-3-(trifluoromethoxy)-, methyl ester (CA CN INDEX NAME)

L10 ANSWER 66 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1978:529011 CAPLUS

89:129011 DOCUMENT NUMBER:

ORIGINAL REFERENCE NO.: 89:19953a,19956a

TITLE: Reduction of perfluorocarboxylic acid anhydrides to

1,1-dihydroperfluoro alcohols

AUTHOR(S): Kolomnikova, G. D.; Kalinkin, M. I.; Tskhurbaeva, Z.

Ts.; Parnes, Z. N.; Kursanov, D. N.

Inst. Elementoorg. Soedin., Moscow, USSR CORPORATE SOURCE:

SOURCE: Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya

(1978), (7), 1681-3 CODEN: IASKA6; ISSN: 0002-3353

DOCUMENT TYPE: Journal

LANGUAGE: Russian

Et3SiH reduced (RCO)20 [I; R = CF3, C3F7; R2 = (CF2)3] to the

corresponding RCH2OH and HO2C(CF3)2CH2OH in 60-80% yield and lesser amts. of RCH2O2CR. Hydrogenation of I (R = same) with PtO2, (Ph3P)2PtC12 or Ru(O2CCF3)3 gave lower yields of same products.

IT 67710-61-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 67710-61-6 CAPLUS

CN Pentanedioic acid, hexafluoro-, mono(4-carboxy-2,2,3,3,4,4-hexafluorobutyl) ester (9CI) (CA INDEX NAME)

L10 ANSWER 67 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1978:442477 CAPLUS

DOCUMENT NUMBER: 89:42477
ORIGINAL REFERENCE NO.: 89:6569a,6572a

TITLE: Functional fluorine derivatives by transformation of a

1H-perfluoroalkyl group

INVENTOR(S): Wakselman, Claude; Nguyen Thoai

PATENT ASSIGNEE(S): Agence Nationale de Valorisation de la Recherche, Fr.

SOURCE: Fr. Demande, 10 pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
FR 2341559	A1	19770916	FR 1976-4711		19760220
FR 2341559	B1	19790824			
PRIORITY APPLN. INFO.:			FR 1976-4711	A	19760220
AB R1(CF2)n+1CHF2 (R1	= F or	protected or	ganic group, n is	an int	teger) were
treated with M1/mNR	2 (M =	alkali or al	lkaline earth metal	., m =	valence of M, R
= hydrocarbon group) and t	he products	hydrolyzed by acid	l to gi	ive the resp.
R2(CF2)nCHFCONR2 (R	2 = F c	r organic gr	roup). The reaction	n of	
PhCH2OCH2(CF2)3CHF2	with E	t2NH and BuI	Li and addition of	concer	ntrated HCl in H2O
gave PhCH2OCH2(CF2)	2CHFCON	Et2.			

IT 66790-29-2

RL: RCT (Reactant); RACT (Reactant or reagent)
 (hydride reduction of)

RN 66790-29-2 CAPLUS

CN Pentanoic acid, 2,3,3,4,4-pentafluoro-5-(heptyloxy)- (CA INDEX NAME)

L10 ANSWER 68 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1975:496334 CAPLUS

DOCUMENT NUMBER: 83:96334

ORIGINAL REFERENCE NO.: 83:15116h,15117a

TITLE: Haloacrylic acids. IV. Reaction of Grignard reagents

with substituted methyl-2, 3, 3-trifluoroalkanoates

AUTHOR(S): Sendrik, V. P.; Paleta, Oldrich; Dedek, Vaclav

CORPORATE SOURCE: Inst. Chem. Technol., Prague, Czech.

SOURCE: Collection of Czechoslovak Chemical Communications

(1975), 40(5), 1542-9

CODEN: CCCCAK; ISSN: 0010-0765

DOCUMENT TYPE: Journal LANGUAGE: English

AB Reaction of MeMqI with EtOCHMeCF2CHFCO2Me at 35° gave

EtOCHMeCF2CHFCMe2OH (I). The reaction at -35° gave a mixture of I

and EtOCHMeCF2CHFCOMe. Analogous results were obtained with EtMgBr or in the reaction of RCF2CHFCO2Me (II) (R = 2-tetrahydrofuryl throughout) with

MeMqI or EtMqBr. Reaction of II with Me2CHMqBr gave a mixture of

RCF2CHFC(OH)(CHMe2)2 and (by reduction) RCF2CHFCH(OH)CHMe2. When treated with

P205, I, EtOCHMeCF2CHFCEt2OH, and RCF2CHFCMe2OH (III) gave

EtOCHMeCF2CHFCMe:CH2 (IV), EtOCHMeCF2CHF2CHFCEt:CHMe, and RCF2CHFCMe:CH2, resp.; with SOCl2, I gave I and IV whereas III yielded RCF2CHFCMe2Cl.

IT 52916-69-5

RL: RCT (Reactant); RACT (Reactant or reagent)
 (Grignard reactions of)

RN 52916-69-5 CAPLUS

CN Pentanoic acid, 4-ethoxy-2,3,3-trifluoro-, methyl ester (CA INDEX NAME)

L10 ANSWER 69 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1974:449032 CAPLUS

DOCUMENT NUMBER: 81:49032

ORIGINAL REFERENCE NO.: 81:7835a,7838a

TITLE: Photochemical addition of ethers to methyl

trifluoroacrylate

AUTHOR(S): Sendrik, V. P.; Paleta, Oldrich; Dedek, Vaclav

CORPORATE SOURCE: Vys. Sk. Chem. Technol., Prague, Czech.

SOURCE: Collection of Czechoslovak Chemical Communications

(1974), 39(4), 1061-71

CODEN: CCCCAK; ISSN: 0010-0765

DOCUMENT TYPE: Journal LANGUAGE: English

AB In the uv-initiated 1:1 adduct formation of ethers with F2C:CFCO2Me, the

reactivity decreased in the order: THF > 4-methyl-1,3-dio-xane >

1,3-dioxolane > Et20 > MeOCH2CH2OMe > 1,4-dioxane.

IT 52916-69-5P 52916-70-8P 52916-71-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 52916-69-5 CAPLUS

CN Pentanoic acid, 4-ethoxy-2,3,3-trifluoro-, methyl ester (CA INDEX NAME)

RN 52916-70-8 CAPLUS

CN Butanoic acid, 2,3,3-trifluoro-4-(2-methoxyethoxy)-, methyl ester (CA INDEX NAME)

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MeO-C-CH-CF2-CH2-O-CH2-CH2-OMe
     52916-71-9 CAPLUS
RN
     Pentanoic acid, 2,3,3-trifluoro-4,5-dimethoxy-, methyl ester (9CI)
CN
     INDEX NAME)
    O F
               OMe
MeO-C-CH-CF2-CH-CH2-OMe
L10 ANSWER 70 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN
                         1971:124920 CAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                         74:124920
ORIGINAL REFERENCE NO.: 74:20183a,20186a
                         Polyfluorocycloalkenes. IX. Reactions of
TITLE:
                         1H, 2H-octafluorocyclohexene, -hexafluorocyclopentene,
                         and -tetrafluorocyclobutene with methanol under ionic
                         conditions
AUTHOR(S):
                         Stephens, Robert; Clayton, A. B.; Collins, D.; Tatlow,
                         John C.
                         Chem. Dep., Univ. Birmingham, Birmingham, UK
CORPORATE SOURCE:
                         Journal of the Chemical Society [Section] C: Organic
SOURCE:
                         (1971), (7), 1177-82
                         CODEN: JSOOAX; ISSN: 0022-4952
DOCUMENT TYPE:
                         Journal
LANGUAGE:
                         English
     1H,2H-Octafluoro-cyclohexene reacted with NaOMe-MeOH to give
     1H,1H,2H-2-methoxyoctafluorocyclohexane, 1H,6H-6-methoxyheptafluoro-
     cyclohexene, 1H,6H - 2 - methoxyheptafluorocyclohexene, and
     1H, 2H-3, 3-dimethoxyhexafluorocyclohexene. Similarly, 1H, -2H -
     hexafluorocyclopentene gave 1H,1H,2H - 2 - methoxyhexa-fluorocyclopentane
     and 1H,5H - 5 - methoxypentafluorocyclo-pentene, and 1H,2H-
     tetrafluorocyclobutene gave 1H,4H-4-methoxytrifluorocyclobutene.
     results are consistent with an addition-elimination mechanism and not a
     direct allylic substitution.
     32670-08-9P 32670-09-0P
ΙT
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (preparation of)
RN
     32670-08-9 CAPLUS
     Hexanedioic acid, 2,2,3,3,4,4-hexafluoro-5-methoxy-, compd. with
CN
     phenylmethyl carbamimidothioate (1:2) (CA INDEX NAME)
     CM
          1
     CRN 45213-92-1
     CMF C7 H6 F6 O5
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CM 2

CRN 621-85-2

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ΝН
H<sub>2</sub>N-C-S-CH<sub>2</sub>-Ph
     32670-09-0 CAPLUS
CN
     Glutaric acid, 2,2,3,3-tetrafluoro-4-methoxy-, compd. with
     2-benzyl-2-thiopseudourea (1:2) (8CI) (CA INDEX NAME)
     CM
     CRN 45153-12-6
     CMF C6 H6 F4 O5
     OMe
HO_2C-CH-CF_2-CF_2-CO_2H
          2
     CM
     CRN 621-85-2
     CMF C8 H10 N2 S
    NH
H_2N-C-S-CH_2-Ph
L10 ANSWER 71 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:
                         1963:66124 CAPLUS
DOCUMENT NUMBER:
                         58:66124
ORIGINAL REFERENCE NO.: 58:11227d-f
TITLE:
                         Nucleophilic displacement reactions of halogenated
                         cyclobutenes
AUTHOR(S):
                         Park, J. D.; Wilson, L. H.; Lacher, J. R.
CORPORATE SOURCE:
                         Univ. of Colorado, Boulder
SOURCE:
                         Journal of Organic Chemistry (1963), 28, 1008-12
                         CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE:
                         Journal
LANGUAGE:
                         Unavailable
     For diagram(s), see printed CA Issue.
GΙ
     The nucleophilic displacement reactions of Ia (R = H, R1 = R2 = F) (I), Ia
AB
     (R = C1, R1 = R2 = F) (II), Ia (R = R1 = C1, R2 = F) (III), and Ia (R = R1
     = R2 = C1) (IV) were carried out with ethanolic KOH. I yielded
     3-ethoxy-3,4,4. trifluorocyclobutene and 3,3-diethoxy-4,4-
     difluorocyclobutene (allylic substitution without rearrangement). II and
     III both gave identical rearranged products, 1-fluoro-2-chloro-3-ethoxy-
     4,4-difluorocyclobutene, and IV yielded Ia (R = Cl, R1 = R2 = OEt)
     (allylic substitution).
ΙT
     10117-86-9P, Succinic acid, 3-ethoxy-2,2-difluoro-, dipotassium
     salt
     RL: PREP (Preparation)
        (preparation of)
RN
     10117-86-9 CAPLUS
     Butanedioic acid, 3-ethoxy-2,2-difluoro-, dipotassium salt (9CI)
CN
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INDEX NAME)

$$\begin{array}{c} \text{OEt} \\ | \\ \text{HO}_2\text{C-CH-CF}_2\text{-CO}_2\text{H} \end{array}$$

●2 K

L10 ANSWER 72 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1955:36084 CAPLUS

49:36084 DOCUMENT NUMBER: ORIGINAL REFERENCE NO.: 49:6987e-f

Fluorinated organic bromides TITLE:

INVENTOR(S): Conly, James C.

PATENT ASSIGNEE(S): Douglas Aircraft Co., Inc.
DOCUMENT TYPE: Patent LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

DATE APPLICATION NO.
19540518 US 1950-190251 19501014

- Bromoperfluorocarbons are prepared from the Ag salt of a perfluoro acid and AΒ Br. n-C3F7CO2H in Et2O treated with excess powdered Ag2CO3, the Et2O decanted after the CO2 evolution, and the solution evaporated yields almost quant. n-C3F7C02Ag (I), which, stirred with the addition of Br, evolves CO2 and C3F7Br, b. $12-13^{\circ}$. The use of these compds. as chain-initiating and -terminating agents, fire-resistant materials, and solvents is suggested.
- ΙT 428-89-7, Propionic acid, 2,2-difluoro-3-methoxy-, silver salt (reaction with Br, and product therefrom)
- RN 428-89-7 CAPLUS
- Propanoic acid, 2,2-difluoro-3-methoxy-, silver(1+) salt (9CI) (CA INDEX CN NAME)

MeO-CH2-CF2-CO2H

● Aq(I)

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